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     (FILE 'HOME' ENTERED AT 14:55:35 ON 18 MAR 2005)

     FILE 'HCAPLUS' ENTERED AT 14:56:49 ON 18 MAR 2005
                E FR1999-597/AP,PRN
L1              1 FR1999-597/AP,PRN
                E WO2000-FR53/AP,PRN
L2              1 WO2000-FR53/AP,PRN
L3              1 L1-2

     FILE 'REGISTRY' ENTERED AT 14:57:58 ON 18 MAR 2005

     FILE 'HCAPLUS' ENTERED AT 14:57:59 ON 18 MAR 2005
L4              TRA L3 1- RN :       12 TERMS

     FILE 'REGISTRY' ENTERED AT 14:57:59 ON 18 MAR 2005
L5             12 SEA L4

     FILE 'WPIX' ENTERED AT 14:58:03 ON 18 MAR 2005
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L6              1 FR1999-597/AP,PRN
                E WO2000-FR53/AP,PRN
L7              1 WO2000-FR53/AP,PRN
L8              1 L6-7

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L9                STR
L10               STR L9
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L12             0 L11 CSS
L13               SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 204
L14             0 L11 NOT L13 CSS
L15               STR L10
L16               STR L10
L17            28 L16 CSS
L18            23 L16 NOT L13 CSS
L19               STR L16
L20            22 L19 NOT L13 CSS
L21          2713 L19 NOT L13 CSS FULL
L22            13 L21 AND SQL>=6
                  SAV TEM L22 AUD178F0/A
L23               STR L19
L24             9 L23
L25             0 L23 CSS
L26            34 L23 CSS FULL
                  SAV TEM AUD178F1/A L26
L27               STR L16
L28            50 L27 CSS
L29         23623 L27 CSS FULL
L30           176 L29 AND SQL>=6
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L31             0 L26 AND SQL>=6

     FILE 'HCAPLUS' ENTERED AT 16:18:28 ON 18 MAR 2005
L32            98 L22 OR L30
L33               QUE PY<=1999 OR AY<=1999 OR PRY<=1999 OR PD<19990115 OR AD<1999
L34            58 L32 AND L33
                  E BRIAND J/AU
L35           367 E3,E5,E11-12
                  E SEMETEY V/AU
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Search done by Noble Jarrell

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L36          26 E4
                E LIMAL D/AU
L37          25 E3-4
L38         174 (BIO AND MERIEUX)/CS,PA
L39           2 L32 AND L35-38
L40          96 L32 NOT L39
L41          56 L34 NOT L39
L42          17 L41 AND P/DT

=> b reg
FILE 'REGISTRY' ENTERED AT 16:22:21 ON 18 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 MAR 2005  HIGHEST RN 845858-62-0
DICTIONARY FILE UPDATES:  17 MAR 2005  HIGHEST RN 845858-62-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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R 2043 OR 2054
L19             STR
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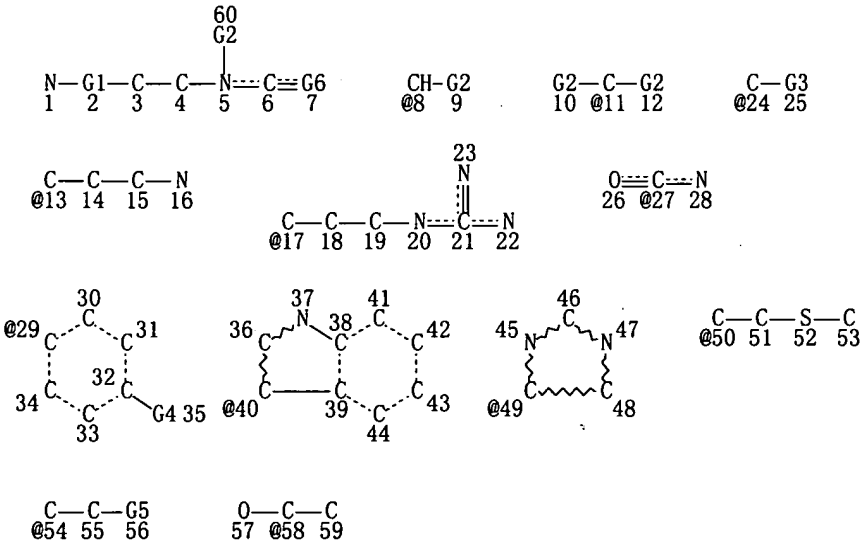


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VAR G4=H/OH
VAR G5=CO2H/27
VAR G6=O/S
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CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 29 36 45
NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE
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L22 13 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND SQL>=6

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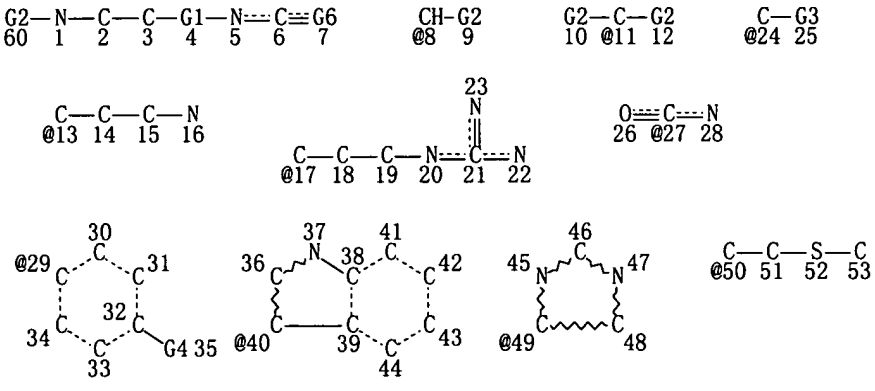

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CONNECT IS M1 RC AT 1
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DEFAULT MLEVEL IS ATOM
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GRAPH ATTRIBUTES:
RSPEC 29 36 45
NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE
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L30 176 SEA FILE=REGISTRY ABB=ON PLU=ON L29 AND SQL>=6

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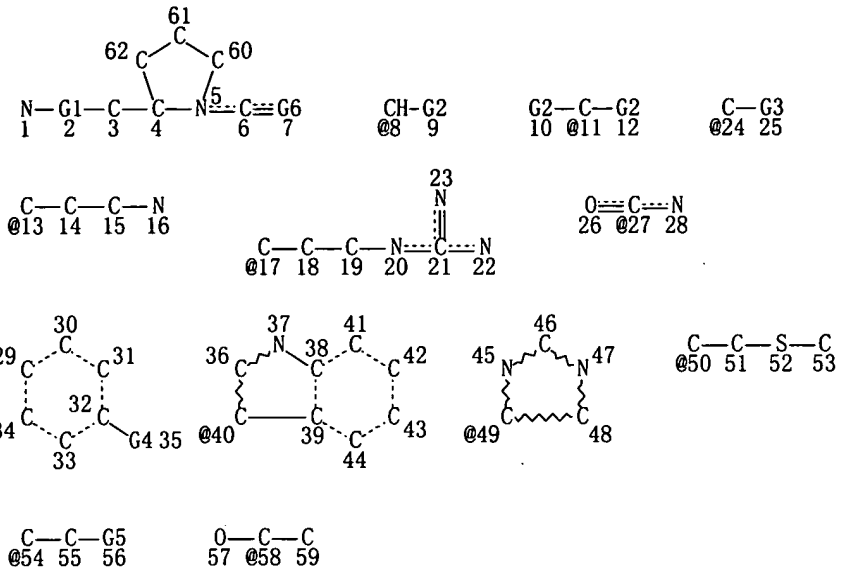

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VAR G4=H/OH
VAR G5=CO2H/27
VAR G6=O/S
NODE ATTRIBUTES:
NSPEC IS RC AT 1
CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 29 36 45 5
NUMBER OF NODES IS 62

STEREO ATTRIBUTES: NONE
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L31 0 SEA FILE=REGISTRY ABB=ON PLU=ON L26 AND SQL>=6

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FILE 'HCAPLUS' ENTERED AT 16:22:42 ON 18 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 18 Mar 2005 VOL 142 ISS 13
FILE LAST UPDATED: 17 Mar 2005 (20050317/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr l39 tot

L39 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:493567 HCAPLUS
DN 133:105351
ED Entered STN: 21 Jul 2000
TI Preparation of pseudopeptides for detecting antigens or antibodies
IN Briand, Jean-Paul; Semetey, Vincent; Limal, David
PA Bio Merieux, Fr.
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA French
IC ICM C07K007-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6, 63
FAN.CNT 1

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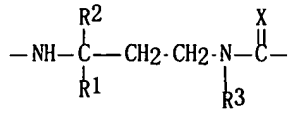
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2788527	A1	20000721	FR 1999-597	19990115
	EP 1140986	A1	20011010	EP 2000-900564	20000112
	EP 1140986	B1	20021211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 229540	E	20021215	AT 2000-900564	20000112
PRAI	FR 1999-597	A	19990115		
	WO 2000-FR53	W	20000112		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000042065	ICM	C07K007-02
FR 2788527	ECLA	C07K007/02

OS MARPAT 133:105351
GI



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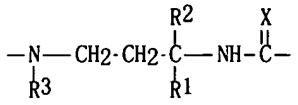

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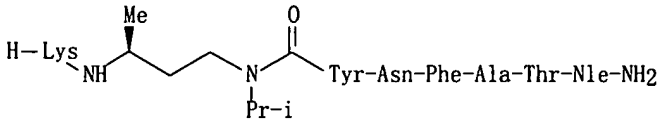


III

AB The invention concerns a pseudopeptide of at least 6 amino acids comprising at least a unit selected among the general formulas I and/or II wherein: R1-R3 each independently of one another represent a side-chain of amino acids and can be identical or different; X represents an oxygen or sulfur atom. The invention also concerns its synthesis process, a reagent containing it, a detection kit comprising such a reagent, a method for detecting an antigen or an antibody using said pseudopeptide, and antibody or and anti-idiotype and finally a therapeutic composition Thus, pseudopeptide III was prepared for detecting antigens or antibodies (no data).

ST peptide pseudo prepn detecting antigen antibody; pseudopeptide prepn detecting antigen antibody

IT Antibodies
Antigens
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptides for detecting antigens or antibodies)

IT Peptides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pseudopeptides; preparation of pseudopeptides for detecting antigens or antibodies)

IT 282531-02-6P 282531-04-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptides for detecting antigens or antibodies)

IT 15761-38-3 35661-39-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pseudopeptides for detecting antigens or antibodies)

IT 67919-80-6P 193954-23-3P 210533-61-2P 223922-49-4P 223922-53-0P 223922-56-3P 223922-60-9P 282531-03-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pseudopeptides for detecting antigens or antibodies)

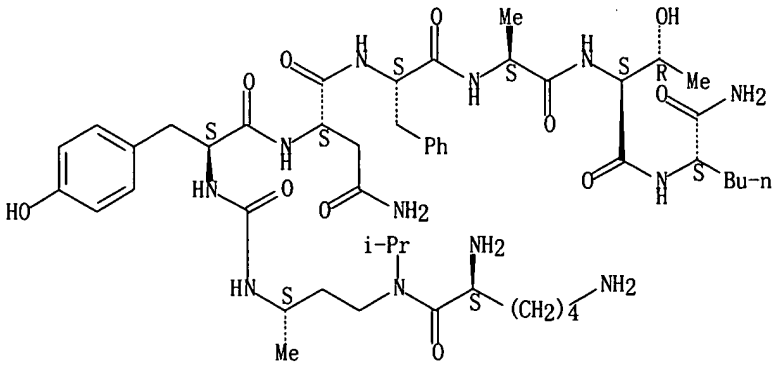
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Bradshaw, C; J MED CHEM 1994, V37, P1991 HCAPLUS
(2) Dallaire, C; TETRAHEDRON LETTERS 1998, V39(29), P5129 HCAPLUS
(3) Limal, D; TETRAHEDRON LETTERS 1999, V40(14), P2749 HCAPLUS

(4) Nouvet, A; TETRAHEDRON LETTERS 1998, V39(15), P2099 HCAPLUS
(5) Searle & Co; EP 0126974 A 1984 HCAPLUS
(6) Talley, J; US 5475013 A 1995 HCAPLUS
(7) Univ Tulane; WO 9213883 A 1992 HCAPLUS
IT 282531-02-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptides for detecting antigens or antibodies)
RN 282531-02-6 HCAPLUS
CN L-Norleucinamide, N-[[[(1S)-3-[[(2S)-2,6-diamino-1-oxohexyl](1-methylethyl)amino]-1-methylpropyl]amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:223728 HCAPLUS
DN 130:325384
ED Entered STN: 12 Apr 1999
TI Solid-phase synthesis of N,N'-unsymmetrically substituted ureas: application to the synthesis of carbaza peptides
AU Limal, David; Semetey, Vincent; Dalbon, Pascal; Jolivet, Michel; Briand, Jean-Paul
CS Laboratoire de Chimie Immunologique, U.P.R. 9021 C.N.R.S., Institut de Biologie Moleculaire et Cellulaire, Strasbourg, 67084, Fr.
SO Tetrahedron Letters (1999), 40(14), 2749-2752
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
OS CASREACT 130:325384
AB The synthesis of Boc- or Fmoc-mono-protected propylenediamine derivs. is reported starting from N-protected .alpha.-amino acids. The introduction of these building blocks on solid support via the formation of a urea moiety leads to a new pseudopeptide family (C.alpha.-CH2-CH2-N.alpha.(R)-CO-NH-C.alpha.). Two carbonylating reagents, i.e N,N'-carbonyldiimidazole and tri-phosgene, as well as different coupling procedures, have been tested to optimize the Boc and Fmoc solid-phase synthesis of a model peptide incorporating this isosteric replacement.
ST propylenediamine carbaza pseudopeptide prepn amino acid carbonylation
IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(pseudopeptides, carbaza; solid phase synthesis of unsym. substituted

ureas and application to synthesis of carbaza peptides)
IT Carbonylation
Solid phase synthesis
(solid phase synthesis of unsym. substituted ureas and application to synthesis of carbaza peptides)
IT 67919-80-6P 193954-23-3P 210533-61-2P 223922-49-4P 223922-53-0P 223922-56-3P 223922-60-9P 223922-66-5P 223922-71-2DP, solid-supported 223922-76-7DP, solid-supported 223922-90-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction in solid phase synthesis of unsym. substituted ureas as carbaza peptides)
IT 223922-80-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of by solid phase synthesis of unsym. substituted ureas as carbaza peptides)
IT 223922-85-8
RL: MSC (Miscellaneous)
(preparation of carbaza analog of by solid phase synthesis using unsym. substituted ureas)
IT 102-97-6 15761-38-3 35000-22-7 35661-39-3 223922-33-6D, solid-supported
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction in solid phase synthesis of unsym. substituted ureas as carbaza peptides)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Abdel-Magid, A; J Org Chem 1996, V61, P3849 HCAPLUS
(2) Burgess, K; J Am Chem Soc 1997, V119, P1556 HCAPLUS
(3) Fehrentz, J; Synthesis 1982, P676
(4) Gante, J; Synthesis 1989, P405 HCAPLUS
(5) Hutchins, S; Tetrahedron Lett 1995, V36, P2583 HCAPLUS
(6) Lemaire-Audoire, S; Tetrahedron Lett 1995, V36, P6109
(7) Limal, D; J Peptide Res 1998, V52, P121 HCAPLUS
(8) Limal, D; Tetrahedron Lett 1998, V39, P4239 HCAPLUS
(9) Majer, P; J Org Chem 1994, V59, P1937 HCAPLUS
(10) Mendre, C; European J Pharmacol 1990, V186, P213 HCAPLUS
(11) Nahm, S; Tetrahedron Lett 1981, V22, P3815 HCAPLUS
(12) Quibell, M; J Chem Soc Perkin Trans I 1993, P2843 HCAPLUS
(13) Spatola, A; Chemistry and Biochemistry of Amino Acids Peptide and Proteins 1983, V7, P267 HCAPLUS
(14) Zhang, X; J Org Chem 1997, V62, P6420 HCAPLUS
IT 223922-71-2DP, solid-supported
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction in solid phase synthesis of unsym. substituted ureas as carbaza peptides)
RN 223922-71-2 HCAPLUS
CN L-Threoninamide, N-[[[(3S)-3-[[(1,1-dimethylethoxy)carbonyl]amino]butyl](1-methylethyl)amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-N-(5-carboxypentyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

APP. & Rec.

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=> d his

     (FILE 'HOME' ENTERED AT 14:55:35 ON 18 MAR 2005)

     FILE 'HCAPLUS' ENTERED AT 14:56:49 ON 18 MAR 2005
                E FR1999-597/AP,PRN
L1              1 FR1999-597/AP,PRN
                E WO2000-FR53/AP,PRN
L2              1 WO2000-FR53/AP,PRN
L3              1 L1-2

     FILE 'REGISTRY' ENTERED AT 14:57:58 ON 18 MAR 2005

     FILE 'HCAPLUS' ENTERED AT 14:57:59 ON 18 MAR 2005
L4              TRA L3 1- RN :       12 TERMS

     FILE 'REGISTRY' ENTERED AT 14:57:59 ON 18 MAR 2005
L5           12 SEA L4

     FILE 'WPIX' ENTERED AT 14:58:03 ON 18 MAR 2005
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L6              1 FR1999-597/AP,PRN
                E WO2000-FR53/AP,PRN
L7              1 WO2000-FR53/AP,PRN
L8              1 L6-7

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FILE 'HCAPLUS' ENTERED AT 14:58:52 ON 18 MAR 2005
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COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 18 Mar 2005  VOL 142 ISS 13
FILE LAST UPDATED: 17 Mar 2005  (20050317/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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L3   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2000:493567  HCAPLUS
DN   133:105351
ED   Entered STN:  21 Jul 2000
TI   Preparation of pseudopeptides for detecting antigens or antibodies
IN   Briand, Jean-Paul; Semetey, Vincent; Limal, David
PA   Bio Merieux, Fr.
SO   PCT Int. Appl., 41 pp.
     CODEN: PIXXD2
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APP.

3 INVs

DT Patent
LA French
IC ICM C07K007-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 6, 63
FAN.CNT 1

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	EP 1140986	A1	20011010	EP 2000-900564	20000112 <--
	EP 1140986	B1	20021211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 229540	E	20021215	AT 2000-900564	20000112 <--
PRAI	FR 1999-597	A	19990115 <--		
	WO 2000-FR53	W	20000112 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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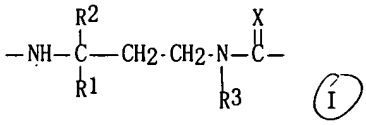
FR 2788527	ECLA	C07K007/02 <--

OS MARPAT 133:105351
GI



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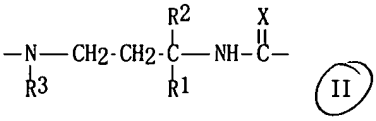

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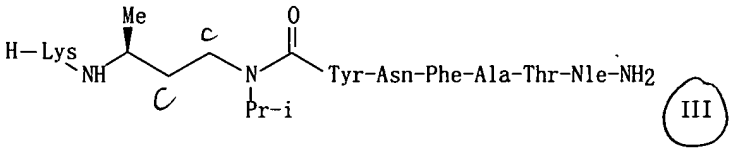


(III)

AB The invention concerns a pseudopeptide of at least 6 amino acids comprising at least a unit selected among the general formulas I and/or II wherein: R1-R3 each independently of one another represent a side-chain of amino acids and can be identical or different; X represents an oxygen or

sulfur atom. The invention also concerns its synthesis process, a reagent containing it, a detection kit comprising such a reagent, a method for detecting an antigen or an antibody using said pseudopeptide, and antibody or and anti-idiotype and finally a therapeutic composition Thus, pseudopeptide III was prepared for detecting antigens or antibodies (no data).

ST peptide pseudo prepn detecting antigen antibody; pseudopeptide prepn detecting antigen antibody

IT Antibodies
Antigens
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptides for detecting antigens or antibodies)

IT Peptides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pseudopeptides; preparation of pseudopeptides for detecting antigens or antibodies)

IT 282531-02-6P 282531-04-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudopeptides for detecting antigens or antibodies)

IT 15761-38-3 35661-39-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pseudopeptides for detecting antigens or antibodies)

IT 67919-80-6P 193954-23-3P 210533-61-2P 223922-49-4P 223922-53-0P 223922-56-3P 223922-60-9P 282531-03-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pseudopeptides for detecting antigens or antibodies)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Bradshaw, C; J MED CHEM 1994, V37, P1991 HCAPLUS
(2) Dallaire, C; TETRAHEDRON LETTERS 1998, V39(29), P5129 HCAPLUS
(3) Limal, D; TETRAHEDRON LETTERS 1999, V40(14), P2749 HCAPLUS
(4) Nouvet, A; TETRAHEDRON LETTERS 1998, V39(15), P2099 HCAPLUS
(5) Searle & Co; EP 0126974 A 1984 HCAPLUS
(6) Talley, J; US 5475013 A 1995 HCAPLUS
(7) Univ Tulane; WO 9213883 A 1992 HCAPLUS

=> b reg
FILE 'REGISTRY' ENTERED AT 14:58:58 ON 18 MAR 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 MAR 2005 HIGHEST RN 845858-62-0
DICTIONARY FILE UPDATES: 17 MAR 2005 HIGHEST RN 845858-62-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

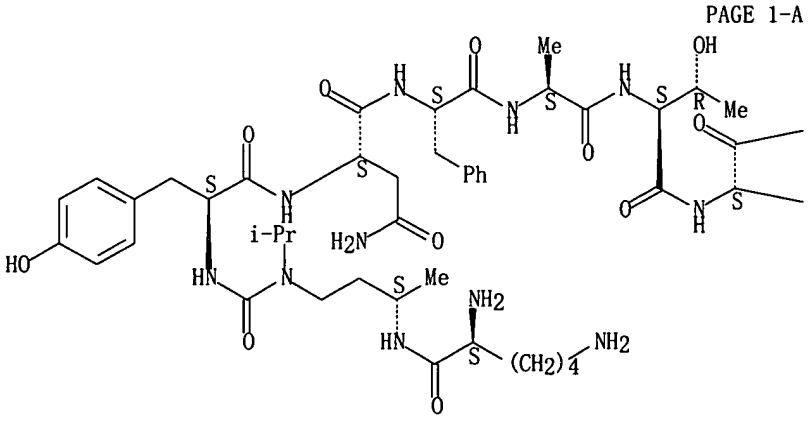
=> d ide l5 tot

L5 ANSWER 1 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 282531-04-8 REGISTRY
CN L-Norleucinamide, N-[[[(3S)-3-[[(2S)-2,6-diamino-1-oxohexyl]amino]butyl](1-methylethyl)amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-threonyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C49 H78 N12 O11
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 282531-03-7 REGISTRY

CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)amino]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H28 N2 O2
CI COM
SR CA
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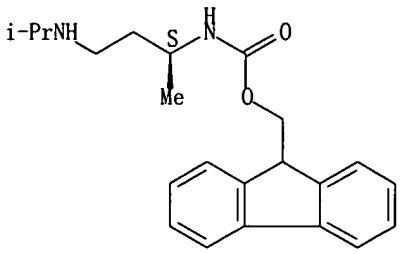
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



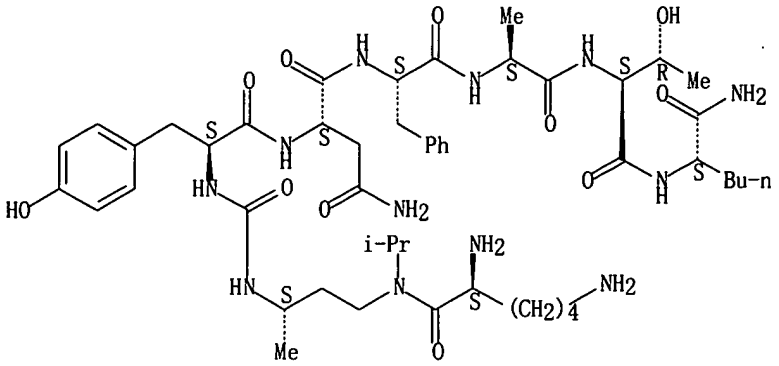
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 282531-02-6 REGISTRY
CN L-Norleucinamide, N-[[[(1S)-3-[[(2S)-2,6-diamino-1-oxohexyl](1-methylethyl)amino]-1-methylpropyl]amino]carbonyl]-L-tyrosyl-L-asparaginyl-L-phenylalanyl-L-alanyl-L-threonyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C49 H78 N12 O11
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
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L5 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223922-60-9 REGISTRY
CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)-2-propenylamino]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H32 N2 O2
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)
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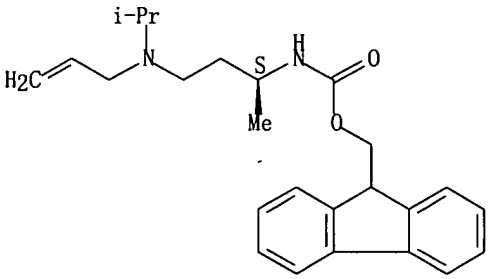
Absolute stereochemistry.



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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223922-56-3 REGISTRY
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FS STEREOSEARCH
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SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal; Patent
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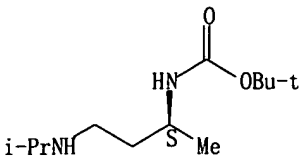
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



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L5 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223922-53-0 REGISTRY
CN Carbamic acid, [(1S)-1-methyl-3-[(1-methylethyl)(phenylmethyl)amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
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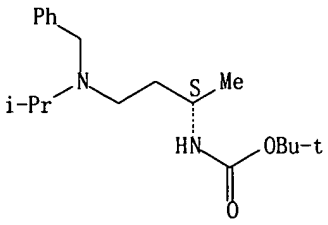
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 223922-49-4 REGISTRY
CN Carbamic acid, [(1S)-3-(methoxymethylamino)-1-methyl-3-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H24 N2 O4
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal; Patent
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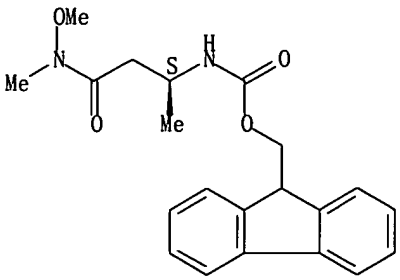
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 210533-61-2 REGISTRY
CN Carbamic acid, [(1S)-3-(methoxymethylamino)-1-methyl-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C11 H22 N2 O4
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal; Patent
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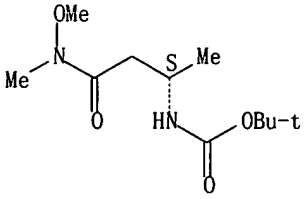
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



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3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 193954-23-3 REGISTRY
CN Carbamic acid, [(1S)-3-diazo-1-methyl-2-oxopropyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Carbamic acid, (3-diazo-1-methyl-2-oxopropyl)-, 9H-fluoren-9-ylmethyl ester, (S)-
FS STEREOSEARCH
MF C19 H17 N3 O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal; Patent
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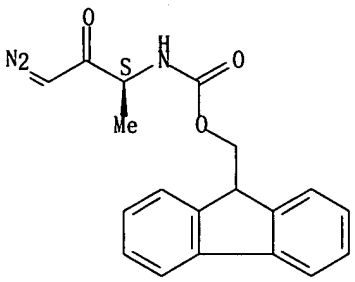
Absolute stereochemistry.



14 REFERENCES IN FILE CA (1907 TO DATE)
15 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 67919-80-6 REGISTRY
CN Carbamic acid, [(1S)-3-diazo-1-methyl-2-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Carbamic acid, (3-diazo-1-methyl-2-oxopropyl)-, 1,1-dimethylethyl ester, (S)-
FS STEREOSEARCH
MF C9 H15 N3 O3
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL
DT.CA CAplus document type: Journal; Patent
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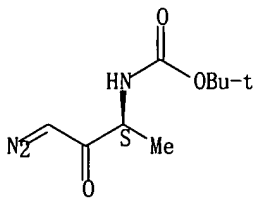
Absolute stereochemistry. Rotation (-).



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31 REFERENCES IN FILE CA (1907 TO DATE)
31 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 35661-39-3 REGISTRY
CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN (9-Fluorenylmethoxycarbonyl)-L-alanine
CN (S)-N-Fmoc-alanine
CN FMOC-Alanine
CN FMOC-L-alanine
CN N-(9-Fluorenylmethoxycarbonyl)alanine
CN N-9-Fluorenylmethoxycarbonyl-L-alanine
CN NPC 14688

CN NSC 334296
FS STEREOSEARCH
MF C18 H17 N O4
CI COM
LC STN Files: BEILSTEIN*, BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**
(**Enter CHEMLIST File for up-to-date regulatory information)
DT.CA CAplus document type: Conference; Journal; Patent
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
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RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); CMBI (Combinatorial study); MSC (Miscellaneous); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)
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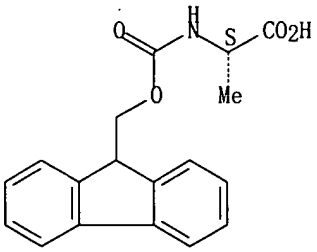
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

787 REFERENCES IN FILE CA (1907 TO DATE)
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787 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 15761-38-3 REGISTRY
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Alanine, N-carboxy-, N-tert-butyl ester, L- (8CI)
OTHER NAMES:
CN (2S)-2-[(tert-Butoxycarbonyl)amino]propanoic acid
CN (S)-2-(N-tert-Butoxycarbonyl)aminopropionic acid
CN (S)-2-[(tert-butoxycarbonyl)amino]propionic acid
CN (S)-2-[(tert-Butoxycarbonyl)amino]propionic acid
CN (tert-Butoxycarbonyl)alanine
CN (tert-Butyloxycarbonyl)-L-alanine
CN BOC-L-alanine
CN N-(tert-Butoxycarbonyl)-(S)-alanine
CN N-(tert-Butoxycarbonyl)-L-alanine
CN N-(tert-Butoxycarbonyl)alanine

CN N-(tert-Butyloxycarbonyl)-L-alanine
CN N-BOC-L-alanine
CN N-t-BOC-L-alanine
CN N-tert-BOC-(S)-alanine
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DR 90580-61-3, 186665-28-1, 207305-56-4
MF C8 H15 N O4
CI COM
LC STN Files: BEILSTEIN*, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, PS, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
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Other Sources: EINECS**, NDSL**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)
DT.CA CAplus document type: Conference; Journal; Patent; Report
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)
RLD.P Roles for non-specific derivatives from patents: ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent)
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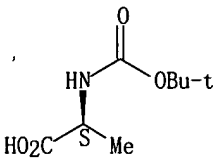
Absolute stereochemistry.



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2602 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'WPIX' ENTERED AT 14:59:24 ON 18 MAR 2005
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FILE LAST UPDATED: 16 MAR 2005 <20050316/UP>
MOST RECENT DERWENT UPDATE: 200518 <200518/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

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http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

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GUIDES, PLEASE VISIT:
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http://thomsonderwent.com/support/dwpiref/reftools/classification/code-revision/
FOR DETAILS. <<<

=> d all l8 tot

L8 ANSWER 1 OF 1 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
AN 2000-482811 [42] WPIX
DNC C2000-145305
TI New pseudopeptide containing carbonyl replaced by methylene, useful as diagnostic and therapeutic agents, e.g. in vaccines, have improved resistance to protease.
DC B04
IN BRIAND, J P; LIMAL, D; SEMETEY, V; BRIAND, J
PA (INMR) BIO MERIEUX
CYC 91
PI WO 2000042065 A1 20000720 (200042)* FR 40 C07K007-02
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW
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AU 2000030531 A 20000801 (200054) C07K007-02
EP 1140986 A1 20011010 (200167) FR C07K007-02
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PRAI FR 1999-597 19990115
IC ICM C07K007-02; C07K007-06
ICS A61K038-08; A61K039-395; A61P031-04; A61P031-12; A61P033-00; C07K016-42; C07K016-44; G01N033-532; G01N033-564; G01N033-68
AB WO 200042065 A UPAB: 20000905
NOVELTY - Pseudopeptide (A) of at least 6 amino acids contains at least one specified motif in which a peptide carbonyl is replaced by methylene.
DETAILED DESCRIPTION - Pseudopeptide (A) of at least 6 amino acids contains at least one specified motif of formulae (I) and/or (II)
-NH-CR1R2-CH2CH2-NR2-C- (I) -NR3-CH2CH2-CR1R2-NH-CX- (II).

R1, R2 and R3 = amino acid sidechains; and
X = O or S.
INDEPENDENT CLAIMS are also included for:
(a) a method for the synthesis of (A);
(b) a reagent, for detecting diseases associated with presence of endogenous or exogenous proteins, containing at least one (A);
(c) a kit for detecting such diseases containing the reagent of (b) immobilized on a solid phase;
(d) a method for detecting and/or quantifying biological materials by forming an immune complex with (A);
(e) a method for detecting and/or quantifying an antigen (Ag) (or antibody, Ab) by competitive reaction between predetermined amounts of specific Ab (or Ag), the reagent of (b) and test sample;
(f) a mono- or poly-clonal antibody (Ab1) produced by immunizing an animal with (A);
(g) an anti-idiotypic antibody (Ab2) prepared by immunizing an animal with Ab1; and
(h) a therapeutic composition containing (A), Ab1 or Ab2, optionally as a conjugate, plus an excipient.
ACTIVITY - Antiviral; antiparasitic; anticancer; neuroprotective.
MECHANISM OF ACTION - (A) induce a specific immune response or interact with specific MHC (major histocompatibility complex) molecules associated with a particular autoimmune disease but are unable to activate a pathological T cell response.
USE - (A) are useful for the diagnosis of disease associated with the presence of endogenous or exogenous proteins (e.g. viral or parasitic infections, cancer, autoimmune diseases and neurodegeneration); to detect such proteins in environmental samples, foods, pharmaceutical or cosmetic compositions; therapeutically, especially in immunotherapy and vaccines (for treating or preventing the above diseases) and to generate specific antibodies (Ab1) that react with (A) or with the native peptides and proteins from which (A) are derived.
ADVANTAGE - (A) have better metabolic stability towards proteases than similar peptides or proteins and may also have better biological activities as a result of conformational differences. They are easy to prepare by solid phase or solution methods.
Dwg.0/2
FS CPI
FA AB; DCN
MC CPI: B04-C01B; B04-G21; B04-G22; B11-C07A6; B12-K04A; B12-K04E; B14-A02; B14-G02D; B14-H01; B14-J01; B14-S11

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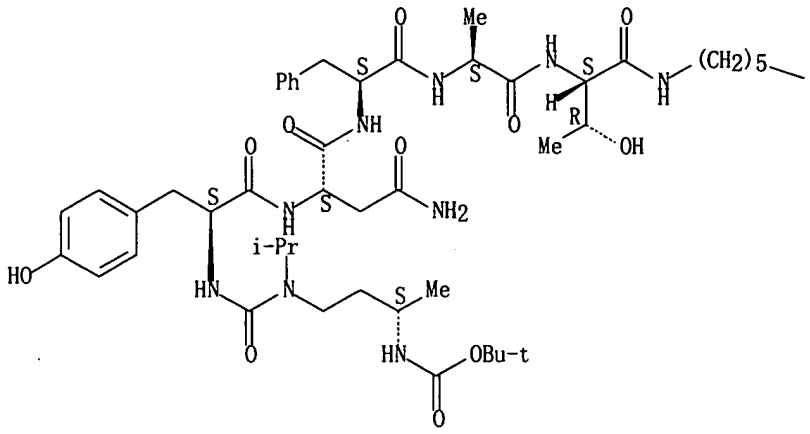

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L42 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:830274 HCAPLUS
DN 137:325643
ED Entered STN: 31 Oct 2002
TI Polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression
IN Baird, Eldon E.; Dervan, Peter B.
PA California Institute of Technology, USA
SO U.S., 53 pp., Cont.-in-part of Appl. No. PCT/US97/12722.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07D231-02
ICS C07D403-02; C07D233-04; C07N019-00; C07N021-02
NCL 548312200
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 3, 27
FAN.CNT 11

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Search done by Noble Jarrell

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C07K007/02; C07K007/04; C08G069/00 <--

AB The invention encompasses improved polyamides for binding to specific nucleotide sequences in the minor groove of double-stranded DNA. The polyamides are in the form of a hairpin comprising two groups of a least three consecutive carboxamide residues, the two groups covalently linked by an aliphatic amino acid reside, preferably .gamma.-aminobutyric acid or 2,4-diaminobutyric acid, the consecutive carboxamide residues of the first group pairing being in an antiparallel manner with the consecutive carboxamide residues of the second group in the minor groove of double-stranded DNA. The 3-hydroxy-N-methylpyrrole/N-methylpyrrole carboxamide pair specifically recognizes the T.cntdot.A base pair, while the N-methylpyrrole/3-hydroxy-N-methylpyrrole pair recognizes A.cntdot.T nucleotide pairs. Similarly, an N-methylimidizole/N-methylpyrrole carboxamide pair specifically recognizes the G.cntdot.C nucleotide pair, and the N-methylpyrrole/N-methylimidizole carboxamide pair recognizes the C.cntdot.G nucleotide pair. Preferably, the binding of the polyamide to the DNA modulates the expression of a gene. Increased specificity of 3-hydroxy-N-methylpyrrole-containing polyamides was demonstrated.

ST polyamide pyrrole hydroxypyrrole DNA binding; gene expression polyamide pyrrole hydroxypyrrole contg

IT Gene
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(expression; polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT DNA
Gene
Promoter (genetic element)
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT Polyamides, preparation
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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RL: RCT (Reactant); RACT (Reactant or reagent)
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
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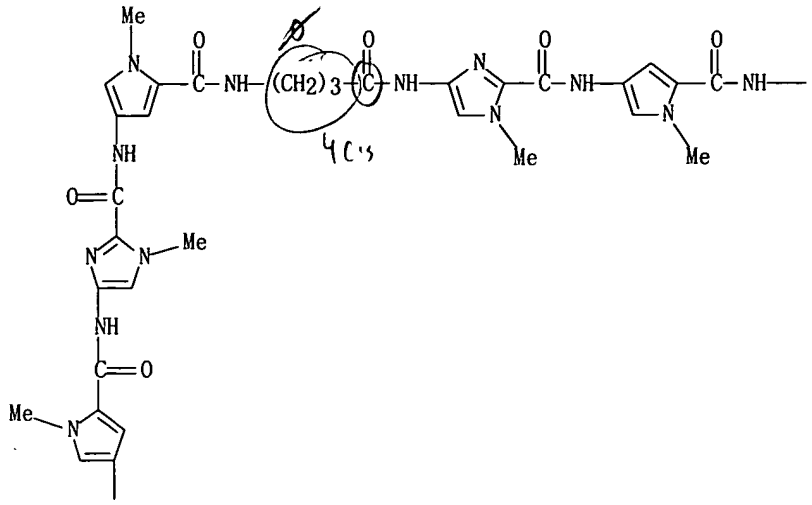

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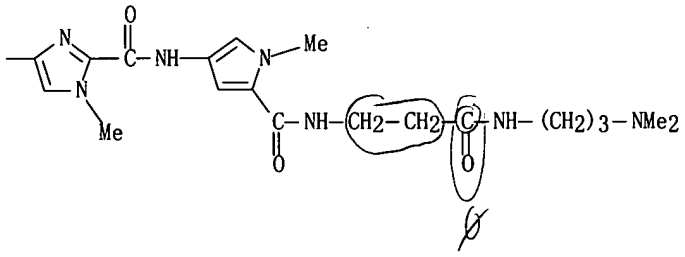

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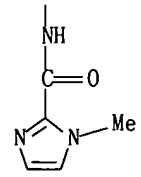

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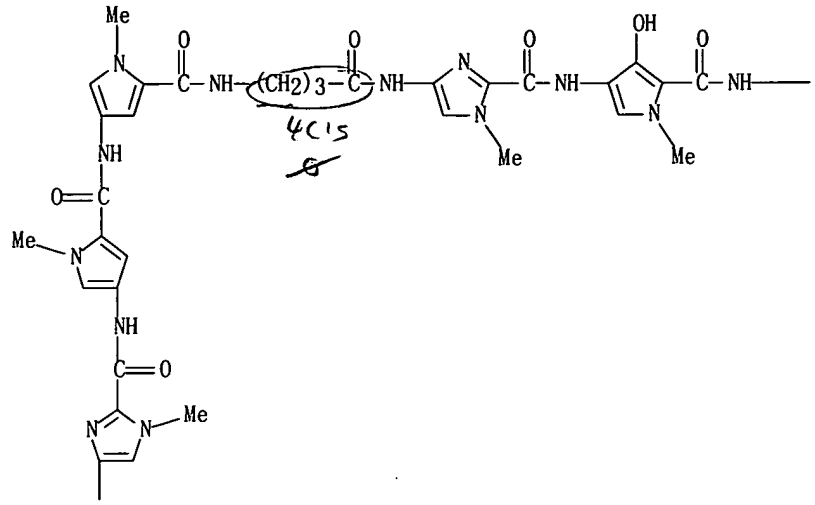

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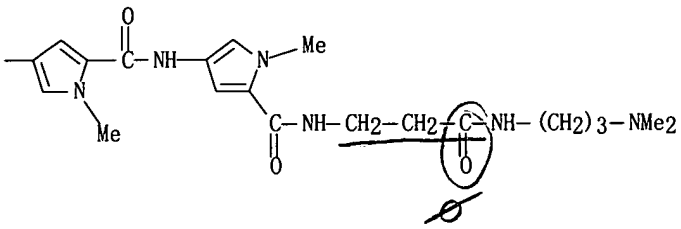

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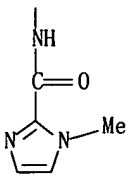

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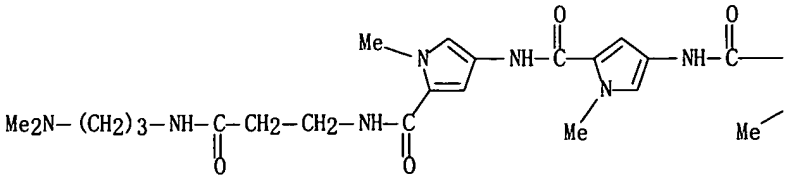

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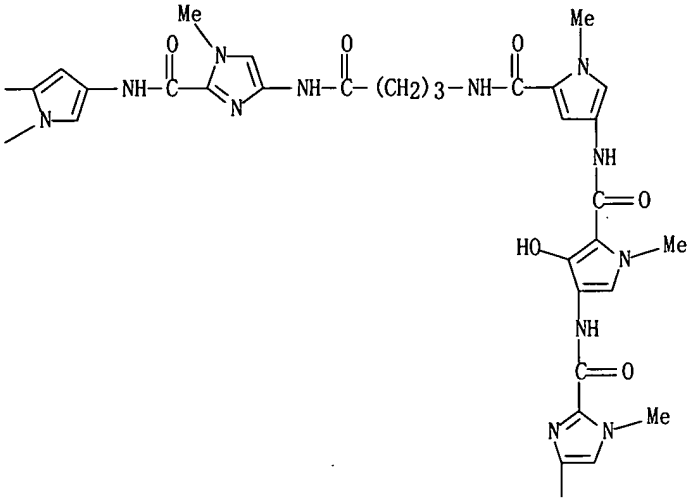

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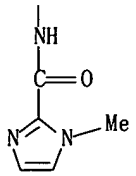

L42 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:444531 HCAPLUS
DN 135:61551
ED Entered STN: 20 Jun 2001
TI preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria
IN Asu, Tatsuo; Yoshida, Osamu; Sumino, Yukihito
PA Shionogi and Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 96 pp.
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Search done by Noble Jarrell

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1

FAN.CNT 1

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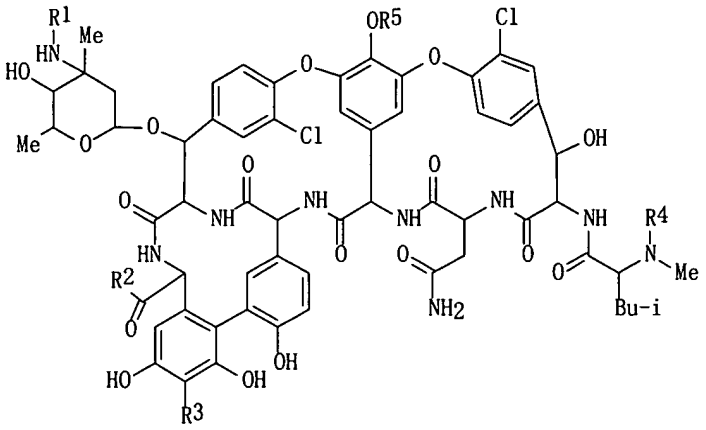
OS MARPAT 135:61551

GI



I

AB Title compds. I [R1 = H, (un)substituted benzyl, alkyl, alkenyl, alkynyl, arylalkylcarbamoyl, etc.; R2 = OH, (un)substituted (di)alkylamino, cycloalkylamino, methylamino, etc.; R3 = H, (un)substituted aminomethyl, alkynyl, halo, etc.; R4 = H, (un)substituted alkyl, alkyloxycarbonyl, arylamide, etc.; R5 = H, glucosyl, (4-epi-vancosaminyl)-O-glucosyl], pharmaceutically acceptable salts, hydrates, or prodrugs are prepared Compound I [R1 = 4-[2-(4-chlorophenyl)vinyl]benzyl, R2 = OH, R3 = H, R4 = p-methoxybenzyloxycarbonyl, R5 = glucosyl] was reacted in the presence of Na2CO3 in F3CCO2H in H2O to give 36% I [R1 = 4-[2-(4-chlorophenyl)vinyl]benzyl, R2 = OH, R3 = H, R4 = H, R5 = glucosyl] showing good bactericidal activity against MRSA.

ST glycopeptide prepn antibiotic vancomycin resistant Enterococcus; methicillin resistant bacteria antibiotic glycopeptide prepn

IT Antibiotics
Enterococcus
(preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria)

IT 345267-17-6P 345267-19-8P 345267-21-2P 345267-22-3P 345267-23-4P
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(preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria)

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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria)

IT 345268-61-3P 345268-62-4P 345268-63-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria)

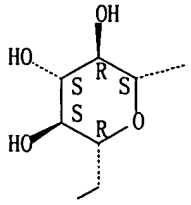
IT **345268-37-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycopeptides as antibiotics against vancomycin-resistant Enterococcus and methicillin-resistant bacteria)

RN 345268-37-3 HCAPLUS

CN Vancomycin, 2'-O-de(3-amino-2,3,6-trideoxy-3-C-methyl-.alpha.-L-lyxo-hexopyranosyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-29-[[[2-oxo-2-(2,2,2-trimethylhydrazinium-1-yl)ethyl]amino]methyl]-22-O-[2,3,6-trideoxy-3-[[[4-(heptyloxy)phenyl]methyl]amino]-3-C-methyl-.alpha.-L-arabino-hexopyranosyl]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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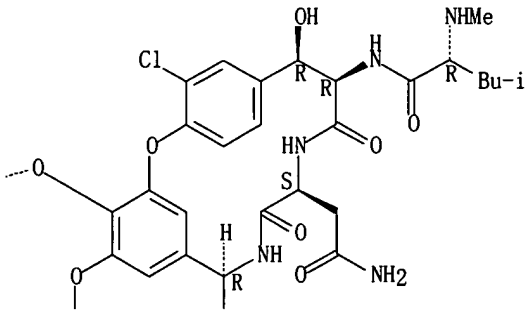

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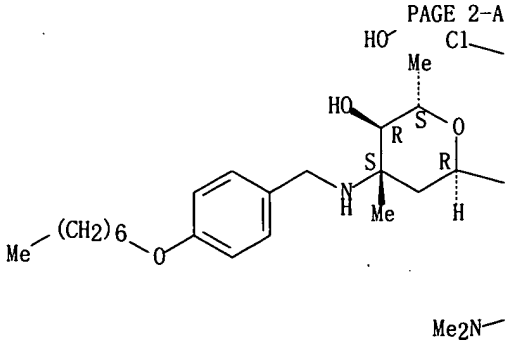

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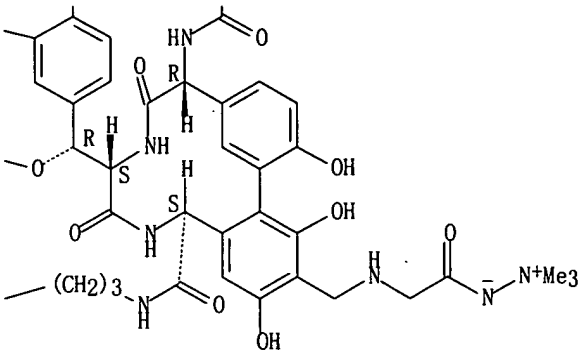

L42 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:779155 HCAPLUS
DN 132:19609
ED Entered STN: 09 Dec 1999
TI Inhibition of transcription or cell proliferation with DNA-binding polyamides
IN Dervan, Peter B.; Gottesfeld, Joel M.
PA The Scripps Research Institute, USA; California Institute of Technology
SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 837,524.
CODEN: USXXAM
DT Patent
LA English
IC ICM C12Q001-68
NCL 435006000
CC 3-1 (Biochemical Genetics)
Section cross-reference(s): 1
FAN.CNT 11

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	WO 9850058	A1	19981112	WO 1997-US12733	19970721 <--
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	US 1996-24374P	P	19960801 <--		
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US 1996-607078	A2	19960226	<--
US 1997-38394P	P	19970214	<--
WO 1997-US3332	A2	19970220	<--
US 1997-853525	A	19970508	<--
WO 1997-US12722	W	19970721	<--
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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	NCL	435006000
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US 6143901	ECLA	A61K047/48R2T <--
WO 9850058	ECLA	C12N015/63 <--
US 6303312	ECLA	A61K047/48R2T; C07D207/34; C07D233/90; C07D403/14R+233+207; C07D403/14R+231+207; C07K007/02; <--

AB Methods and compns. are provided for forming complexes intracellularly between dsDNA and oligomers of heterocycles, aliphatic amino acids, particularly omega-amino acids, and a polar end group. By appropriate choice of target sequences and composition of the oligomers, complexes are obtained with low dissociation consts. The formation of complexes can be used for modifying the phenotype of cells, either prokaryotic or eukaryotic, for research and therapy. Thus, polyamides containing N-methylpyrrole and N-methylimidazole were prepared and their binding to DNA characterized. Association consts. of 3.7 X 1010 were observed for certain polyamides. Similar polyamides inhibited TFIIIA binding to the 5S RNA gene, thereby selectively inhibiting transcription of this gene.

ST transcription cell proliferation DNA binding polyamide

IT RNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(5S, gene for, inhibition of transcription of; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Polyamides, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(N-methylpyrrole and/or N-methylimidazole-containing; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Transcription factors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(TFIIIA (transcription factor IIIA), binding to 5S RNA gene of; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Antibacterial agents
Antiviral agents
Cell proliferation
Plant cell
Transcription, genetic
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Animal cell
(mammalian; inhibition of transcription or cell proliferation with

DNA-binding polyamides)
IT 191916-06-0
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(double-stranded, polyamide target; inhibition of transcription or cell proliferation with DNA-binding polyamides)
IT 180530-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)
IT 180530-18-1P
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)
IT 76-02-8 96-54-8 541-41-3, Ethyl chloroformate 616-47-7 2592-95-2, 1-Hydroxybenzotriazole 24424-99-5 57294-38-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)
IT 13138-76-6P 30148-21-1P 77716-11-1P 77716-16-6P 109012-23-9P 120122-47-6P 128293-64-1P 180258-45-1P 180258-46-2P 180258-48-4P 195387-60-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)
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RL: PRP (Properties)
(unclaimed nucleotide sequence; inhibition of transcription or cell proliferation with DNA-binding polyamides)
IT 115440-32-9 140708-73-2 222160-28-3
RL: PRP (Properties)
(unclaimed sequence; inhibition of transcription or cell proliferation with DNA-binding polyamides)
RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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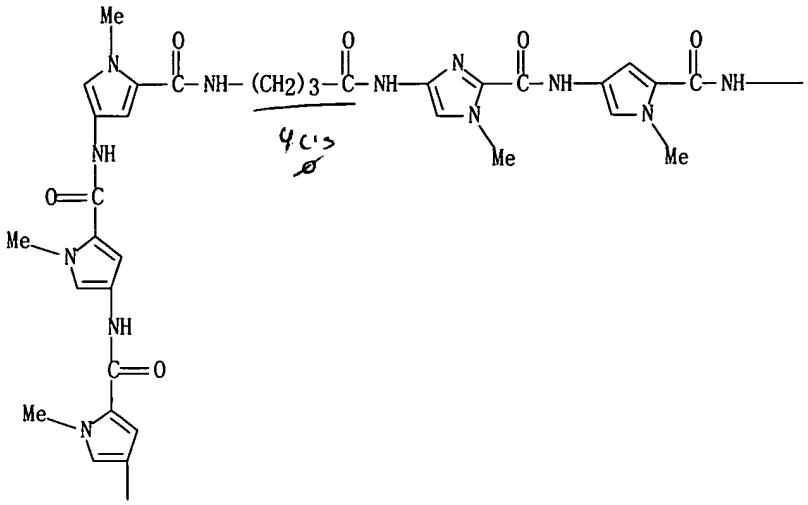
IT 180530-17-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

RN 180530-17-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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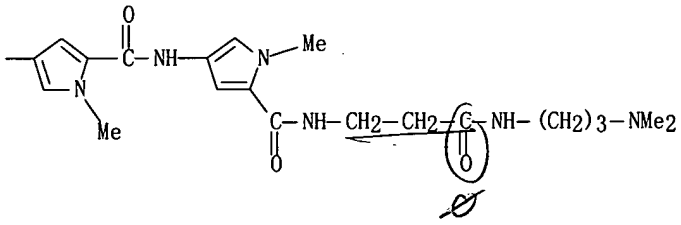

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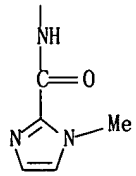

L42 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:755837 HCAPLUS
DN 131:322927
ED Entered STN: 30 Nov 1999
TI Preparation of vancomycin-related antibacterial agents
IN Chen, Qi Qi; Griffin, John H.; Jenkins, Thomas E.; Judice, J. Kevin; Linsell, Martin S.; Leadbetter, Michael R.
PA Advanced Medicine Inc., USA
SO Fr. Demande, 193 pp.
CODEN: FRXXBL
DT Patent
LA French
IC ICM C07K009-00
ICS A61K038-14
CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 10, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2778184	A1	19991105	FR 1999-2172	19990222 <--
	US 6518242	B1	20030211	US 1999-253670	19990219 <--
	ZA 9901412	A	20000822	ZA 1999-1412	19990222 <--
	IT 1307018	B1	20011023	IT 1999-TO134	19990222 <--
PRAI	US 1998-75514P	P	19980220 <--		
	US 1998-78903P	P	19980320 <--		
	US 1998-82209P	P	19980417 <--		
	US 1999-119162P	P	19990208 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
FR 2778184	ICM	C07K009-00
	ICS	A61K038-14
FR 2778184	ECLA	C07K009/00F2 <--
US 6518242	ECLA	C07K009/00F2 <--

AB Novel antibacterial agents that act as multi-binding agents, LpXq [L is a ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of the invention are capable of binding to a transglycosylase enzyme substrate, thereby modulating their biol. processes/functions. Thus, [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was prepared by condensation of vancomycin hydrochloride with pentanedioic acid bis(2-aminoethyl)amide and used to prepare pharmaceutical formulations. The compds. of the invention showed a broad spectrum of antibacterial activity.

ST glycopeptide linked vancomycin prepn antibacterial; vancomycin linked peptide prepn antibacterial

IT Antibacterial agents
(preparation of vancomycin-related antibacterial agents)

IT Glycopeptides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vancomycin-related antibacterial agents)

IT 239087-61-7P 239087-64-0P 239087-65-1P 239087-81-1P 239087-83-3P
239087-86-6P 239087-87-7P 239087-88-8DP, N-methyl-D-glucamine derivative 239087-88-8P 239087-89-9P 239087-92-4P 239087-93-5P
239087-94-6P 239087-96-8P 239088-07-4P
239088-09-6P 239088-11-0P 239088-15-4P
239088-29-0P 239088-31-4P 239088-33-6P 239088-35-8P
239088-37-0P 239088-39-2P 239088-41-6P 239088-45-0P
239088-49-4DP, N-methyl-D-glucamine derivative 239088-49-4P 239088-52-9P
239088-54-1P 239088-56-3P 239088-58-5P 239088-60-9P 239088-62-1P
239088-64-3P 239088-67-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vancomycin-related antibacterial agents)

IT 107-15-3, 1,2-Ethanediamine, reactions 109-55-7, 3-(Dimethylamino)propylamine 110-60-1, 1,4-Diaminobutane 112-13-0, Decanoyl chloride 112-29-8, 1-Bromodecane 141-43-5, Reactions, reactions 626-15-3, .alpha.,.alpha.'-Dibromo-m-xylene 1404-93-9, Vancomycin hydrochloride 2873-74-7, Glutaryl dichloride 5680-79-5, Glycine methyl ester hydrochloride 5736-88-9, p-Butoxybenzaldehyde 34490-86-3, Dimethyl suberimidate dihydrochloride 57260-73-8 57530-93-5 98577-77-6 105496-31-9 239087-62-8 239087-66-2

239087-68-4 239087-69-5 239087-70-8 239087-90-2 239087-95-7
239088-01-8 239088-08-5 239088-12-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of vancomycin-related antibacterial agents)

IT 15196-28-8P, 2-(Decylamino)ethanol 62248-80-0P 156939-62-7P
239087-63-9P 239087-67-3P 239087-72-0P 239087-74-2P 239087-76-4P
239087-77-5P 239087-79-7P 239087-91-3P 239087-98-0P 239088-00-7P
239088-02-9P 239088-03-0P 239088-05-2P 239088-13-2P 239088-19-8P
239091-79-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vancomycin-related antibacterial agents)

IT 239088-22-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of vancomycin-related antibacterial agents)

IT 239087-86-6P 239087-92-4P 239087-96-8P
239088-07-4P 239088-09-6P 239088-11-0P
239088-15-4P 239088-33-6P 239088-35-8P
239088-37-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vancomycin-related antibacterial agents)

RN 239087-86-6 HCAPLUS

CN Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (56.fwdarw.2'')(56'.fwdarw.2''')-diamide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine], trifluoroacetate (salt) (9CI) (CA INDEX NAME)

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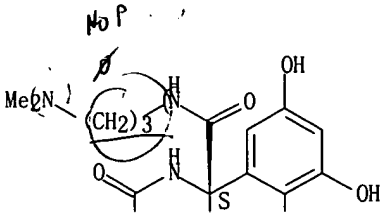
CRN 239087-85-5
CMF C163 H208 Cl4 N28 O52

Absolute stereochemistry.

PAGE 1-A



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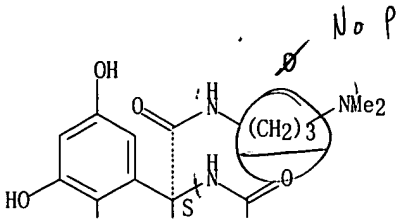

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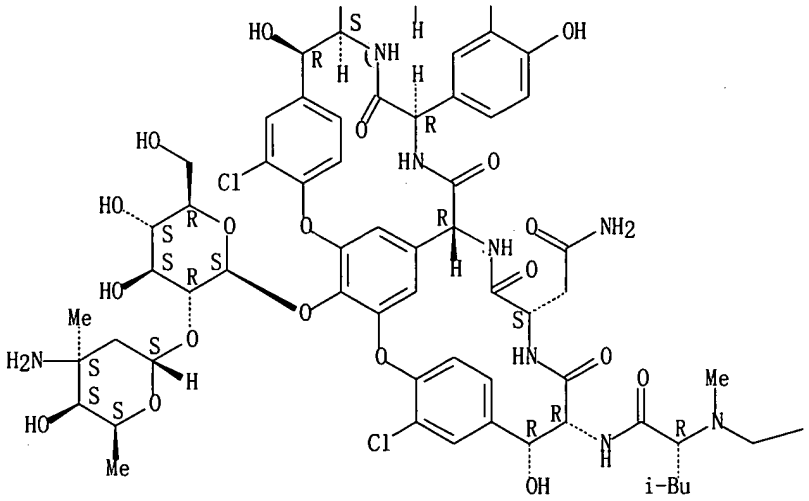

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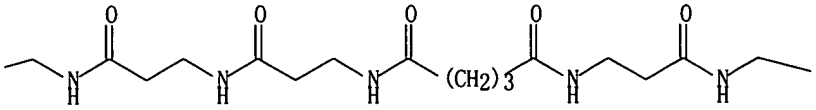

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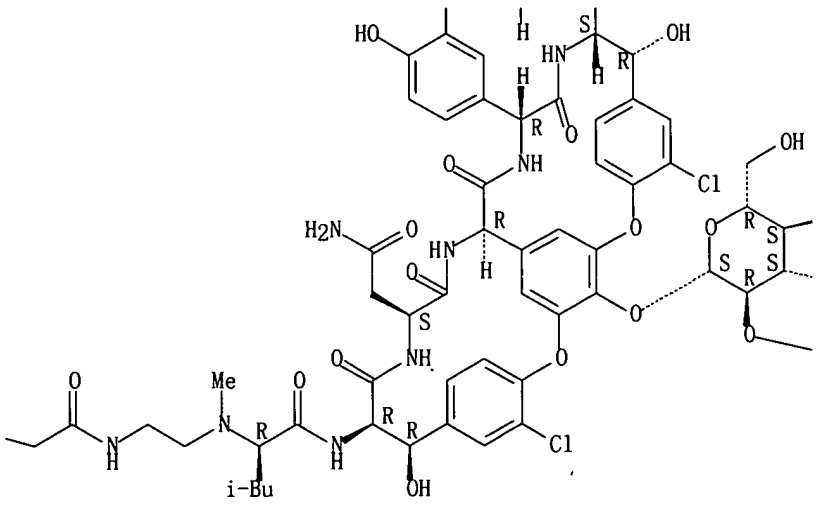

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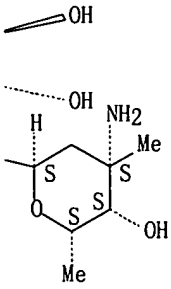
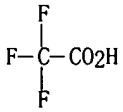


CM 2

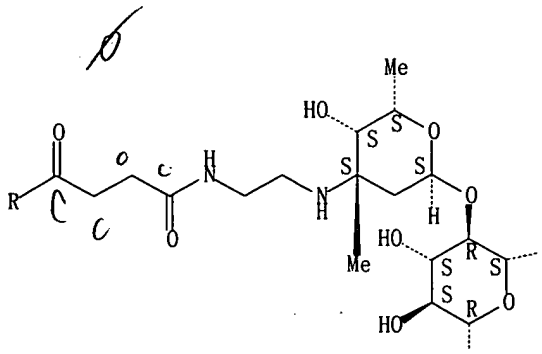
CRN 76-05-1
CMF C2 H F3 O2



RN 239087-92-4 HCAPLUS
CN Vancomycin, N3''-[2-[[4-[(2-aminoethyl)amino]-1,4-dioxobutyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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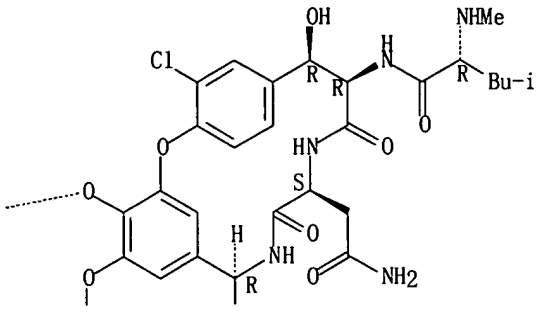

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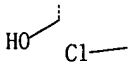
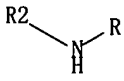
PAGE 2-A





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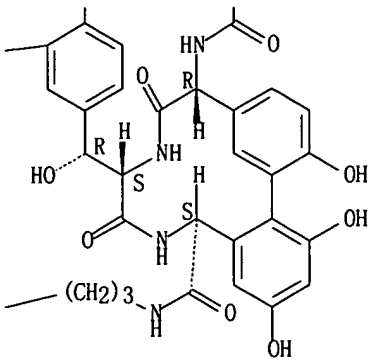

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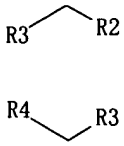

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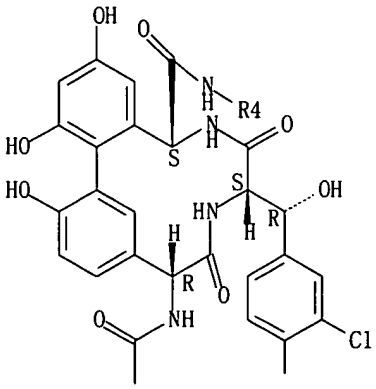

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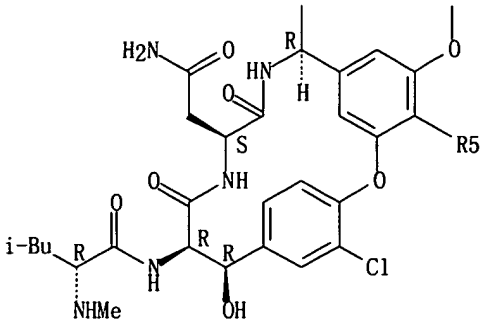

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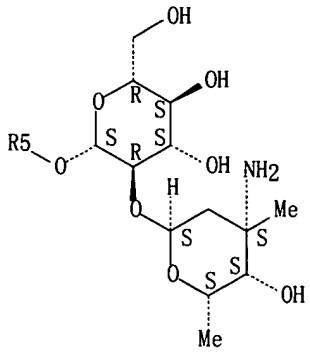
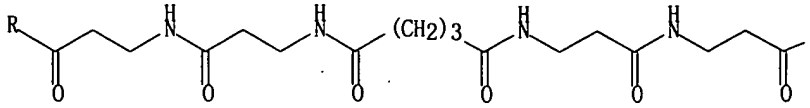


RN 239087-96-8 HCAPLUS
CN Vancomycin, N3''-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.2')-amide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine] (2.fwdarw.26'')-amide with 26-[[(2-aminoethyl)amino]carbonyl]-26-decarboxyvancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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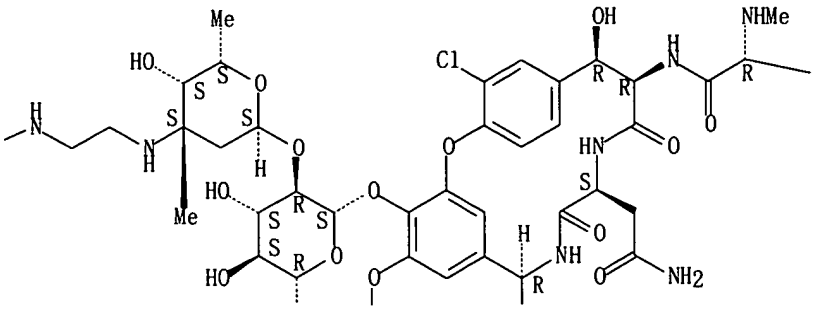

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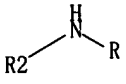
—Bu-i

PAGE 2-A



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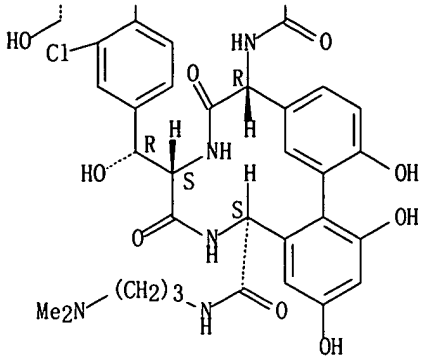

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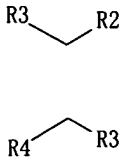

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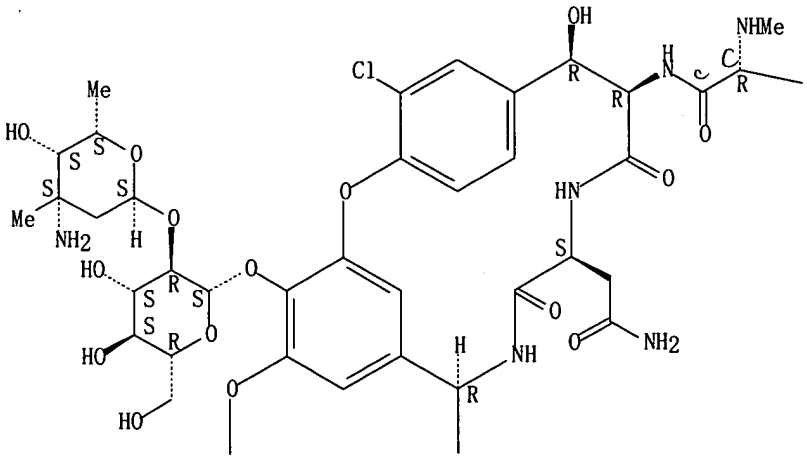

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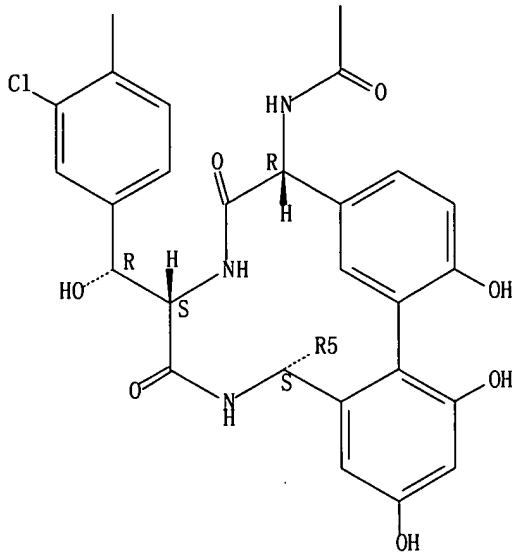
—Bu-i

PAGE 6-A



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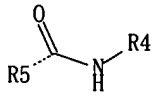


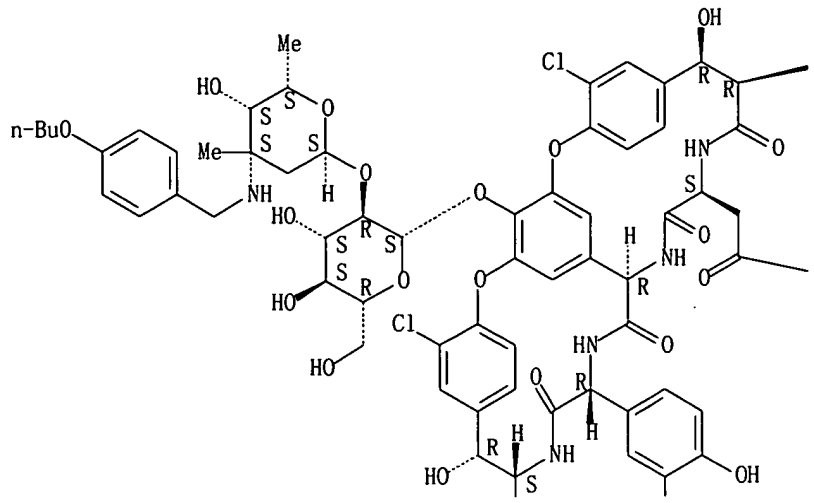
RN 239088-07-4 HCAPLUS
CN Vancomycin, N3''-[2-[[5-[(2-aminoethyl)amino]-1,5-dioxopentyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with N3''-[(4-butoxyphenyl)methyl]vancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-06-3
CMF C157 H191 Cl4 N23 O49

Absolute stereochemistry.

PAGE 1-A



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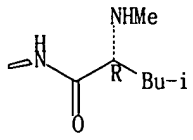

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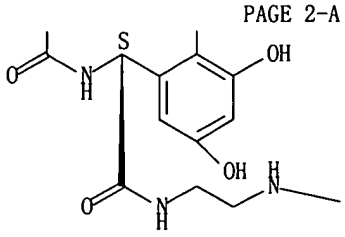

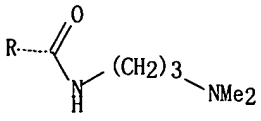

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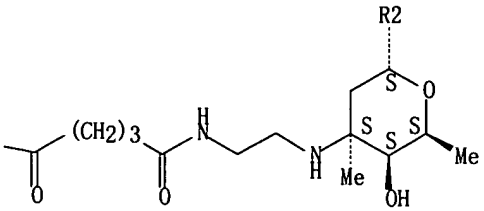

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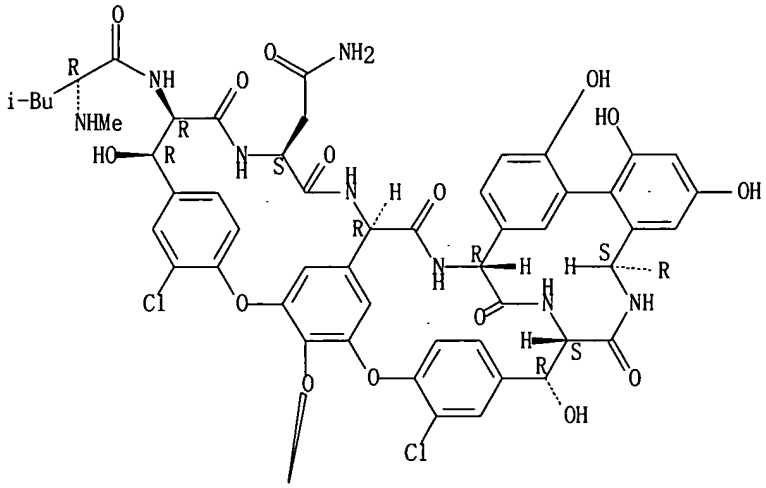

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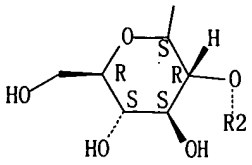
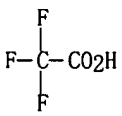


CM 2

CRN 76-05-1
CMF C2 H F3 O2



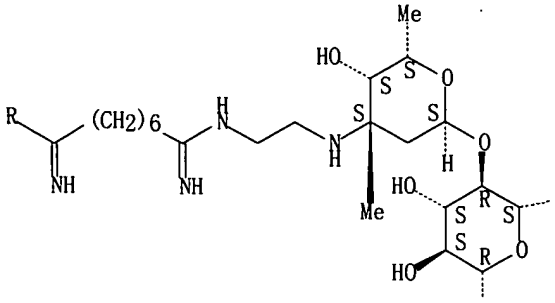
RN 239088-09-6 HCAPLUS

Search done by Noble Jarrell

CN Vancomycin, N3''-[2-[[8-[(2-aminoethyl)amino]-1,8-diiminooctyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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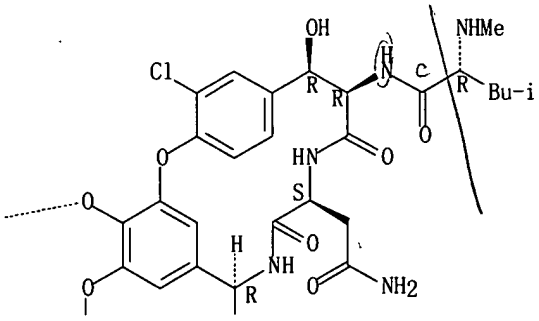

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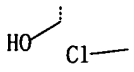

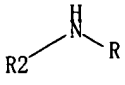

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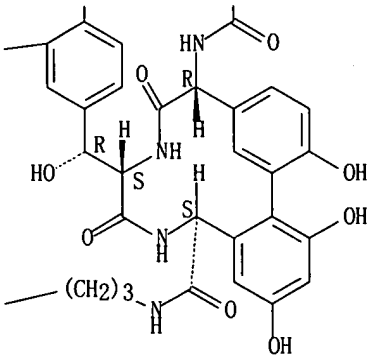

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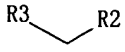

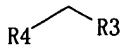

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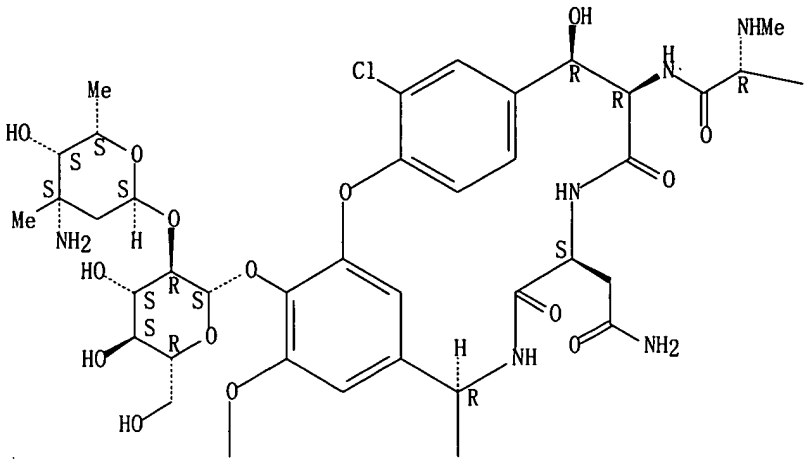

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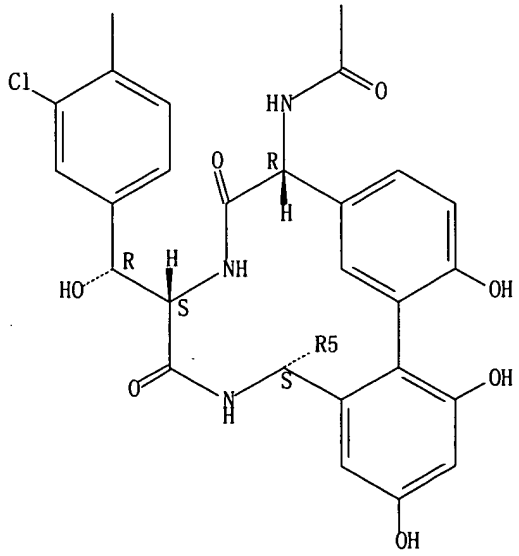
PAGE 6-A



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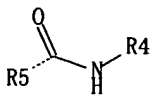

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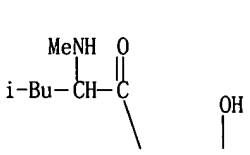
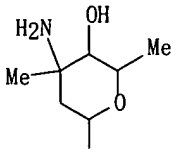
CM 1

CRN 239088-10-9
CMF C158 H197 C14 N27 O52

PAGE 1-A





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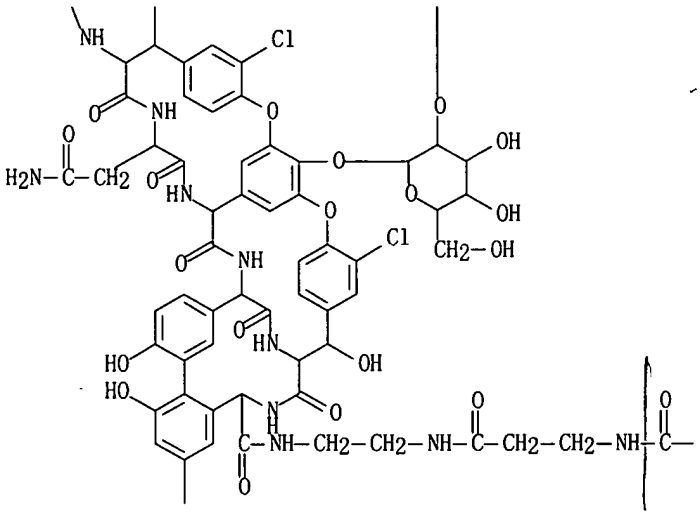

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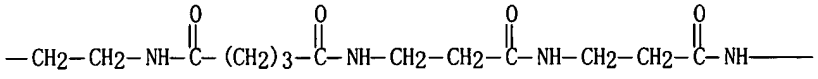

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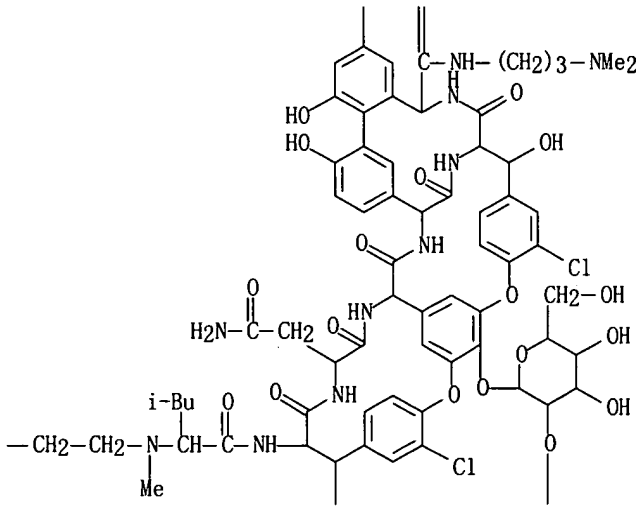

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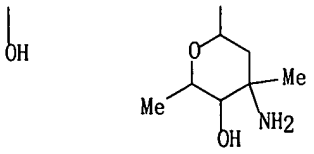

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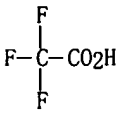
CRN 76-05-1
CMF C2 H F3 O2



RN 239088-15-4 HCAPLUS
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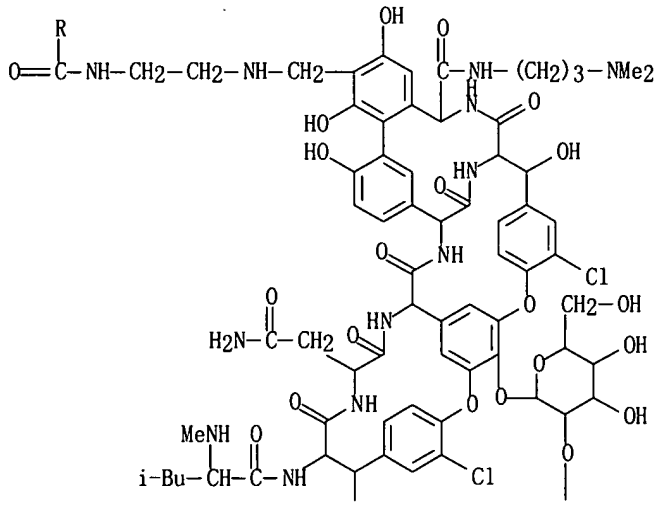
CRN 239088-14-3
CMF C140 H168 Cl4 N22 O46

PAGE 1-A



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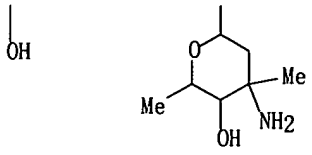

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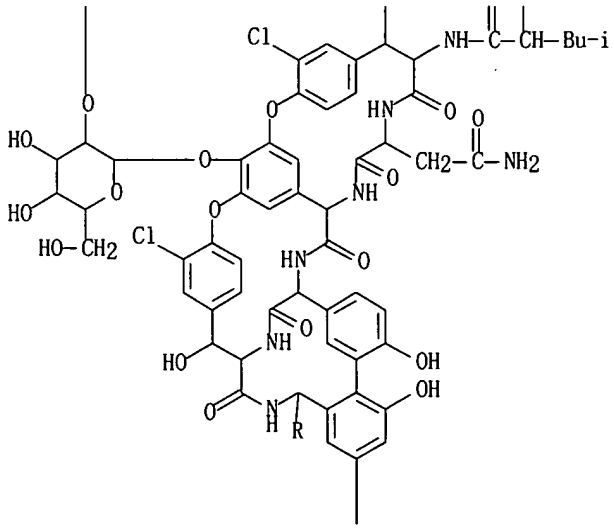

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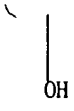

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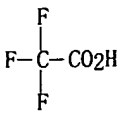
CRN 76-05-1
CMF C2 H F3 O2



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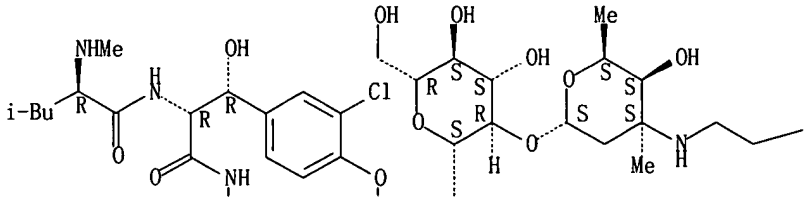
Absolute stereochemistry.

PAGE 1-A



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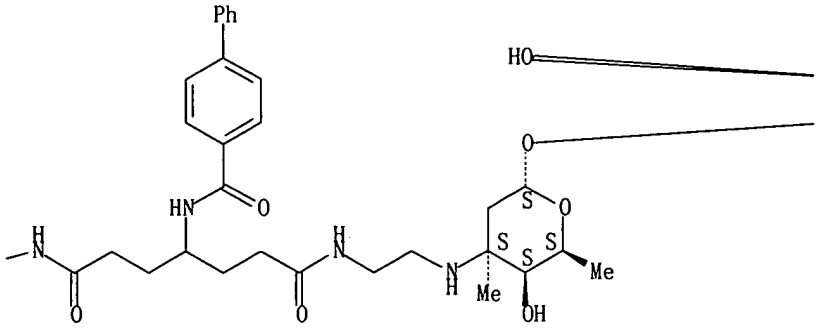

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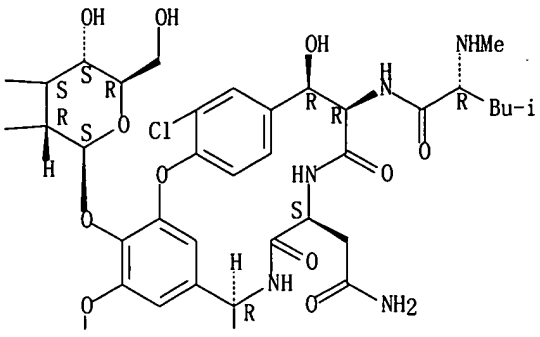

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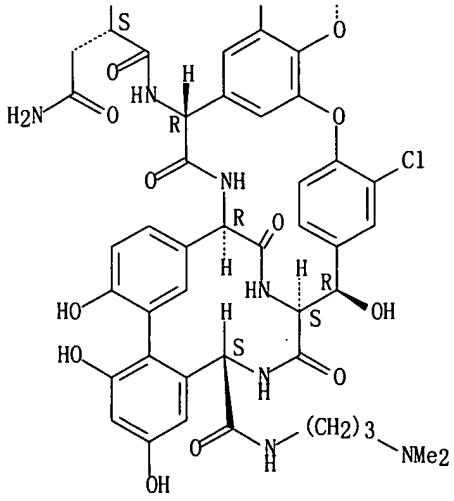

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Cl———————

Me2N———————

Search done by Noble Jarrell

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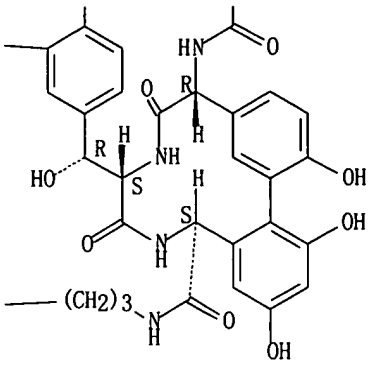

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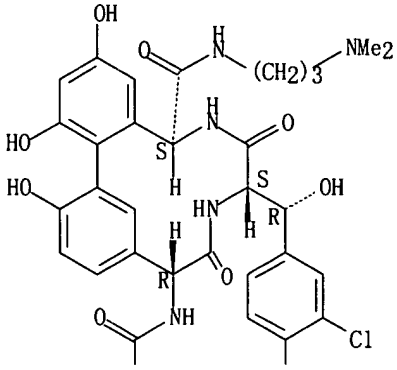
Absolute stereochemistry.

PAGE 1-A



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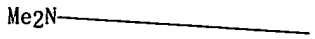

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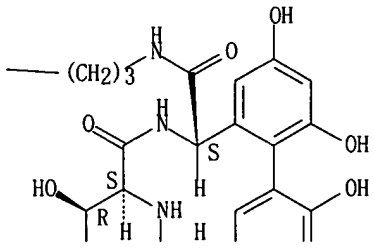

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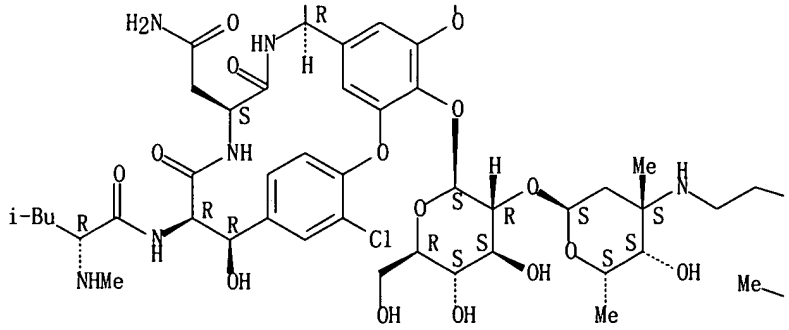

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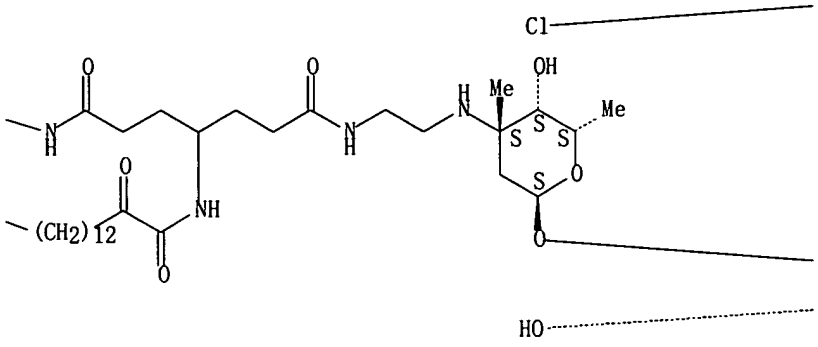

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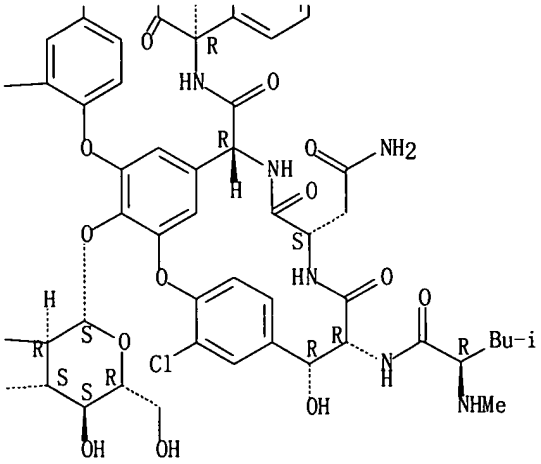

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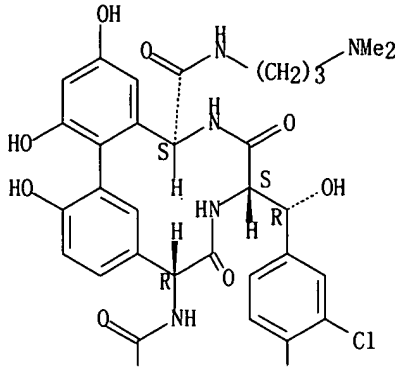
CN Vancomycin, N3'',N3''''-[[5-(phenylmethoxy)-1,3-phenylene]bis(carbonylimino-2,1-ethanediyl)]bis[26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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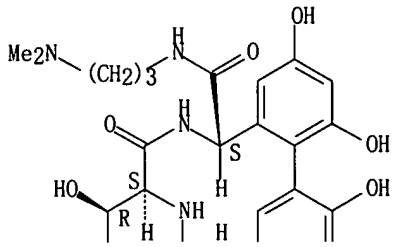

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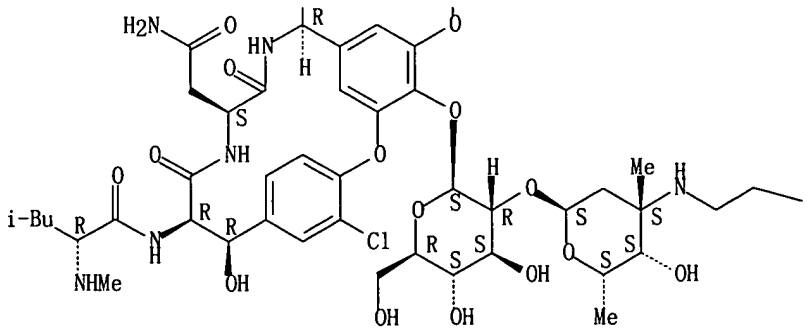

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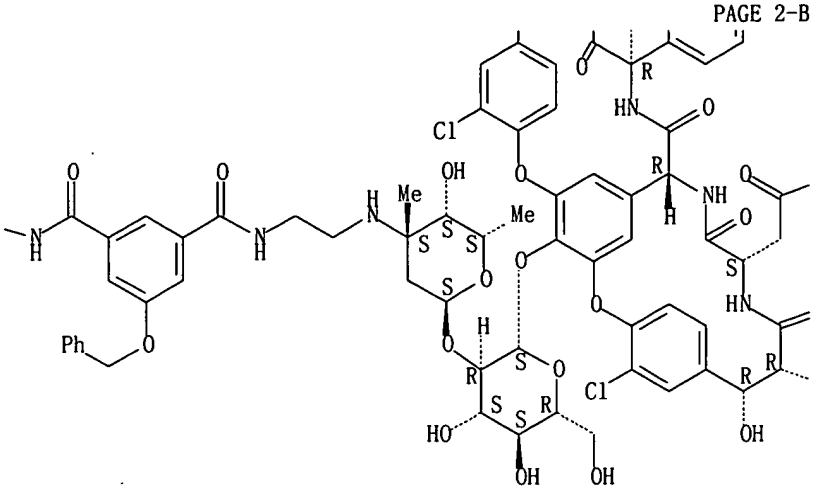

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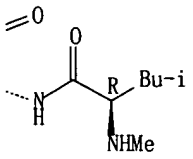

L42 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:549285 HCAPLUS
DN 131:170642
ED Entered STN: 31 Aug 1999
TI Preparation of vancomycin-related antibacterial agents
IN Chon, Qi-Qi; Griffin, John H.; Jenkins, Thomas E.; Judice, J. Kevin; Linsell, Martin S.
PA Advanced Medicine, Inc., USA
SO PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K007-50
ICS A61K038-12
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 10, 63
FAN.CNT 2

Search done by Noble Jarrell

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9942476	A1	19990826	WO 1999-US3850	19990222 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	US 6518242	B1	20030211	US 1999-253670	19990219 <--
	CA 2318394	AA	19990826	CA 1999-2318394	19990222 <--
	AU 9933073	A1	19990906	AU 1999-33073	19990222 <--
	ZA 9901412	A	20000822	ZA 1999-1412	19990222 <--
	EP 1060189	A1	20001220	EP 1999-934285	19990222 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	IT 1307018	B1	20011023	IT 1999-T0134	19990222 <--
PRAI	US 1998-75514P	P	19980220 <--		
	US 1998-78903P	P	19980320 <--		
	US 1998-82209P	P	19980417 <--		
	US 1999-119162P	P	19990208 <--		
	WO 1999-US3850	W	19990222 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9942476	ICM	C07K007-50
	ICS	A61K038-12
WO 9942476	ECLA	C07K009/00F2 <--
US 6518242	ECLA	C07K009/00F2 <--

OS MARPAT 131:170642

AB Novel antibacterial agents that act as multibinding agents, LpXq [L is a ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of the invention are capable of binding to a transglycosylase enzyme substrate, thereby modulating their biol. processes/functions. Thus, [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was prepared by condensation of vancomycin hydrochloride with pentanedioic acid bis(2-aminoethyl)amide and used to prepare pharmaceutical formulations. The compds. of the invention showed a broad spectrum of antibacterial activity.

ST vancomycin linked derivs prepn antibacterial

IT Antibacterial agents
(preparation of vancomycin-related antibacterial agents)

IT Glycopeptides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vancomycin-related antibacterial agents)

IT 239087-61-7P 239087-64-0P 239087-65-1P 239087-81-1P 239087-83-3P 239087-86-6P 239087-87-7P 239087-88-8DP, N-methyl-D-glucamine derivative 239087-88-8P 239087-89-9P 239087-92-4P 239087-93-5P 239087-94-6P 239087-96-8P 239088-07-4P 239088-09-6P 239088-11-0P 239088-15-4P 239088-29-0P 239088-31-4P 239088-33-6P 239088-35-8P 239088-37-0P 239088-39-2P 239088-41-6P 239088-45-0P 239088-49-4DP, N-methyl-D-glucamine derivative 239088-49-4P 239088-52-9P 239088-54-1P 239088-56-3P 239088-58-5P 239088-60-9P 239088-62-1P 239088-64-3P 239088-67-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vancomycin-related antibacterial agents)

IT 107-15-3, 1,2-Ethanediamine, reactions 109-55-7, 3-(Dimethylamino)propylamine 110-60-1, 1,4-Butanediamine 112-13-0, Decanoyl chloride 112-29-8, 1-Bromodecane 141-43-5, reactions 626-15-3, .alpha.,.alpha.'-Dibromo-m-xylene 1404-93-9, Vancomycin hydrochloride 2873-74-7, Glutaryl dichloride 5680-79-5, Glycine methyl ester hydrochloride 5736-88-9, p-Butoxybenzaldehyde 34490-86-3, Dimethyl suberimidate dihydrochloride 57260-73-8 57530-93-5 98577-77-6 105496-31-9 239087-62-8 239087-66-2 239087-68-4 239087-69-5 239087-70-8 239087-90-2 239087-95-7 239088-01-8 239088-08-5 239088-12-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of vancomycin-related antibacterial agents)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of vancomycin-related antibacterial agents)

IT 239088-22-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of vancomycin-related antibacterial agents)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Eli Lilly and Company; WO 9738706 A1 1997 HCAPLUS
(2) Eli Lilly and Company; WO 9852589 A1 1998 HCAPLUS
(3) Staroske, T; Tetrahedron Letters 1998, V39, P4917 HCAPLUS
(4) Sundram, U; J Am Chem Soc 1996, V118, P13107 HCAPLUS

IT 239087-86-6P 239087-92-4P 239087-96-8P 239088-07-4P 239088-09-6P 239088-11-0P 239088-15-4P 239088-33-6P 239088-35-8P 239088-37-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vancomycin-related antibacterial agents)

RN 239087-86-6 HCAPLUS

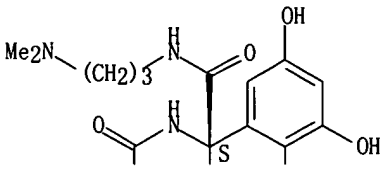
CN Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (56.fwdarw.2''),(56'.fwdarw.2''')-diamide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine], trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

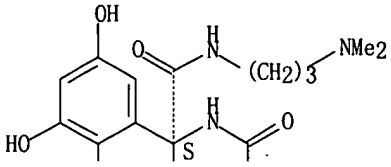
CRN 239087-85-5
CMF C163 H208 C14 N28 052

Absolute stereochemistry.

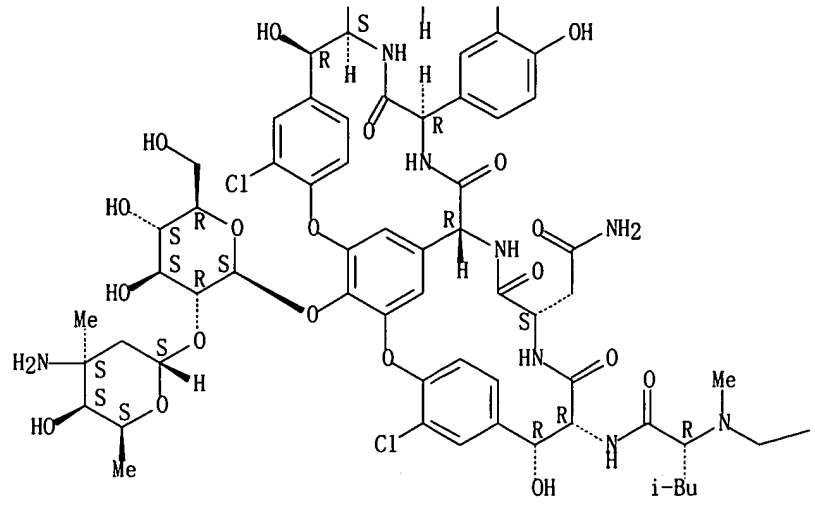
PAGE 1-A



PAGE 1-C



PAGE 2-A



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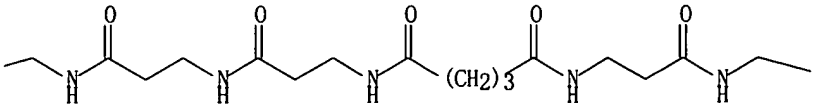

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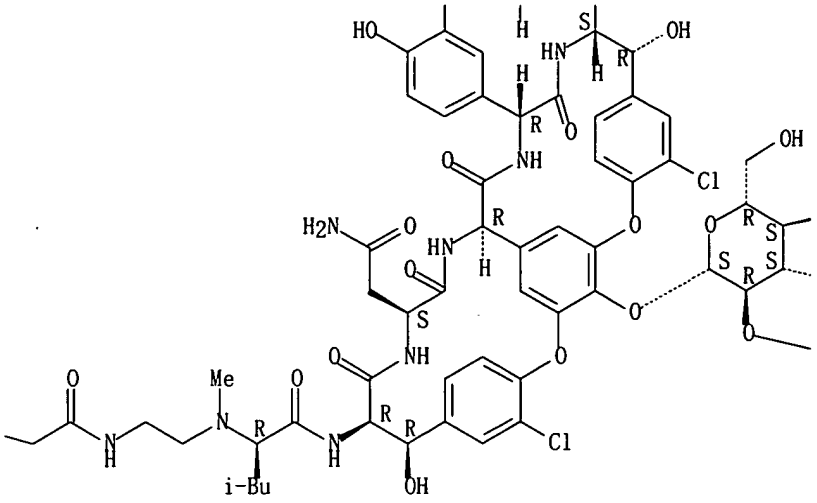

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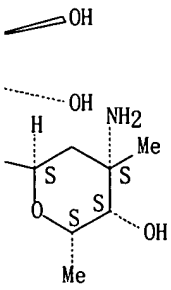
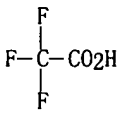


CM 2

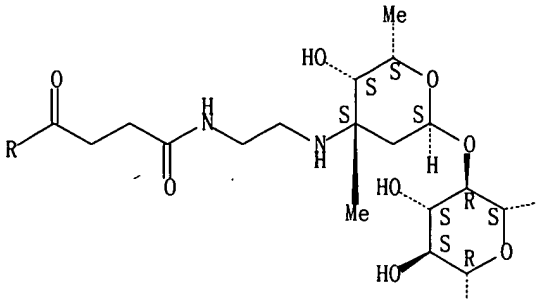
CRN 76-05-1
CMF C2 H F3 O2



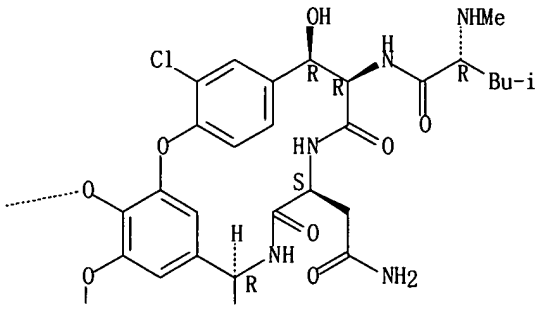
RN 239087-92-4 HCAPLUS
CN Vancomycin, N3''-[2-[[4-[(2-aminoethyl)amino]-1,4-dioxobutyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

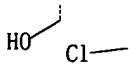
PAGE 1-A

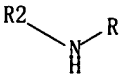


PAGE 1-B



PAGE 2-A





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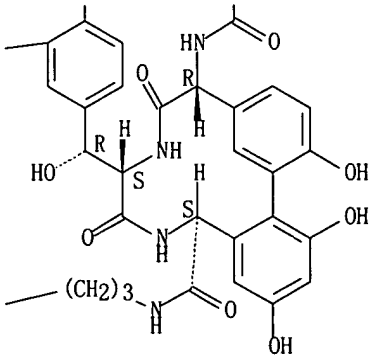
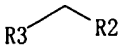
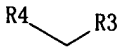
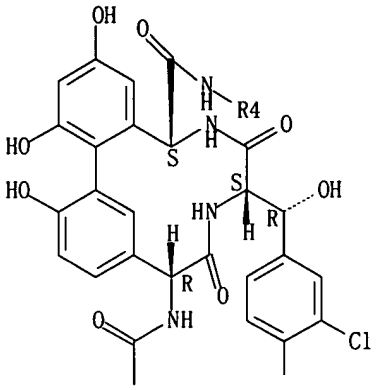


PAGE 3-A

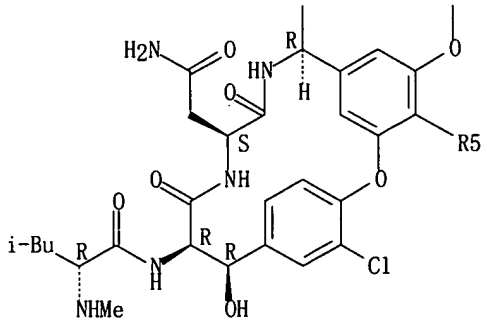




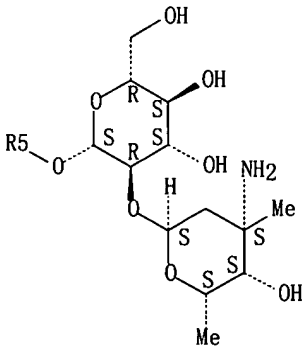
PAGE 5-A



PAGE 6-A



PAGE 7-A



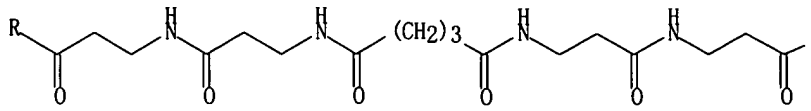
RN 239087-96-8 HCAPLUS
CN Vancomycin, N3''-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.2')-amide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine]

Search done by Noble Jarrell

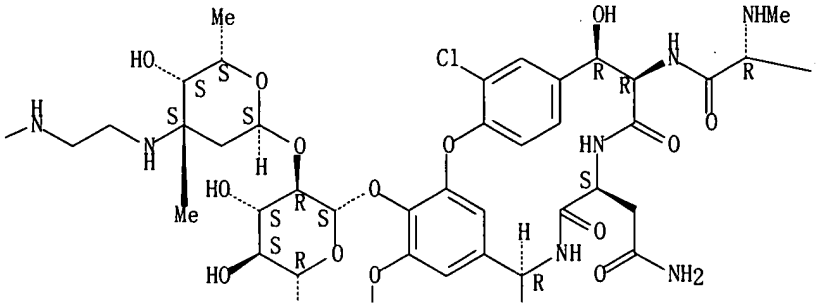
(2.fwdarw.26'')-amide with 26-[[(2-aminoethyl)amino]carbonyl]-26-decarboxyvancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



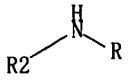
PAGE 1-B



PAGE 1-C

—Bu-i

PAGE 2-A



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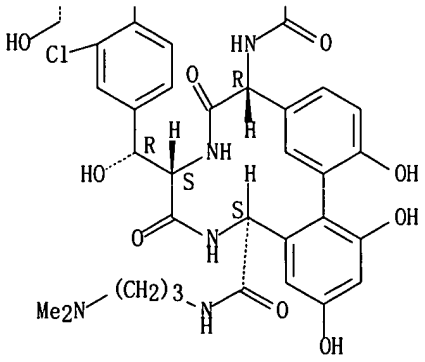

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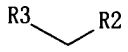
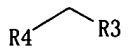
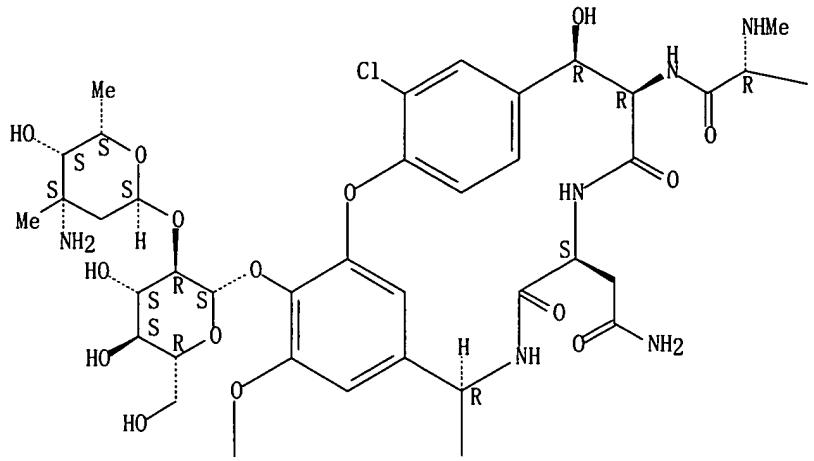




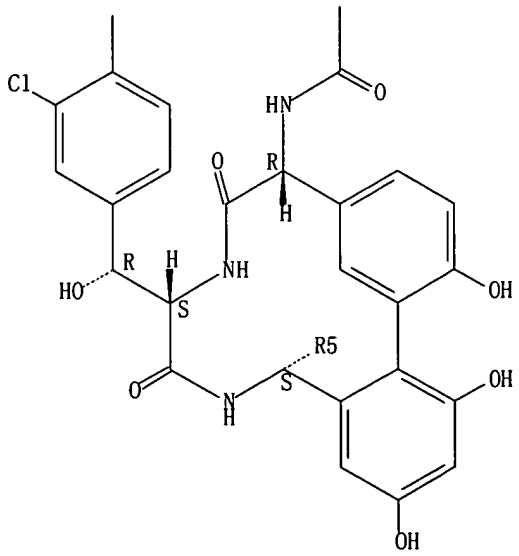
PAGE 5-A



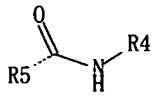
PAGE 5-B

—Bu-i

PAGE 6-A



PAGE 7-A



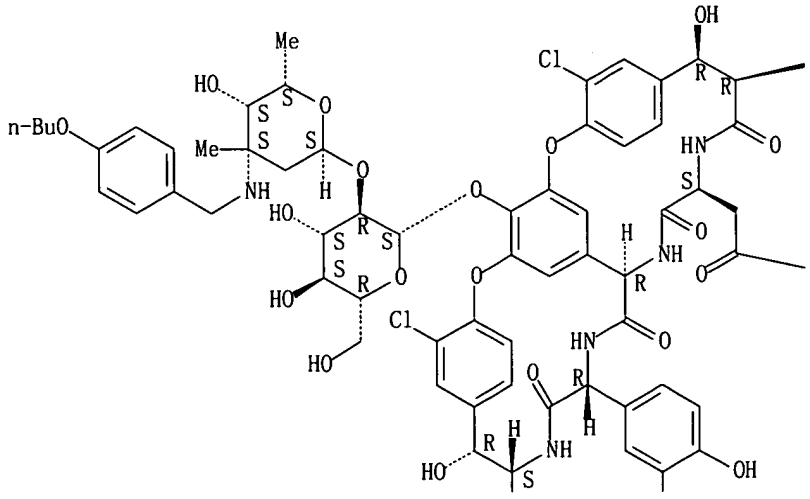
RN 239088-07-4 HCAPLUS
CN Vancomycin, N3''-[2-[[5-[(2-aminoethyl)amino]-1,5-dioxopentyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with N3''-[(4-butoxyphenyl)methyl]vancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

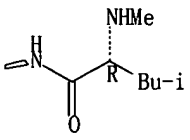
CRN 239088-06-3
CMF C157 H191 Cl4 N23 O49

Absolute stereochemistry.

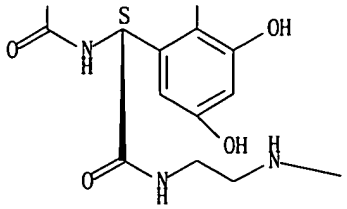
PAGE 1-A

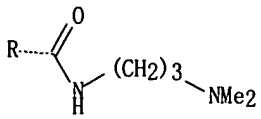


PAGE 1-B

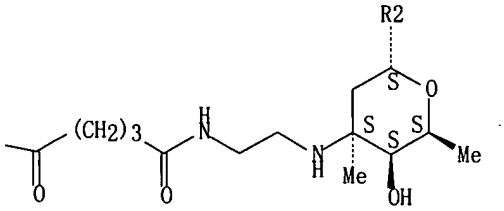


PAGE 2-A

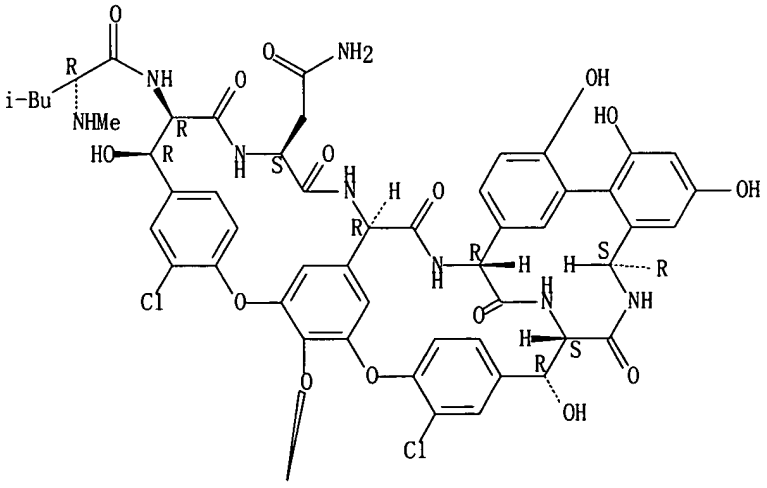




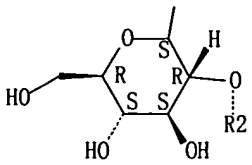
PAGE 2-B



PAGE 3-A

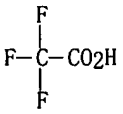


PAGE 4-A



CM 2

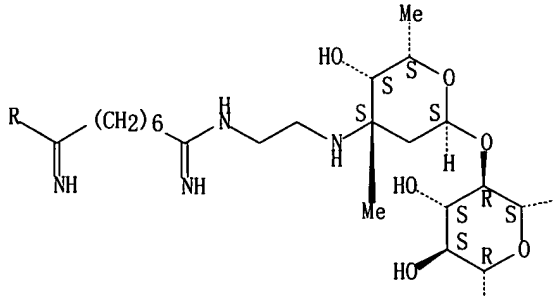
CRN 76-05-1
CMF C2 H F3 O2



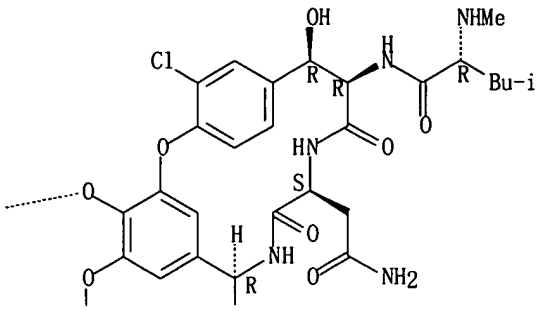
RN 239088-09-6 HCAPLUS
CN Vancomycin, N3''-[2-[[8-[(2-aminoethyl)amino]-1,8-diiminooctyl]amino]ethyl]-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (N3''.fwdarw.58)-amide with vancomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

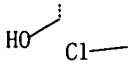
PAGE 1-A

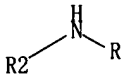


PAGE 1-B



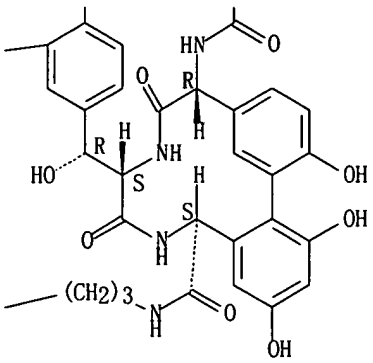
PAGE 2-A



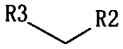


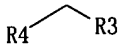
Search done by Noble Jarrell

PAGE 2-B



PAGE 3-A





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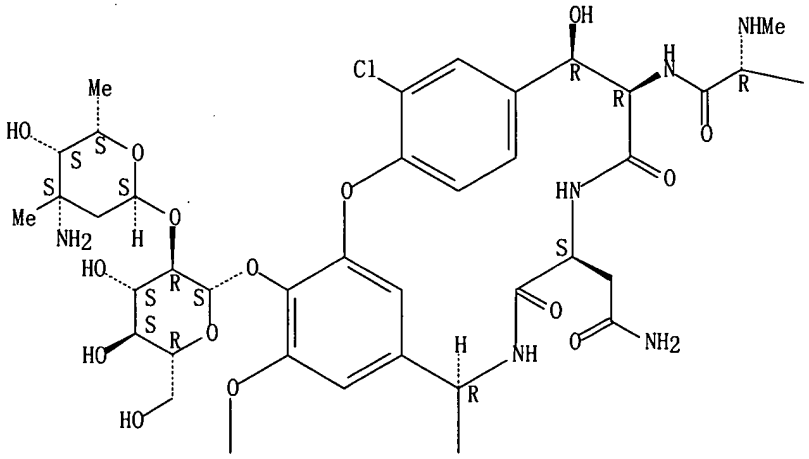
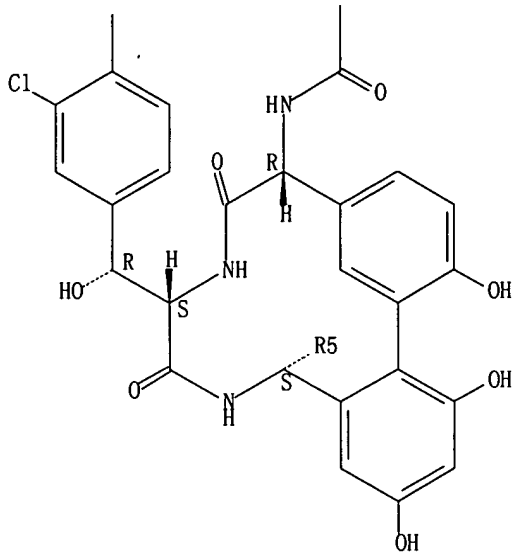


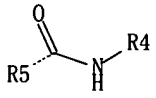
PAGE 5-B

—Bu-i

PAGE 6-A



PAGE 7-A

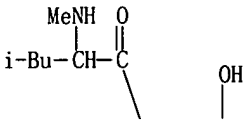


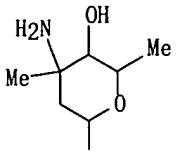
RN 239088-11-0 HCAPLUS
CN Vancomycin, 56-(2-aminoethyl)-26-decarboxy-26-[[[3-(dimethylamino)propyl]amino]carbonyl]-, (56'''.fwdarw.2')-amide with 1,1'-(1,5-dioxo-1,5-pentanediyl)bis[.beta.-alanyl-.beta.-alanine] (2.fwdarw.26'')-amide with 26-[[(2-aminoethyl)amino]carbonyl]-26-decarboxyvancomycin, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 239088-10-9
CMF C158 H197 C14 N27 O52

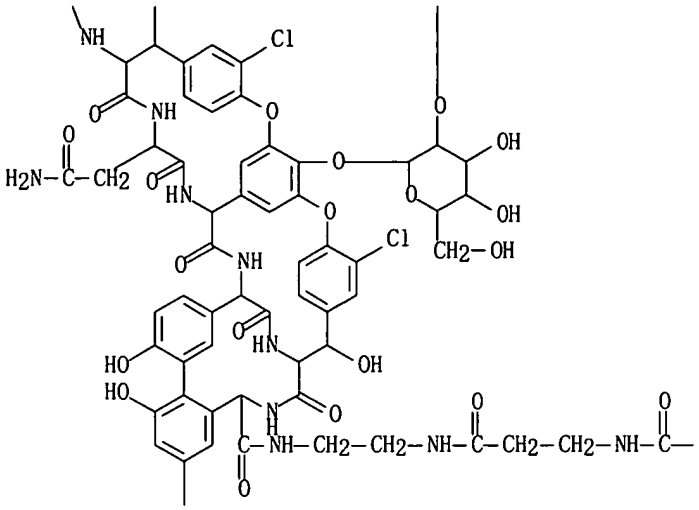
PAGE 1-A



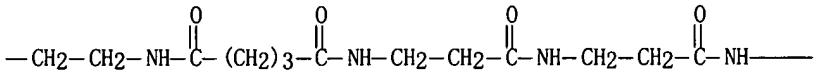


PAGE 1-C

PAGE 2-A



PAGE 2-B



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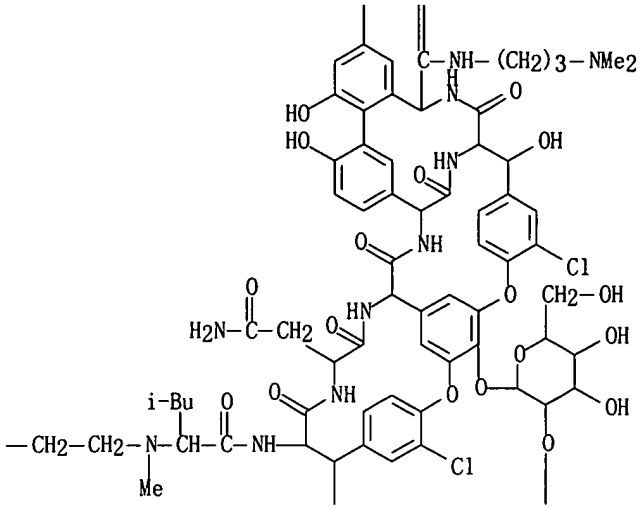

PAGE 3-A

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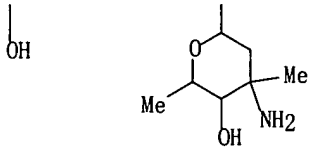
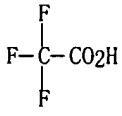


CM 2

CRN 76-05-1
CMF C2 H F3 O2



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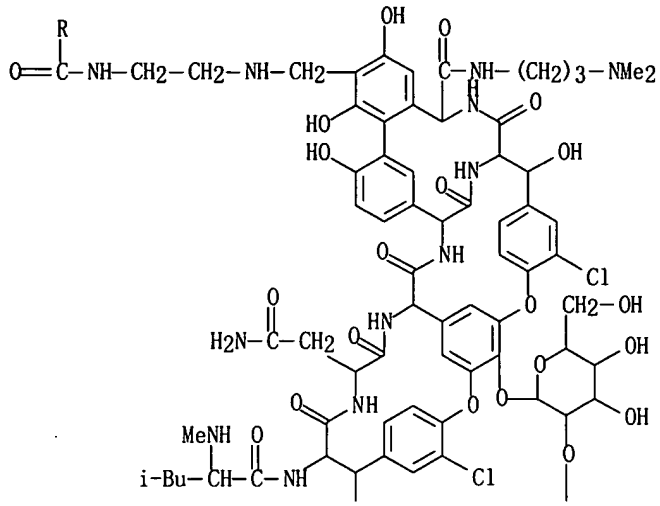
CM 1

CRN 239088-14-3
CMF C140 H168 C14 N22 046

PAGE 1-A



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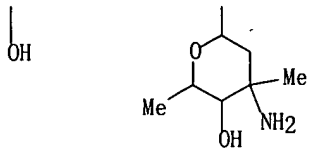

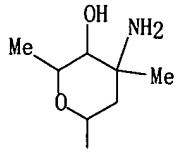

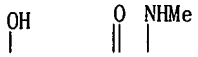

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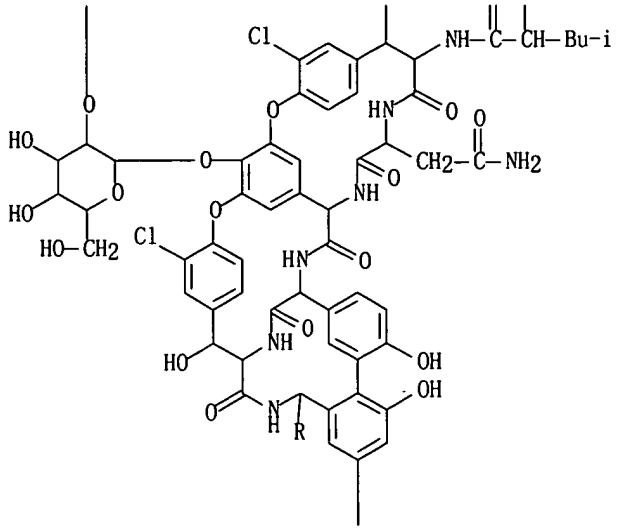

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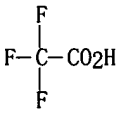

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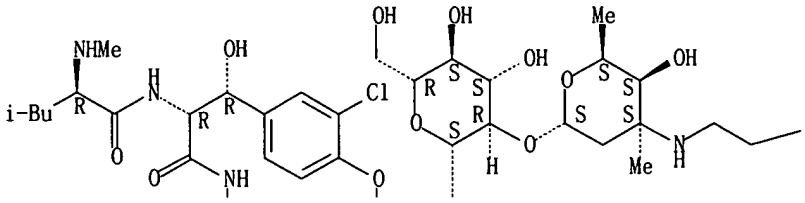
Absolute stereochemistry.

PAGE 1-A



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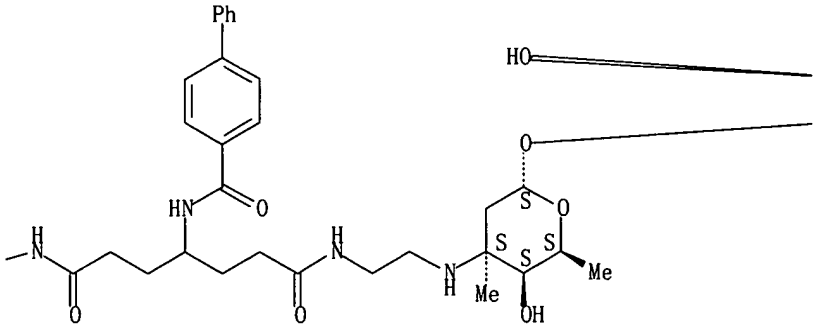

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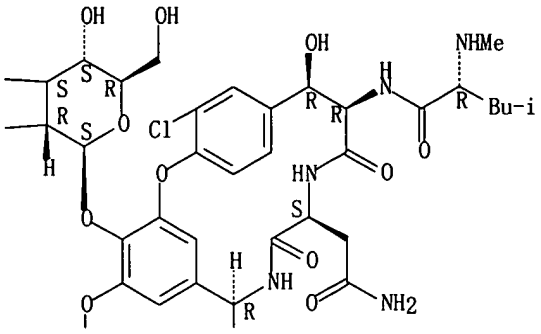

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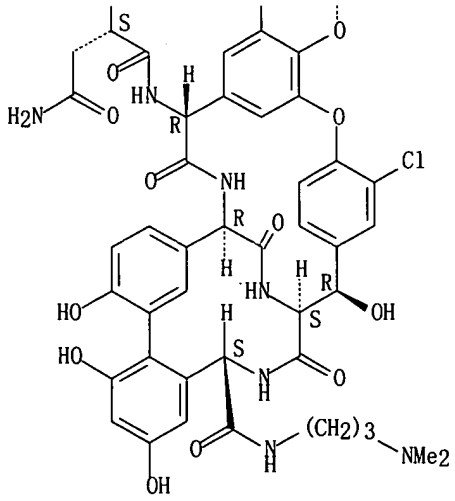

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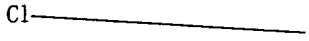

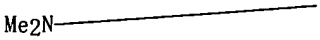

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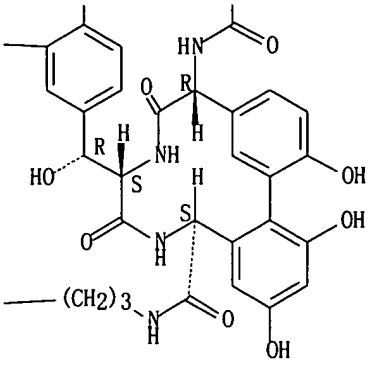

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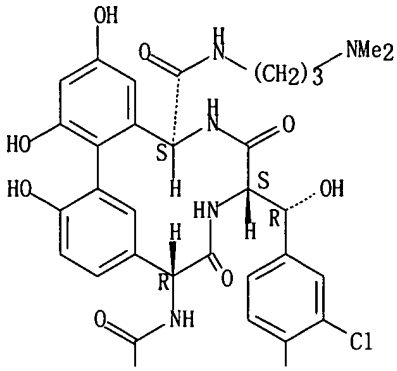
Absolute stereochemistry.

PAGE 1-A



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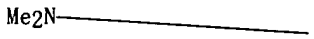

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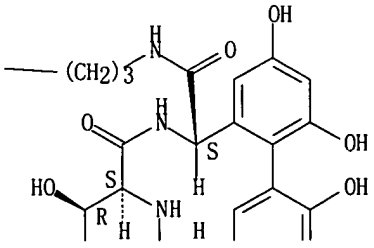

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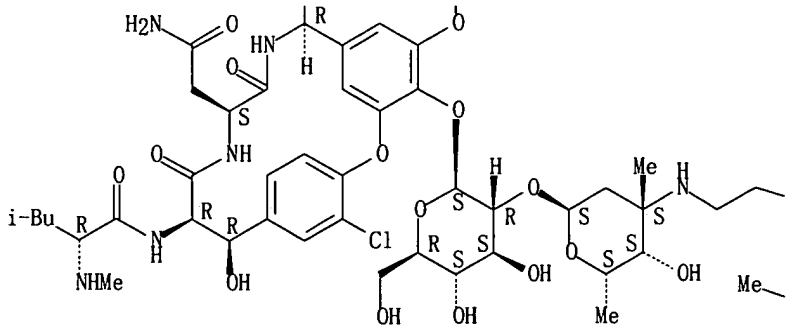

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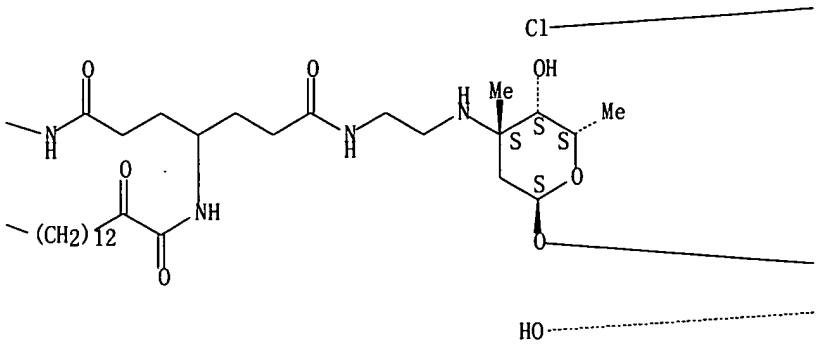

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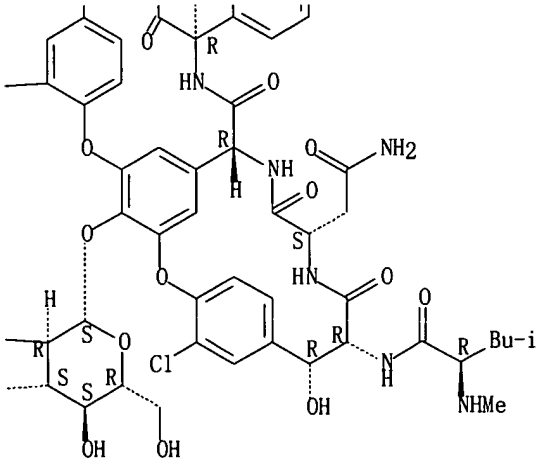

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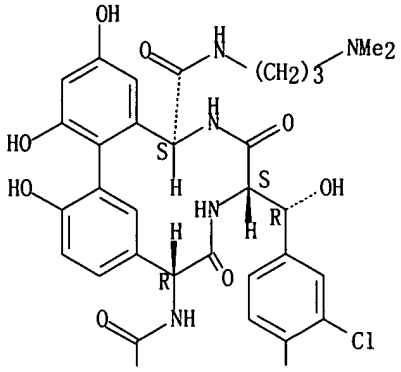
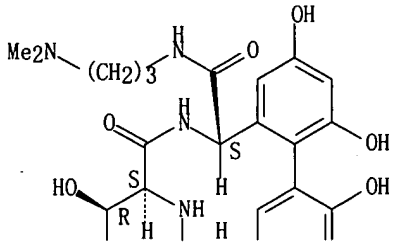
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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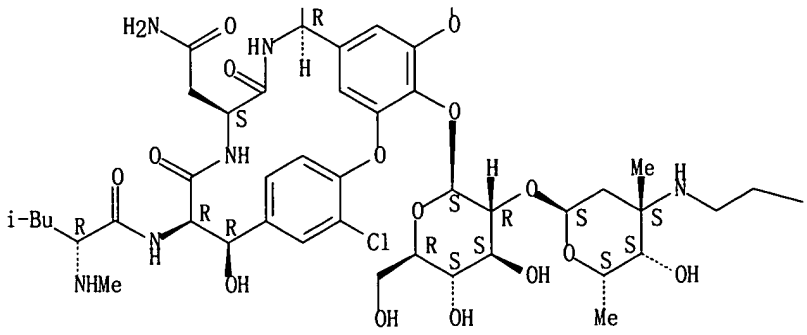

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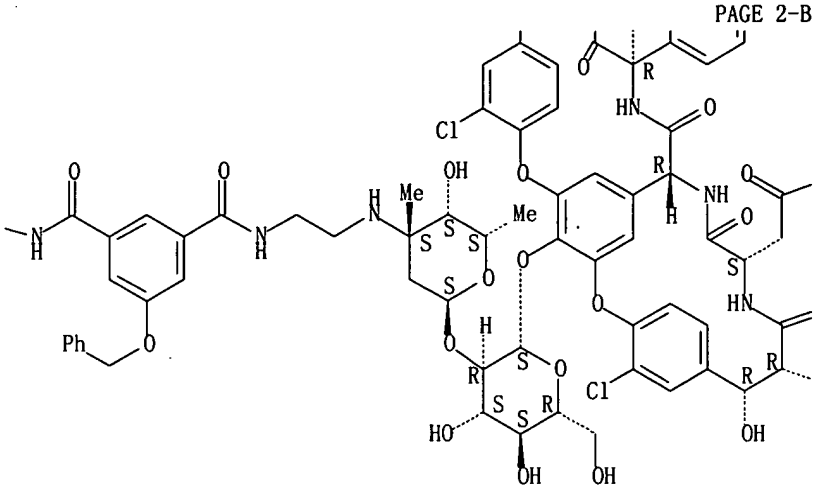

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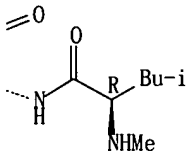

L42 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:152312 HCAPLUS
DN 130:196959
ED Entered STN: 09 Mar 1999
TI Solid-phase synthesis of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries
IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna
PA Chiron Corporation, USA
SO U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 277,228, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07K001-04
NCL 530334000
CC 34-3 (Amino Acids, Peptides, and Proteins)

Search done by Noble Jarrell

Section cross-reference(s): 21

FAN. CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5877278	A	19990302	US 1995-487282	19950607 <--
	EP 1258492	A1	20021120	EP 2002-77404	19930924 <--
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	US 5831005	A	19981103	US 1995-441826	19950516 <--
	US 5977301	A	19991102	US 1995-485106	19950607 <--
	CA 2221517	AA	19961219	CA 1996-2221517	19960604 <--
	WO 9640202	A1	19961219	WO 1996-US8832	19960604 <--
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	JP 3596752	B2	20041202		
	US 2002115612	A1	20020822	US 2002-71577	20020208 <--
PRAI	US 1992-950853	B2	19920924 <--		
	US 1993-126539	B2	19930924 <--		
	US 1994-277228	B2	19940718 <--		
	EP 1993-923131	A3	19930924 <--		
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	US 2000-573700	B3	20000519		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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	NCL	530334000
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US 5831005	ECLA	C07K001/04; C07K007/06A; C07K007/08A; C07K014/00B; C08G069/10 <--
US 5977301	ECLA	C08G069/10 <--
WO 9640202	ECLA	C07B061/00L; C07K001/04C <--
US 2002115612	ECLA	C07K001/04; C07K007/06A; C07K007/08A; C07K014/00B; C08G069/10 <--

AB A solid-phase method for the synthesis of N-substituted oligomers, such as poly(N-substituted glycines) (referred to herein as poly NSGs) is used to obtain oligomers, such as poly NSGs of potential therapeutic interest which poly NSGs can have a wide variety of side chain substituents. Each N-substituted glycine monomer is assembled from two "sub-monomers" directly on the solid support. Each cycle of monomer addition consists of two steps: (1) acylation of a secondary amine bound to the support with an acylating agent comprising a leaving group capable of nucleophilic displacement by NH2, such as a haloacetic acid, and (2) introduction of the side chain by nucleophilic displacement of the leaving group, such as halogen (as a solid support-bound .alpha.-haloacetamide) with a sufficient

amount of a second sub-monomer comprising an NH2 group, such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate, or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. The efficient synthesis of a wide variety of oligomeric NSGs using automated synthesis technol. of the present method makes these oligomers attractive candidates for the generation and rapid screening of diverse peptidomimetic libraries. The oligomers of the invention, such as N-substituted glycines (i.e. poly NSGs) disclosed here provide a new class of peptide-like compds. not found in nature, but which are synthetically accessible and have been shown to possess significant biol. activity and proteolytic stability. Combinatorial libraries of cyclic compds. are disclosed wherein the cyclic compds. are comprised of at least one ring structure derived from cyclization of a peptoid backbone. The diversity of product compds. is generated by the sequential addition of substituted submonomers. The combinatorial library includes 10 or more, preferably 100 or more, and more preferably 1,000 or more distinct and different compds. The library includes each of the product compds. in retrievable and analyzable amts. and preferably includes at least one biol. active compound Methods of synthesizing the combinatorial libraries and assay devices produced using the libraries are disclosed, as is methodol. for screening for and obtaining biol. active cyclic organic compds.

ST substituted glycine peptide combinatorial library solid phase prepn; nitrogen heterocycle peptidomimetic combinatorial library solid phase prepn

IT Heterocyclic compounds
RL: SPN (Synthetic preparation); PREP (Preparation)
(nitrogen, combinatorial library mixts.; solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

IT Solid phase synthesis
(peptide; solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

IT Combinatorial library
Peptide library
Peptidomimetics
Solid phase synthesis
(solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

IT Amino acids, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

IT 55-22-1, Isonicotinic acid, reactions 62-53-3D, Aniline, derivs. 64-04-0, Phenethylamine 70-11-1, .alpha.-Bromoacetophenone 78-81-9, Isobutylamine 79-08-3, Bromoacetic acid 79-08-3D, Bromoacetic acid, derivs. 79-10-7D, Acrylic acid, derivs. 88-67-5D, 2-Iodobenzoic acid, derivs. 98-16-8, 3-Aminobenzotrifluoride 100-46-9, Benzylamine, reactions 100-46-9D, Benzylamine, derivs. 495-69-2, Hippuric acid 553-26-4, 4,4'-Bipyridyl 578-54-1, 2-Ethylaniline 584-93-0, .alpha.-Bromovaleric acid 609-67-6, o-Iodobenzoyl chloride 609-67-6D, 2-Iodobenzoyl chloride, derivs. 625-35-4, trans-Crotonyl chloride 930-88-1 1631-26-1, N-Benzylmaleimide 13991-36-1, trans-4-Bromo-2-butenoic acid 13991-36-1D, derivs. 35323-09-2, 4,5-Dimethoxy-2-iodophenylacetic acid 35661-39-3 39959-51-8, 2-Iodobenzylamine 39959-51-8D, 2-Iodobenzylamine, derivs. 66384-48-3 66384-49-4D, o-Iodophenethylamine, derivs. 77159-78-5, 2,6-Dibromo-4-ethylphenyl isocyanate 213964-76-2D, derivs.
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(solid-phase preparation of N-substituted glycine peptide combinatorial

libraries and nitrogen heterocycle combinatorial libraries)
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34037-21-3DP, Morpholine-2,5-dione, combinatorial library derivs.
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RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase preparation of N-substituted glycine peptide combinatorial
libraries and nitrogen heterocycle combinatorial libraries)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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(2) Anon; DE 2447305 1975 HCAPLUS
(3) Cook; US 5539083 1996 HCAPLUS
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(12) Rutter; US 5225533 1993 HCAPLUS
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(16) Zuckerman, R; J Am Chem Soc 1992, V114, P10646
(17) Zuckermann; US 5252296 1993
IT 145251-31-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase preparation of N-substituted glycine peptide combinatorial libraries and nitrogen heterocycle combinatorial libraries)

RN 145251-31-6 HCAPLUS

CN Glycinamide, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N2-(3-aminopropyl)- (9CI) (CA INDEX NAME)

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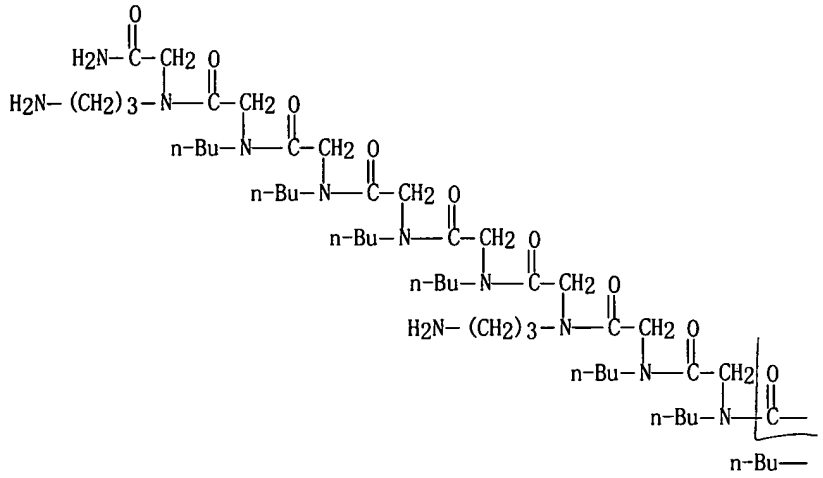

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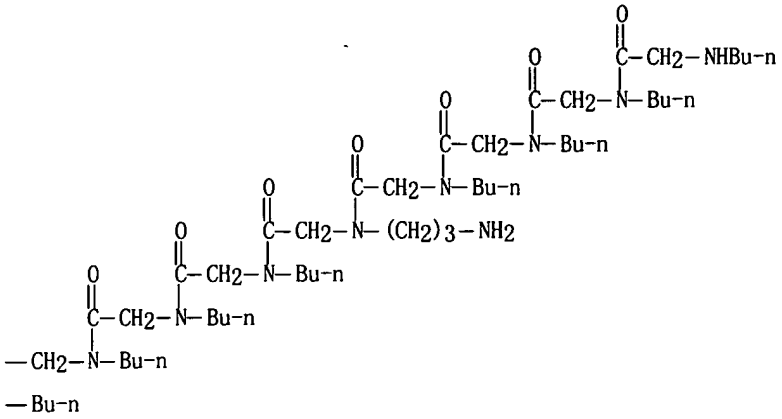

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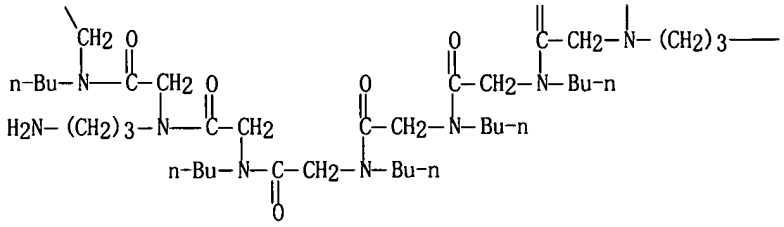

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L42 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:747618 HCAPLUS
DN 130:11778
ED Entered STN: 25 Nov 1998
TI Complex formation between dsDNA and oligomer of heterocycles
IN Dervan, Peter
PA California Institute of Technology, USA
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12Q001-68
ICS C12Q001-70; C12P019-34; C07H021-04; C07H021-02; A61K041-00
CC 6-2 (General Biochemistry)
Section cross-reference(s): 3
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     US 1996-607078         A2    19960226  <--
     US 1996-23309P         P     19960731  <--
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AB Methods and compns. are provided for forming complexes between dsDNA and oligomers of heterocycles, aliphatic amino acids, particularly omega-amino acids, and a polar end group. By appropriate choice of target sequences and composition of the oligomers, complexes are obtained with low dissociation consts. The formation of complexes can be used for identification of specific dsDNA sequences, for inhibiting gene transcription, and as a therapeutic for inhibiting proliferation of undesired cells or expression of undesired genes. A polyamide which binds to the DNA sequence AGTACT is described.

ST transcriptional regulation polyamide DNA interaction alanine

IT Amino acids, biological studies
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(DNA complexes with; complex formation between dsDNA and oligomer of heterocycles)

IT Chromosome
Virus
(DNA of; complex formation between dsDNA and oligomer of heterocycles)

IT Polyamides, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(DNA-binding; complex formation between dsDNA and oligomer of heterocycles)

IT Transcription factors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(TFIIIA (transcription factor IIIA), polyamide effect on; complex formation between dsDNA and oligomer of heterocycles)

IT Molecular association
Transcriptional regulation
(complex formation between dsDNA and oligomer of heterocycles)

IT DNA
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(complex formation between dsDNA and oligomer of heterocycles)

IT DNA
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(double-stranded; complex formation between dsDNA and oligomer of heterocycles)

IT Gene
(regulation; complex formation between dsDNA and oligomer of heterocycles)

IT 180530-17-0P 180530-18-1P 191916-04-8P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(DNA complexes with; complex formation between dsDNA and oligomer of heterocycles)

IT 26062-48-6, Polyhistidine 26854-81-9, Polyhistidine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(DNA-binding; complex formation between dsDNA and oligomer of heterocycles)

IT 191916-06-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(double-stranded; complex formation between dsDNA and oligomer of heterocycles)

IT 58-85-5, Biotin 20830-75-5, Digoxin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in DNA complex; complex formation between dsDNA and oligomer of heterocycles)

IT 56-12-2, .gamma.-Aminobutyric acid, biological studies 56-40-6, Glycine, biological studies 107-95-9, .beta.-Alanine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(in oligomer; complex formation between dsDNA and oligomer of heterocycles)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Cook; US 5459255 A 1995 HCAPLUS
(2) Dervan; US 4795700 A 1989 HCAPLUS
(3) Lown; US 4912199 A 1990 HCAPLUS
(4) Lown; US 5616606 A 1997 HCAPLUS

IT 180530-17-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(DNA complexes with; complex formation between dsDNA and oligomer of heterocycles)

RN 180530-17-0 HCAPLUS
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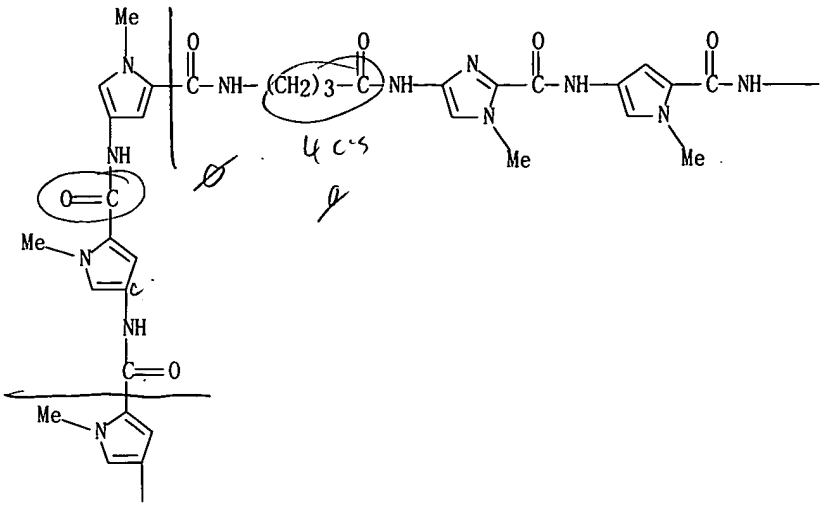

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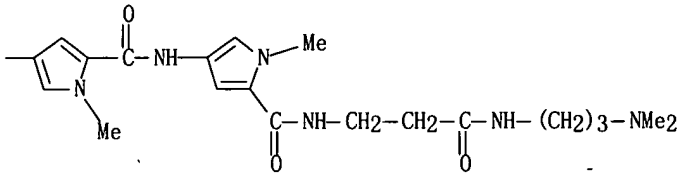

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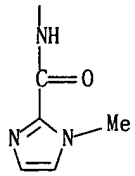

L42 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:744963 HCAPLUS
DN 130:14267
ED Entered STN: 24 Nov 1998
TI Sequence specificity in complex formation between double stranded DNA and heterocycle oligomers
IN Dervan, Peter; Gottesfield, Joel M.
PA California Institute of Technology, USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K038-00
ICS A61K038-04; A61K038-08; C12Q001-68; C12N005-00; C12N005-06
CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 3, 28

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AB Methods and compns. are provided for forming complexes intracellularly between dsDNA and oligomers of heterocycles, aliphatic amino acids, particularly .omega.-amino acids, and a polar end group. By appropriate choice of target sequences and composition of the oligomers, complexes are obtained with low dissociation consts. The formation of complexes can be used for modifying the phenotype of cells, either prokaryotic or eukaryotic, for research and therapy.

ST nitrogen heterocycle oligomer sequence specific DNA binding; imidazole pyrrole oligomer sequence specific DNA binding

IT DNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(double-stranded; sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)

IT DNA sequences
Gene therapy
(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)

IT 180530-17-0P 180530-18-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)

IT 179259-17-7P 215437-51-7P 215437-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
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(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)
IT 76-02-8, Trichloroacetyl chloride 96-54-8, N-Methylpyrrole 616-47-7, N-Methylimidazole 23911-25-3, EDTA dianhydride 57294-38-9, 4-tert-Butoxycarbonylaminobutyric acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)
IT 13138-76-6P, Methyl 1-methyl-4-nitro-2-pyrrolecarboxylate 21898-65-7P, 1-Methyl-2-(trichloroacetyl)pyrrole 30148-21-1P, Ethyl 1-methylimidazole-2-carboxylate 72083-62-6P, Methyl 4-amino-1-methyl-2-pyrrolecarboxylate 77716-11-1P 77716-16-6P 109012-23-9P 120122-47-6P 128293-62-9P 128293-64-1P 180258-47-3P 180258-48-4P 195387-60-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)
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(1) Cho; Proc Natl Acad Sci USA 1995, V92, P10389 HCAPLUS
(2) Kelly; Proc Natl Acad Sci USA 1996, V93, P6981 HCAPLUS
(3) Mrkisch; J Am Chem Soc 1994, V116, P3663
(4) Pilch; Proc Natl Acad Sci USA 1996, V93, P8306 HCAPLUS
(5) Trauger; Nature 1996, V382, P559 HCAPLUS
(6) Wade; J Am Chem Soc 1992, V114, P8783 HCAPLUS
(7) White; Biochemistry 1996, V35(38), P12532 HCAPLUS
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(sequence specificity in complex formation between double stranded DNA and heterocycle oligomers)
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CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]- (9CI) (CA INDEX NAME)

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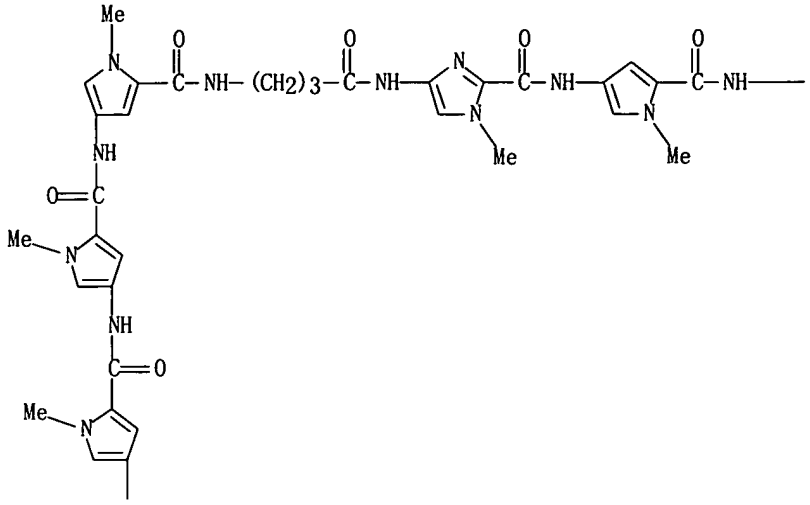

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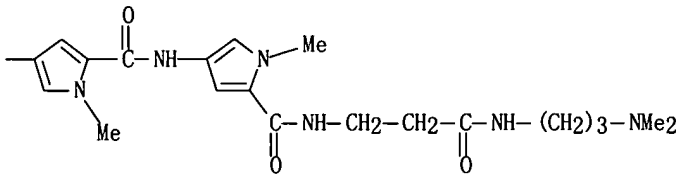

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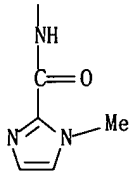

L42 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:721676 HCAPLUS
DN 129:343723
ED Entered STN: 13 Nov 1998
TI Preparation of DNA-binding pyrrole and imidazole polyamide derivatives
IN Dervan, Peter B.
PA California Institute of Technology, USA
SO PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D207-34
ICS C07D233-90; A61K031-415; C07D403-14
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 6

FAN. CNT 11

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CLASS

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US 6143901	ECLA	A61K047/48R2T <--
US 6635417	ECLA	A61K047/48R2T; C07D207/34; C07D233/90;

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GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel small mol. polyamides I [each R1, R5, R7, R8, R10 = independently H, Cl, NO, Ac, PhCH2, C1-6 alkyl, C1-6 alkylamine, C1-6 alkyldiamine, C1-6 alkylcarboxylate, C1-6 alkenyl, C1-6 alkynyl; R2 = H, NH2, SH, Cl, Br, F, Ac, CHO; each R3, R6, R9, R11 = independently H, NH2, OH, SH, Br, Cl, F, OMe, CH2OH, CH2SH, CH2NH2; R4 = NH(CH2)0-6NR12R13, NH(CH2)0-6CONH(CH2)0-6NR12R13, NHR12, NH(CH2)0-6CONHR12; R12, R13 = independently H, Cl, NH, Ac, CH2Ph, C1-6 alkyl, C1-6 alkylamine, C1-6 alkyldiamine, C1-6 alkylcarboxylate, C1-6 alkenyl, C1-6-L; L = biotin, oligodeoxynucleotide, N-ethylnitrosourea, fluorescein, bromoacetamide, iodoacetamide, DL-.alpha.-lipoic acid, acridine, Ethyl Red, 4-(psoralen-8-yloxy)butyrate, tartaric acid, (+)-.alpha.-tocopheral, C1-6 alkynyl; each X-X4 = independently N, CH, C(OH), CMe, CNH2, CCl, CF; each a, c, e, h = independently 0-10; each b, d, f, g, i, m, n, p, q = independently 0-5] that specifically bind with subnanomolar affinity to any predetd. sequence in the human genome with potential use in mol. biol. and human medicine are described. Further, the designed compds. which target the minor groove of B-form double helical DNA offer a general approach for the control of gene-expression. Simple rules are disclosed which provide for rational control of the DNA-binding sequence specificity of synthetic polyamides containing N-methylpyrrole and N-methylimidazole amino acids. A series of mol. templates for polyamide design are disclosed which provide for small mols. which recognize predetd. DNA sequences with affinities and specificities comparable to sequence specific DNA-binding proteins such as transcription factors. Thus, designed polyamide II, prepared by solid-phase methods, showed recognition for oligonucleotide 5'-AACCAAGTCTTGGTA-3' with Ka = 4 .times. 108 M-1, and specificities of 11 and 19 for the match site vs. a center mismatch and an edge mismatch, resp.

ST pyrrole imidazole polyamide prepn DNA binding; sequence specificity pyrrole polyamide DNA binding

IT DNA sequences
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

IT DNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

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215438-14-5P 215438-16-7P 215438-18-9P 215438-20-3P 215438-23-6P
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215438-36-1P 215438-37-2P 215438-38-3P 215438-39-4P 215438-40-7P

215438-41-8P 215438-42-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

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215437-89-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

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215517-37-6
RL: PRP (Properties)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

IT 76-02-8, Trichloroacetyl chloride 79-08-3, Bromoacetic acid 96-54-8, 1-Methylpyrrole 105-83-9, 3,3'-Diamino-N-methyldipropylamine 109-55-7, 3-(N,N-Dimethylamino)propylamine 616-47-7, 1-Methylimidazole 20485-43-2 23911-25-3, EDTA dianhydride 57294-38-9, 4-(tert-Butoxycarbonylamino)butyric acid 66442-94-2, p-Carboxymethidium 78486-18-7 79642-50-5 122745-41-9 126093-01-4 215437-88-0
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(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

IT 13138-76-6P, Methyl 1-methyl-4-nitropyrrole-2-carboxylate 21898-65-7P, 1-Methyl-2-trichloroacetylpyrrole 30148-21-1P, Ethyl 1-methylimidazole-2-carboxylate 77716-11-1P 77716-16-6P 109012-23-9P 120122-47-6P 128293-64-1P 180076-91-9P 180258-45-1P
180258-46-2P 180258-47-3P 180258-48-4P 195387-60-1P 215437-77-7P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Baird, E; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6141 HCAPLUS
(2) California Institute Of Technology; WO 9730975 A 1997 HCAPLUS
(3) Herman, D; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1998, V120(7), P1382 HCAPLUS
(4) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6147 HCAPLUS
(5) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6153

HCAPLUS
(6) Swalley, S; CHEMISTRY - A EUROPEAN JOURNAL 1997, V3(10), P1600 HCAPLUS
(7) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198 HCAPLUS
(8) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(30), P6953 HCAPLUS
(9) Trauger, J; NATURE 1996, V382(6591), P559 HCAPLUS
(10) Turner, J; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(33), P7634

IT 180530-17-0P 193743-36-1P 193743-37-2P
195387-68-9P 195387-75-8P 195387-96-3P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)

RN 180530-17-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]- (9CI) (CA INDEX NAME)

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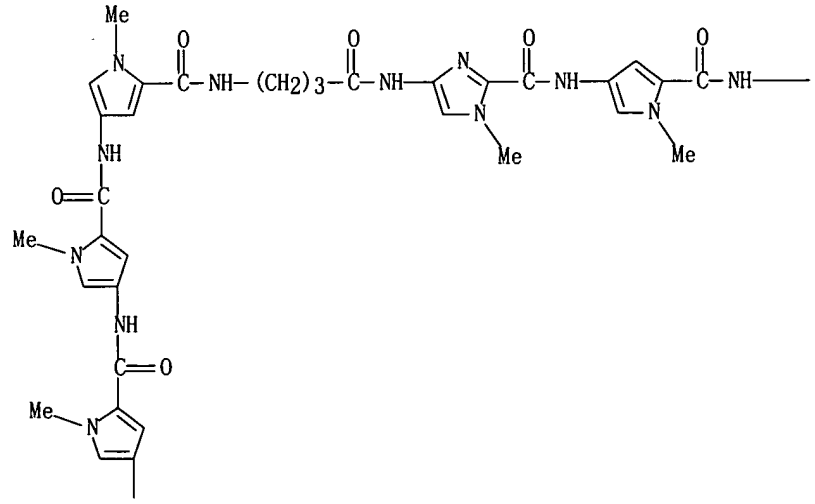

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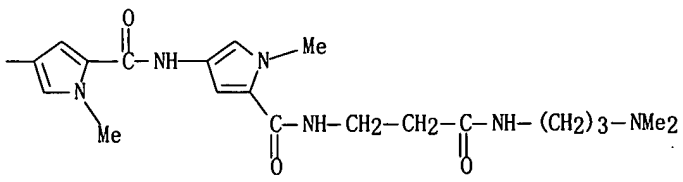

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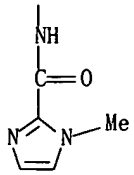

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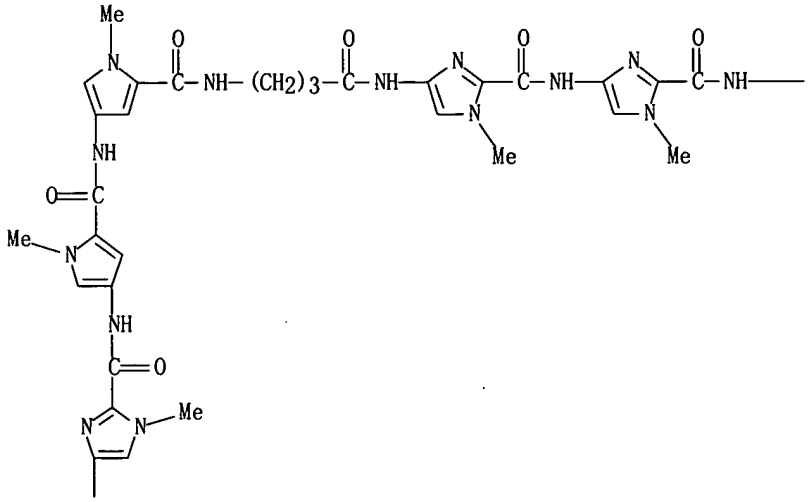

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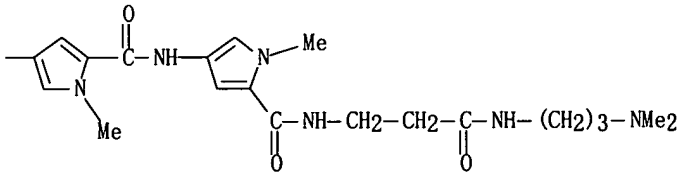

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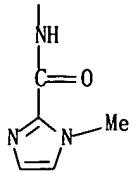

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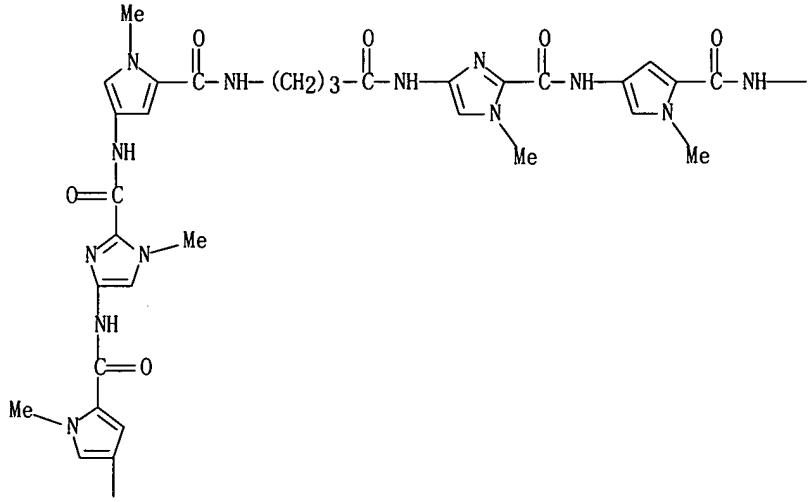

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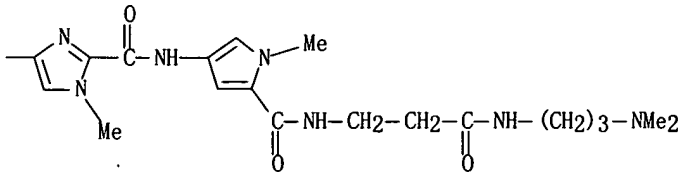

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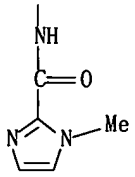

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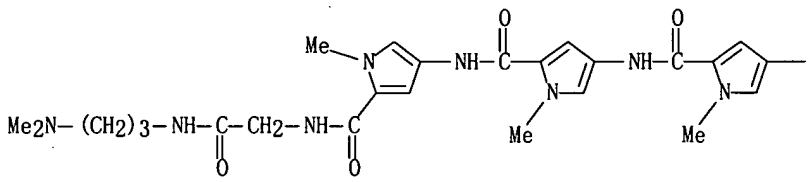

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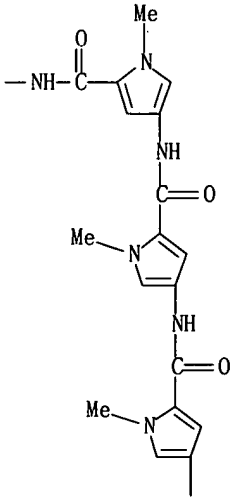

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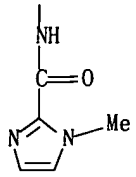

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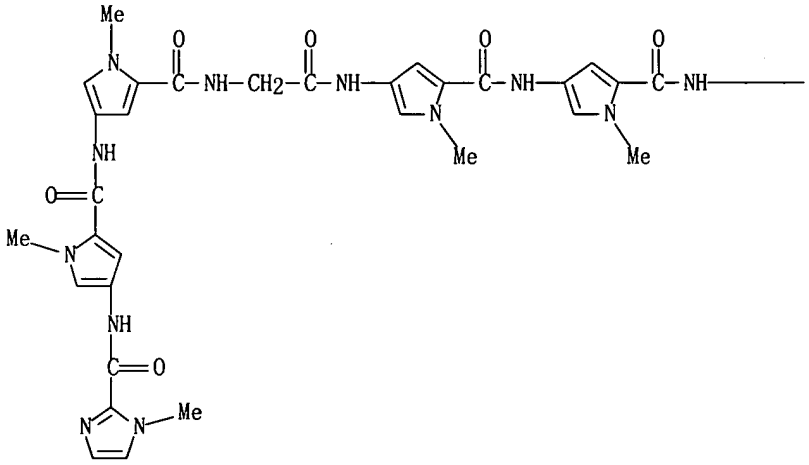

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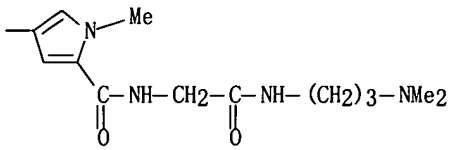

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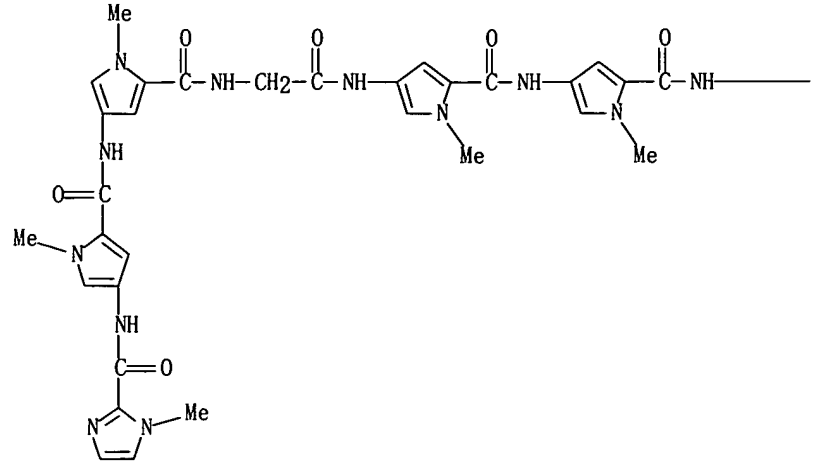

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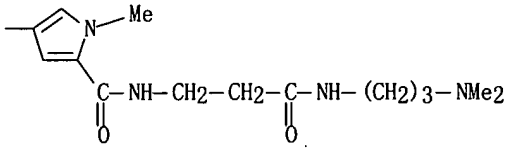

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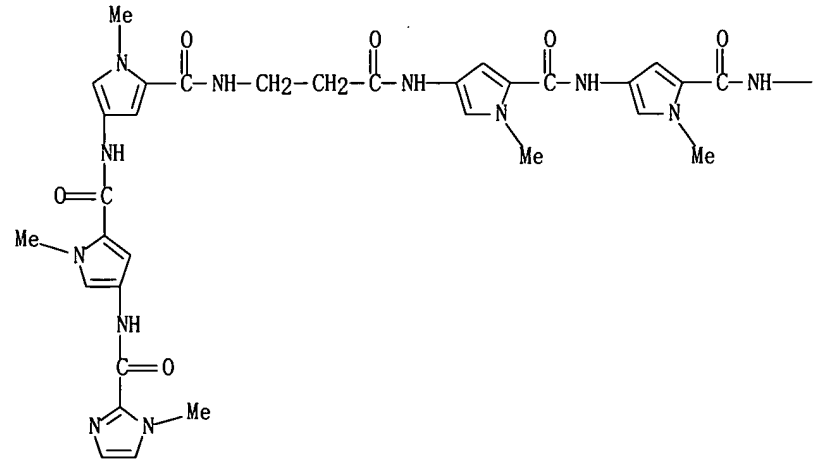

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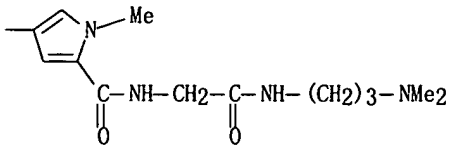

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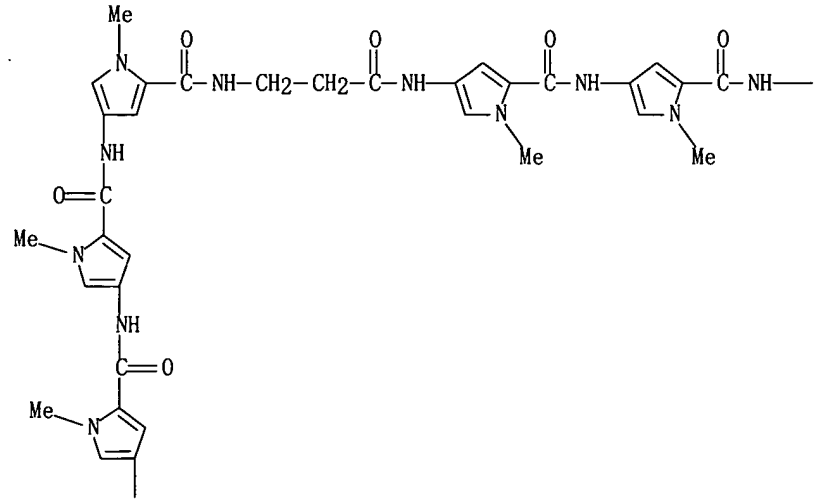

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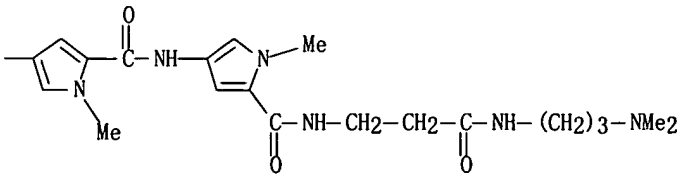

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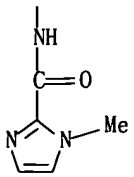

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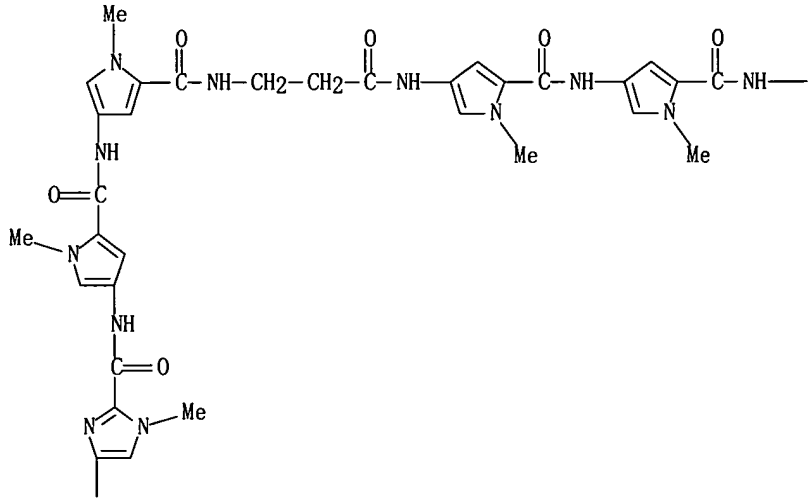

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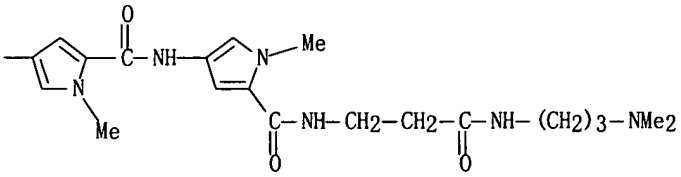

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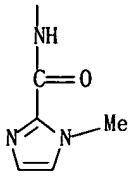

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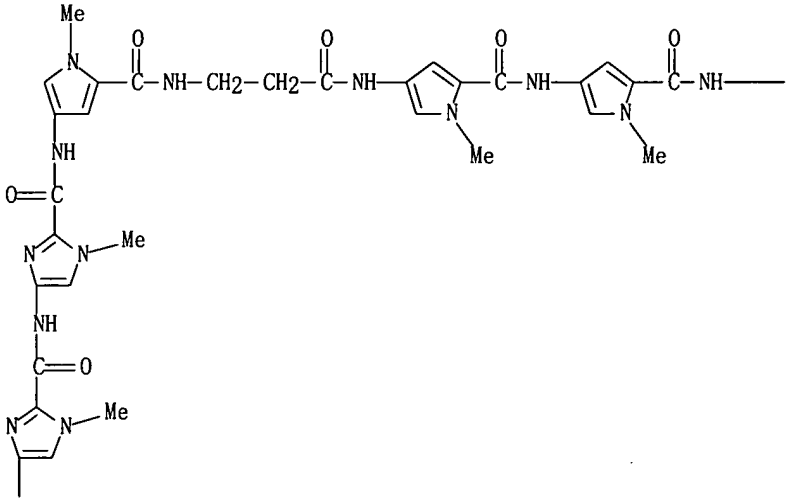

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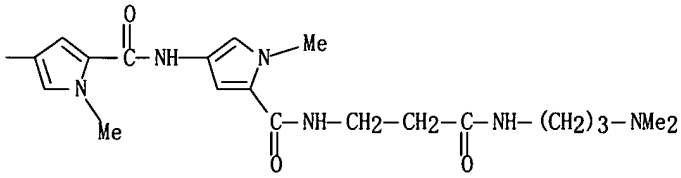

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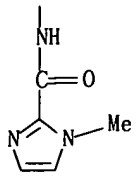

IT 180076-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sequence specificity of DNA-binding pyrrole and imidazole polyamide derivs.)
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CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(4-amino-1-methyl-1H-pyrrol-2-yl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-N-[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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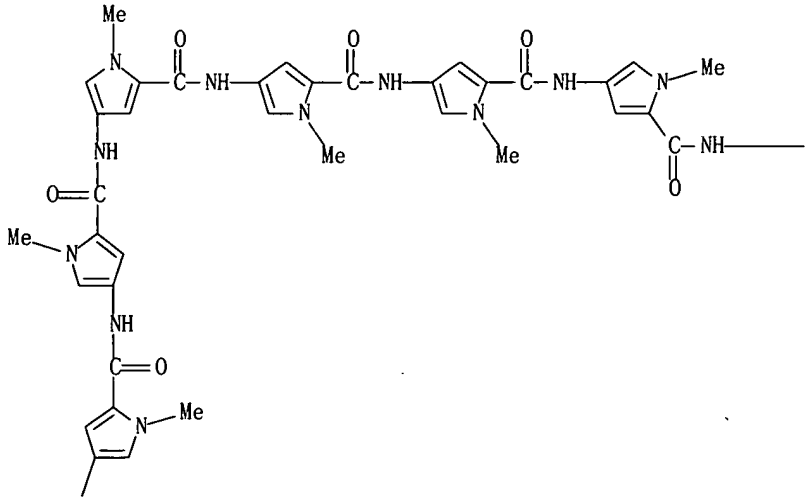

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PAGE 2-A

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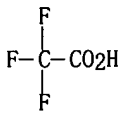

L42 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:682381 HCAPLUS
DN 129:290444
ED Entered STN: 28 Oct 1998
TI Stereochemical control of the DNA binding affinity, sequence specificity, and orientation-preference of chiral hairpin polyamides in the minor groove
IN Baird, Eldon E.; Dervan, Peter B.
PA California Institute of Technology, USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D403-14
ICS C07D207-34; A61K031-415; C12Q001-68
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 3, 28
FAN.CNT 11

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GI
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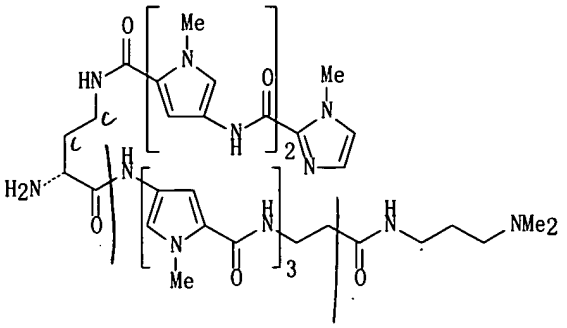
X1X2X3X4X5X6?X7X8X9X10X11X12 I

X1X2X3X4X5X6 X1X2X3X4X5X6
X12X11X10X9X8X7' LX12X11X10X9X8X7' P II



III

AB This invention provides improved polyamides I and II [X1/X12, X2/X11, X3/X10, X4/X9, X5/X8, X6/X7 = carboxamide binding pairs which bind DNA base pairs wherein at least one binding pair is Hp/Py or Py/Hp and the other is selected from the group Py/Im, Im/Py, Py/Py; X1/X12, X2/X11, and X3/X10 may be absent; .gamma. = .gamma.-aminobutyric acid, (R)-2,4-diaminobutyric acid; L = .beta.-alanine, 5-aminovaleric acid; P = 0-10 polyamides I; Im = N-methylimidazole; Py = N-methylpyrrole; Hp = 3-hydroxy-N-methylpyrrole] comprising a hairpin loop derived from .gamma.-aminobutyric acid which bind to the minor groove of a promoter regions of a DNA sequence. Binding of the polyamide to the DNA sequence of the promoter region inhibits expression of the requisite gene. The improvement relates to the use of R-2,4-diaminobutyric acid and derivs. of the 2-amino group to form the hairpin loop. The improved asym. hairpin provides for tighter binding of the polyamides to the minor groove of DNA and addnl. provides an amine function for derivatizing polyamides by, for example, forming amide linkages. Such derivs. may serve to attach detectable labels to the polyamide. Thus, hairpin polyamide III was prepared by machine-assisted solid-phase methods on a PAM resin. Hairpin III was specific for binding the DNA sequence 5'-TGTTA-3' as shown by DNase I footprint studies and NMR studies.

ST DNA binding affinity diaminobutyric acid polyamide; chiral hairpin polyamide prepn DNA specificity; minor groove orientation chiral hairpin polyamide

IT Gene
(regulation; stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT DNA sequences
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT DNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 26908-94-1DP, chiral hairpin polyamides containing 204921-44-8P 204921-51-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent); USES (Uses)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 204921-45-9P 204921-46-0P 204921-47-1P
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214196-54-0P 214196-57-3P 214196-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 9003-98-9, DNase I
RL: CAT (Catalyst use); USES (Uses).
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 214196-61-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 105-83-9 109-55-7, 3-(Dimethylamino)propylamine 10045-89-3, Ferrous ammonium sulfate 17257-71-5, (S)-.alpha.-Methoxy-.alpha.-trifluoromethylphenylacetic acid 20445-31-2, (R)-.alpha.-Methoxy-.alpha.-trifluoromethylphenylacetic acid 23911-25-3, EDTA dianhydride
RL: RCT (Reactant); RACT (Reactant or reagent)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 204921-49-3P 204921-50-6P 214196-25-5P 214196-34-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

IT 214195-94-5P 214196-00-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Herman, D; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1998, V120(7), P1382 HCAPLUS

(2) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198 HCAPLUS

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RN 204921-44-8 HCAPLUS

CN .beta.-Alaninamide, N4-[2,3,4,5-tetradehydro-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl]-(2R)-2,4-diaminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

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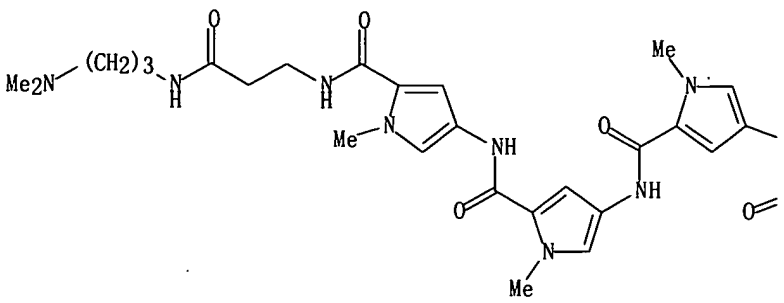

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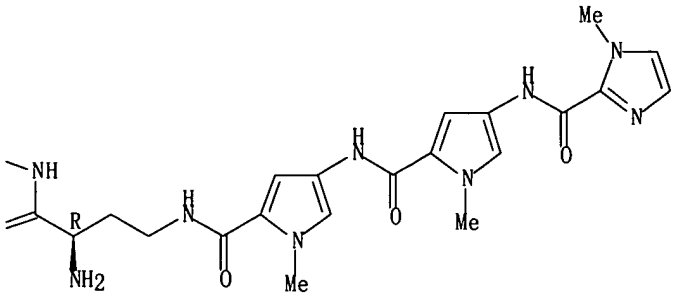

RN 204921-51-7 HCAPLUS
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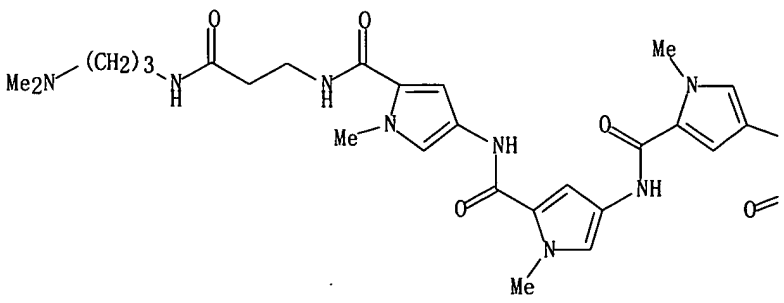
Absolute stereochemistry. Rotation (-).

PAGE 1-A



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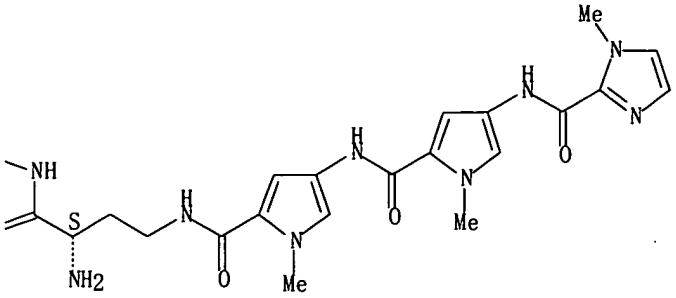


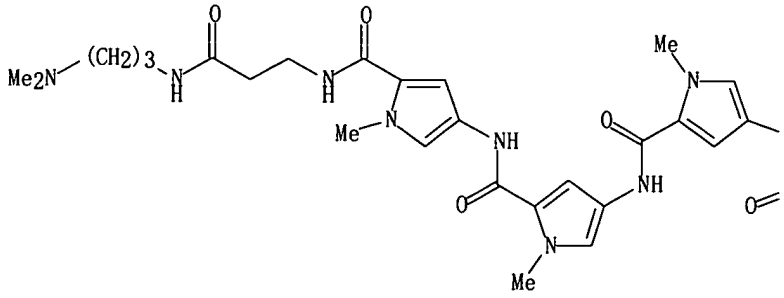
IT 204921-46-0P 204921-47-1P 204921-52-8P
204921-54-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RN 204921-46-0 HCAPLUS

CN .beta.-Alaninamide, 2,3,4,5-tetradehydro-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-(2R)-2-(acetylamino)-4-aminobutanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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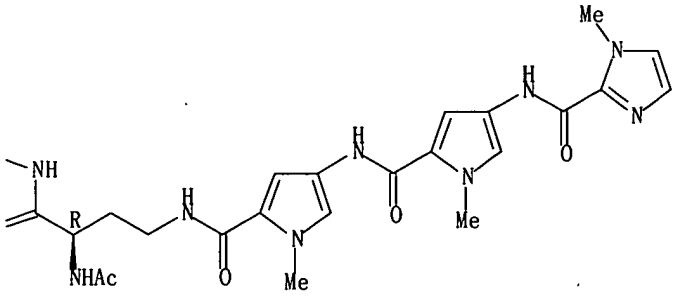

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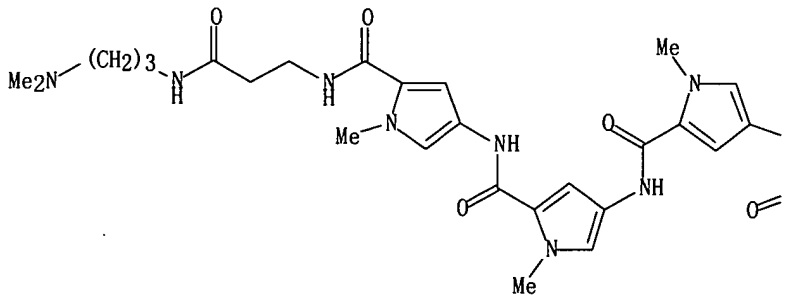
Absolute stereochemistry.

PAGE 1-A



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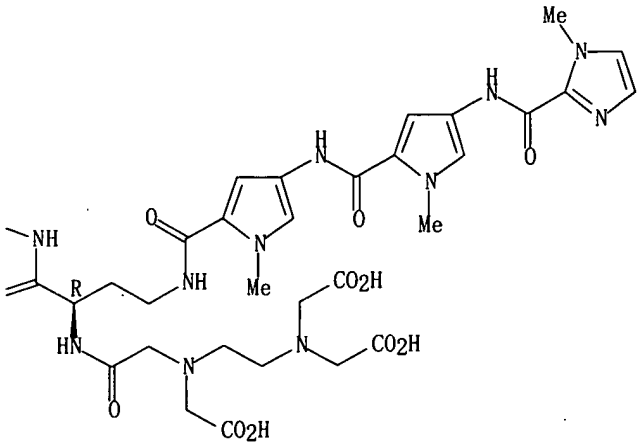

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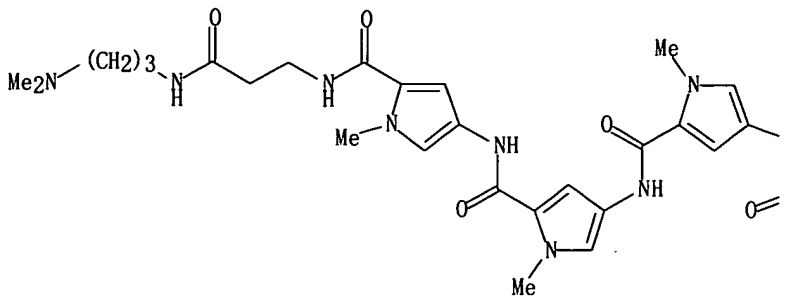
Absolute stereochemistry. Rotation (-).

PAGE 1-A



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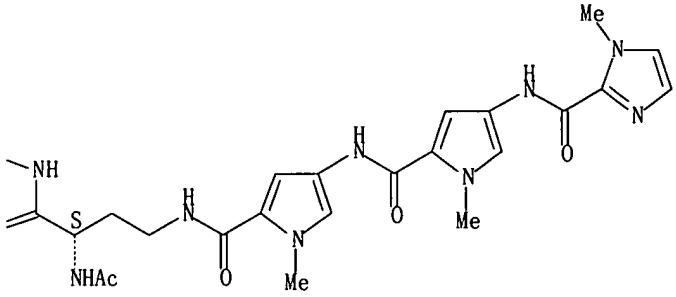

RN 204921-54-0 HCAPLUS
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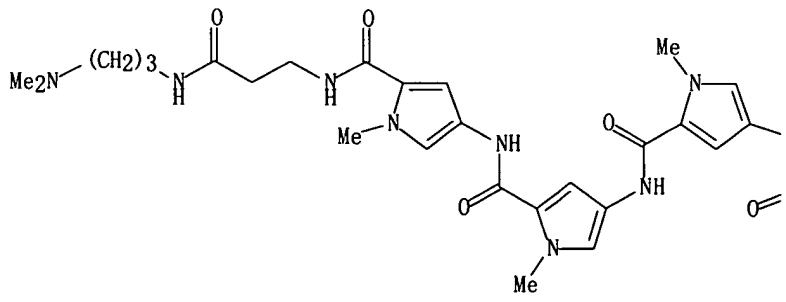
Absolute stereochemistry.

PAGE 1-A



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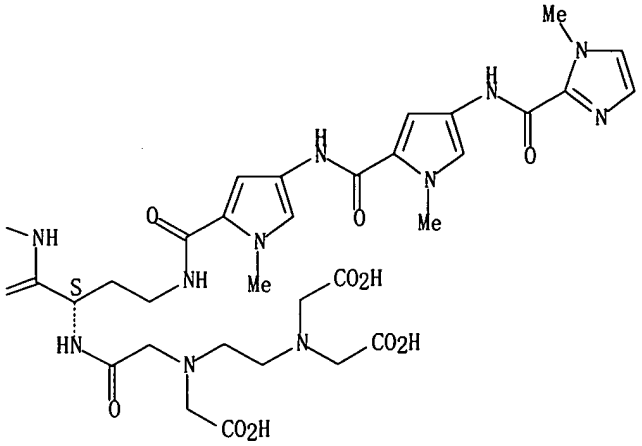


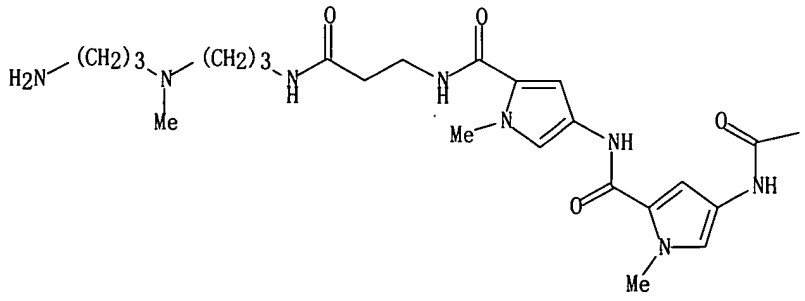
IT 204921-49-3P 204921-50-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereochem. control of DNA binding affinity, sequence specificity, and minor groove orientation preference of chiral hairpin polyamides)

RN 204921-49-3 HCAPLUS

CN .beta.-Alaninamide, 2,3,4,5-tetradehydro-1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-(2R)-4-amino-2-[[(1,1-dimethylethoxy)carbonyl]amino]butanoyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-4-amino-1-methyl-1H-pyrrole-2-carbonyl-N-[3-[(3-aminopropyl)methylamino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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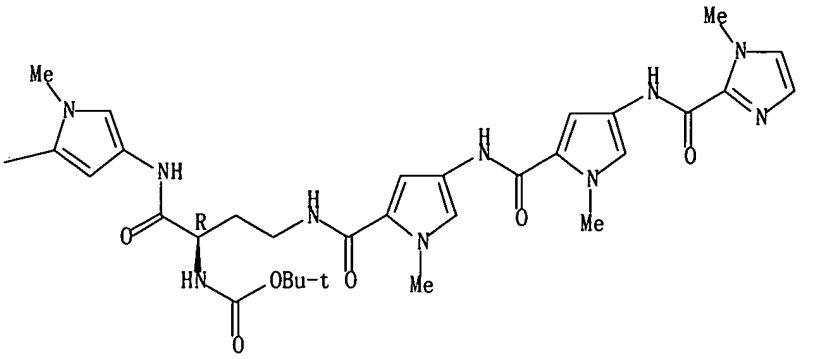

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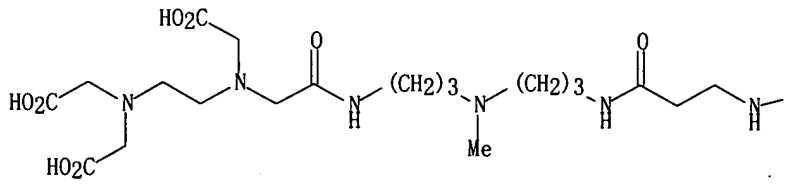
Absolute stereochemistry.

PAGE 1-A



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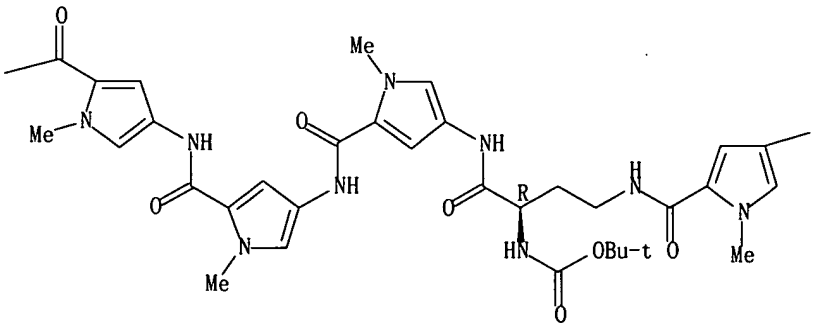

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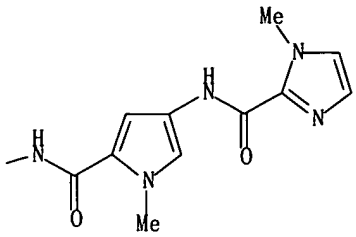

L42 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:604905 HCAPLUS
DN 129:226610
ED Entered STN: 24 Sep 1998
TI Design and use of specific polyamide DNA-binding ligands for modulation of gene expression
IN Baird, Eldon E.; Dervan, Peter B.
PA California Institute of Technology, USA
SO PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D207-34
ICS C07D233-90; A61K031-415; C07D403-14; C12Q001-68
CC 3-1 (Biochemical Genetics)
FAN.CNT 11

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	US 1996-26713P	P	19960925 <--		
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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	ICS	C07D233-90; A61K031-415; C07D403-14; C12Q001-68
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US 6635417	ECLA	A61K047/48R2T; C07D207/34; C07D233/90; C07D403/14R+233+207; C07D403/14R+231+207; C07K007/02<--

AB The invention encompasses improved selective polyamides for binding to specific nucleotide sequences of double stranded DNA as well as methods for designing and synthesizing polyamide DNA binding ligands that are selective for an identified specific nucleotide sequence. The 3-hydroxy-N-methylpyrrole/N-methylpyrrole carboxamide pair specifically recognizes the T.A base pair, while the N-methylpyrrole/3-hydroxy-N-methylpyrrole pair recognizes A.T nucleotide pairs. Similarly, an N-methylimidizole/N-methylpyrrole carboxamide pair specifically recognizes the G.C nucleotide pair, and the N-methylpyrrole/N-methylimidizole carboxamide pair recognizes the C.G nucleotide pair.

ST polyamide pyrrole imidazole contg DNA binding

IT Polyamides, biological studies
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

IT DNA
Gene
Promoter (genetic element)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

IT Gene
(expression, modulation of; design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for

modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

IT 212454-02-9 212454-03-0 212454-04-1 212454-05-2 212454-06-3
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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

IT 212483-00-6 212483-01-7 212483-02-8 212483-03-9 212483-04-0
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RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

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(design and use of specific polyamide DNA-binding ligands for modulation of gene expression)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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206128-31-6
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process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
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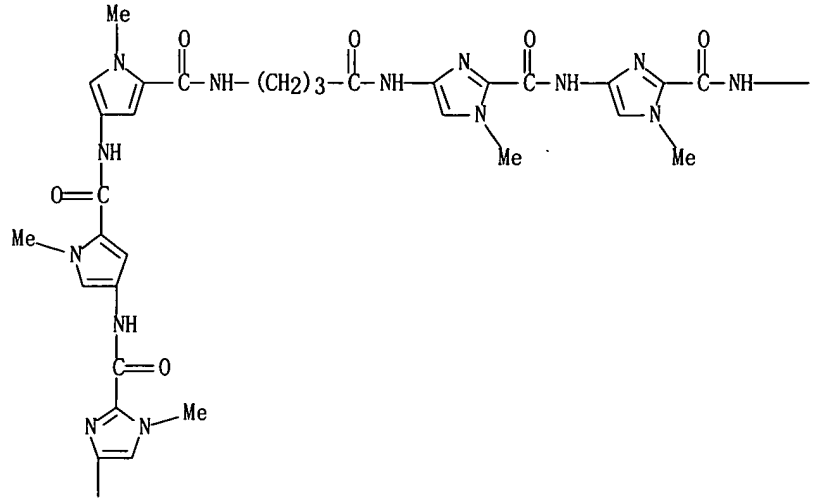

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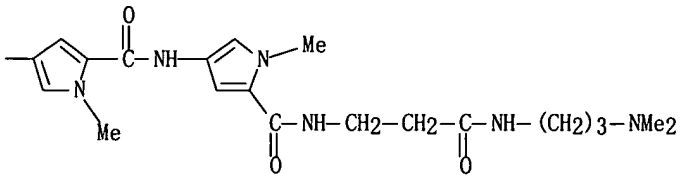

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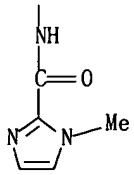

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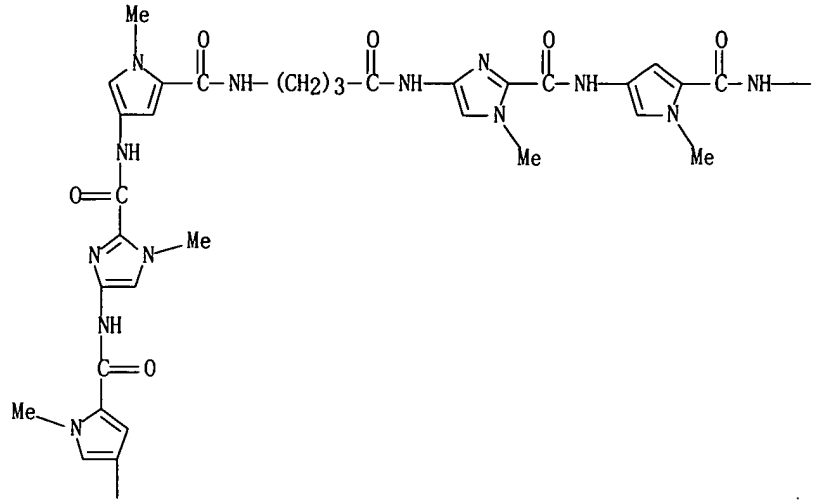

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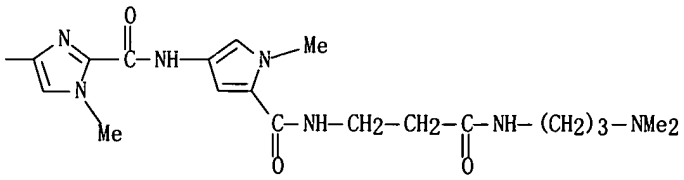

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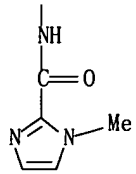

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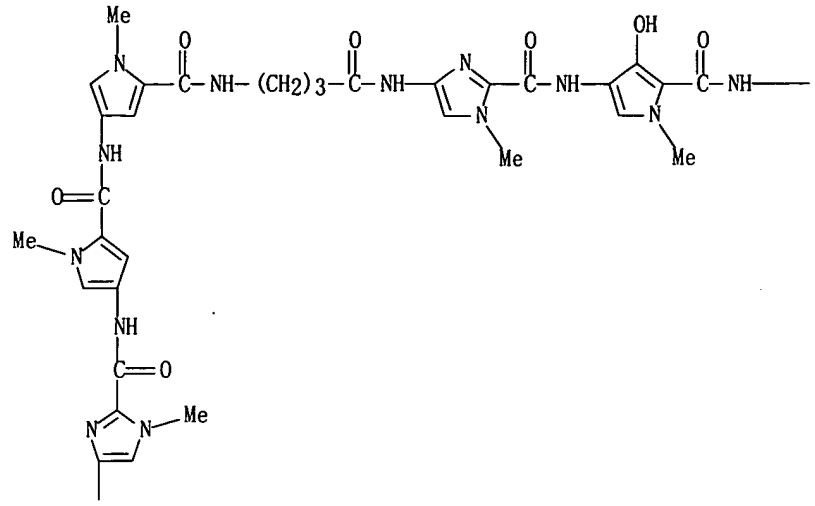

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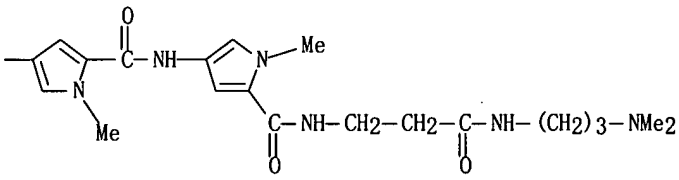

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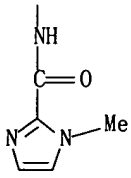

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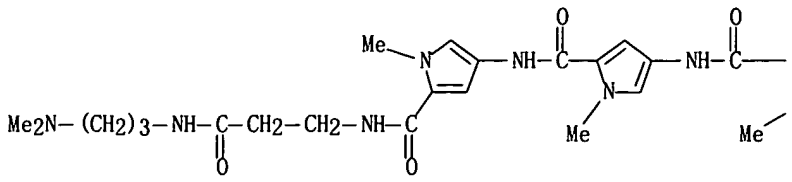

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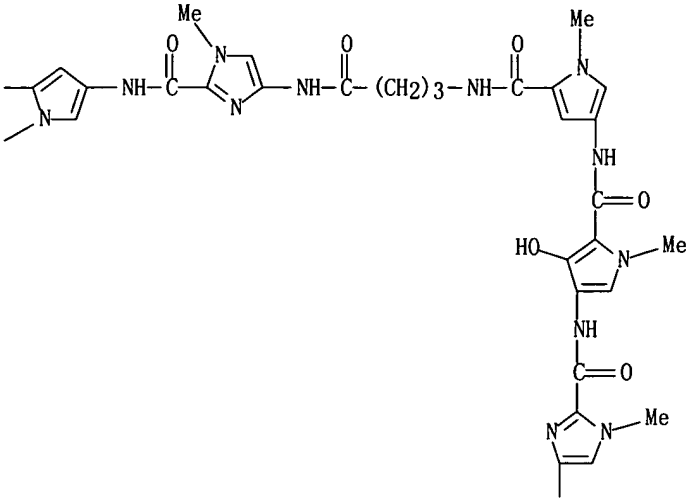

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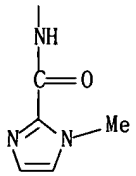

L42 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:604904 HCAPLUS
DN 129:198863
ED Entered STN: 24 Sep 1998
TI Polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression
IN Baird, Eldon E.; Dervan, Peter B.
PA California Institute of Technology, USA
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D207-34
ICS C07D233-90; A61K031-415; C07D403-14; C12Q001-68

Search done by Noble Jarrell

CC 3-1 (Biochemical Genetics)
Section cross-reference(s): 27

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AB The invention encompasses improved polyamides for binding to specific nucleotide sequences in the minor groove of double-stranded DNA. The polyamides are in the form of a hairpin comprising two groups of a least three consecutive carboxamide residues, the two groups covalently linked by an aliphatic amino acid reside, preferably .gamma.-aminobutyric acid or

2,4-diaminobutyric acid, the consecutive carboxamide residues of the first group pairing in an antiparallel manner with the consecutive carboxamide residues of the second group in the minor groove of double-stranded DNA. The 3-hydroxy-N-methylpyrrole/N-methylpyrrole carboxamide pair specifically recognizes the T.A base pair, while the N-methylpyrrole/3-hydroxy-N-methylpyrrole pair recognizes A.T nucleotide pairs. Similarly, an N-methylimidizole/N-methylpyrrole carboxamide pair specifically recognizes the G.C nucleotide pair, and the N-methylpyrrole/N-methylimidizole carboxamide pair recognizes the C.G nucleotide pair. Preferably, the binding of the polyamide to the DNA modulates the expression of a gene. Increased specificity of 3-hydroxy-N-methylpyrrole-containing polyamides was demonstrated. Polyamide-EDTA conjugates were prepared and used in DNA cleavage. Inclusion of .beta.-alanine in the carboxamide was also shown to improve specificity of pyrrole-imidazole-containing polyamides.

ST polyamide pyrrole hydroxypyrrole DNA binding; gene expression polyamide pyrrole hydroxypyrrole contg

IT Gene
(expression; polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT DNA
Gene
Promoter (genetic element)
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT Polyamides, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT 65171-82-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

IT 212184-25-3P 212184-26-4P 212184-27-5P 212184-28-6P 212184-29-7P
212184-30-0P 212184-31-1P 212184-32-2P 212184-34-4P 212184-35-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Baird, E; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6141 HCAPLUS
(2) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6147 HCAPLUS
(3) Parks, M; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(26), P6153 HCAPLUS
(4) Pharmacia; WO 9605196 A 1996 HCAPLUS
(5) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1996, V118(35), P8198 HCAPLUS

(6) Swalley, S; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(30), P6953 HCAPLUS
(7) Trauger, J; NATURE 1996, V382(6591), P559 HCAPLUS
(8) Walker, W; PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES, U S A 1997, V94(11), P5634 HCAPLUS

IT 193743-37-2P 206128-30-5P 206128-31-6P
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(polyamides binding to minor groove of double-stranded DNA and their use in control of gene expression)

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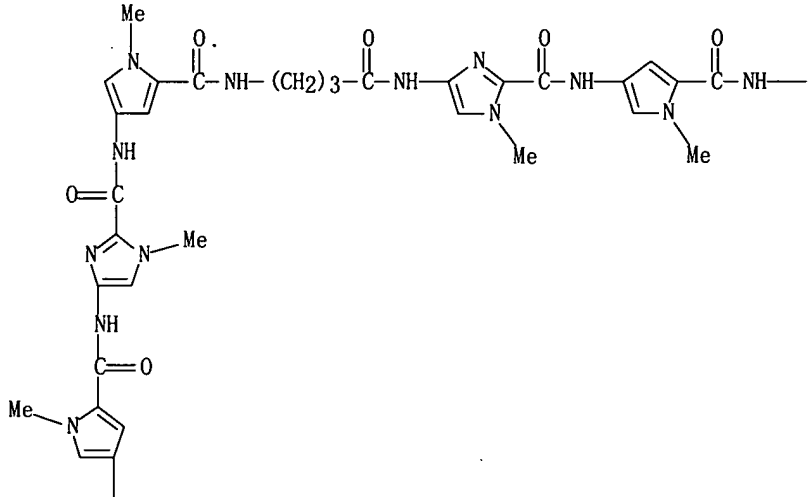

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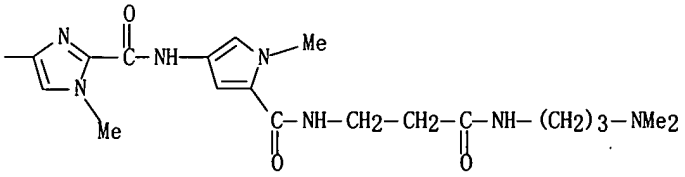

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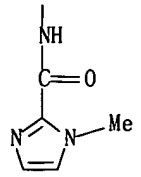

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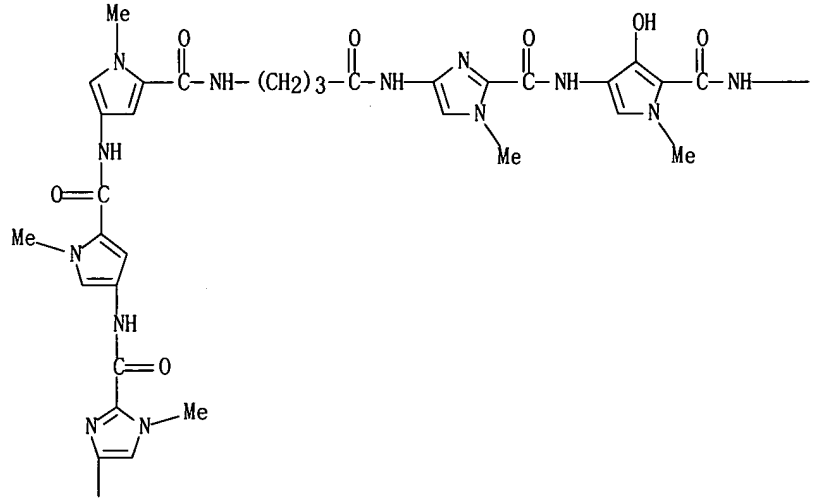

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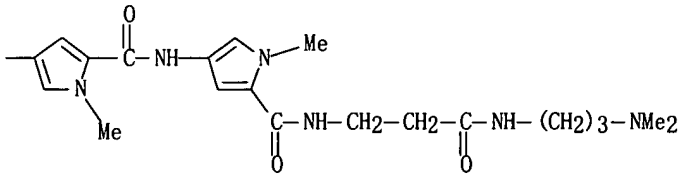

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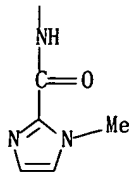

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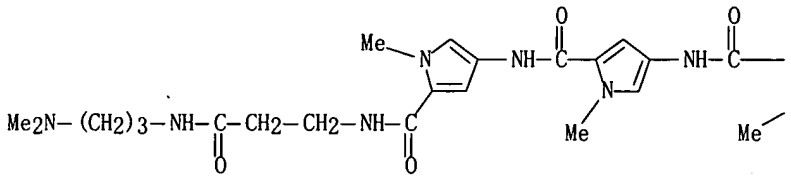

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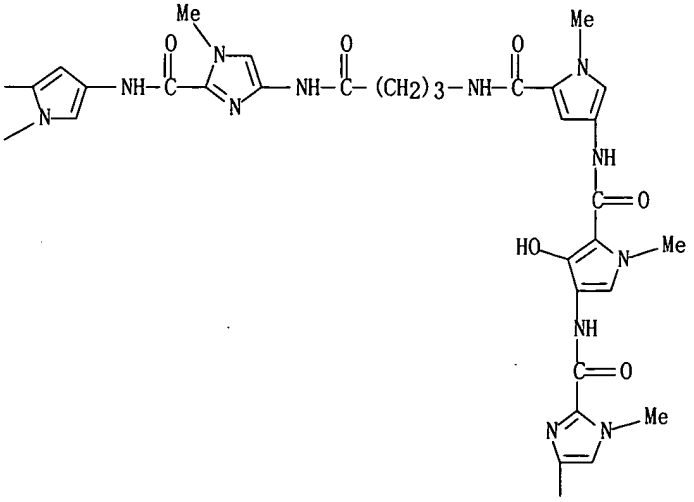

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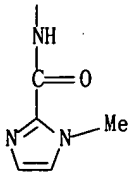

L42 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:568743 HCAPLUS
DN 129:184244
ED Entered STN: 07 Sep 1998
TI Inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands
IN Gottesfeld, Joel M.; Dervan, Peter B.; Mosier, Donald E.; Baird, Eldon E.
PA California Institute of Technology, USA; The Scripps Research Institute
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K047-48
CC 1-5 (Pharmacology)
Section cross-reference(s): 63
FAN.CNT 11

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	AU 749953	B2	20020704		
	EP 964703	A1	19991222	EP 1998-906240	19980211 <--
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	US 6660255	B1	20031209	US 2000-367513	20000425 <--
PRAI	US 1997-38384P	P	19970214 <--		
	US 1997-38394P	P	19970214 <--		
	US 1997-853022	A2	19970421 <--		
	WO 1997-US12722	A2	19970721 <--		
	US 1997-853522	A	19970508 <--		

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US 1997-58338P	P	19970910	<--
WO 1998-US2444	W	19980211	<--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 9835702	ICM	A61K047-48	
WO 9835702	ECLA	A61K047/48R2T	<--
WO 9850582	ECLA	C07D207/34; C07D233/90; C07D403/14R+233+207; C07D403/14R+231+207; C07K007/02	<--
US 6660255	ECLA	A61K047/48K6	<--

AB The invention provides polyamides suitable for modulating cellular or viral gene expression by binding to an identified target DNA sequence adjacent to the binding site of a minor groove transcription factor protein. The polyamides of the present invention are useful for the treatment of a human infected with a virus such as HIV-1. The polyamides of the present invention are also useful for the treatment of conditions, such as cancers, that result from the expression or over-expression of cellular genes, particularly oncogenes.

ST gene transcription inhibitor antitumor virucide

IT rRNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(5 S; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Proteins, specific or class
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(DNA-binding, zinc finger-containing, TFIIIA, 5S rRNA binding of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Ets-1, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(LEF-1, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(TBP, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(TFIIA, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Mammary gland
Mammary gland
Mammary gland
Ovary, neoplasm
(adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(c-erbB2; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antitumor agents
Antitumor agents

(cervix adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Uterus, neoplasm
Uterus, neoplasm
(cervix, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antitumor agents
Antitumor agents
(endometrium adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Uterus, neoplasm
Uterus, neoplasm
(endometrium, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Gene
(expression; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antibacterial agents
Antiviral agents
Bacteria (Eubacteria)
Fungi
Fungicides
Human immunodeficiency virus 1
Protozoa
Protozoacides
Retroviridae
Virus
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Polyamides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription, genetic
(inhibitors of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antitumor agents
Antitumor agents
Antitumor agents
(mammary gland adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(minor-groove, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Oviduct
(neoplasm, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antitumor agents
(ovary adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Drug delivery systems
(parenterals; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT DNA sequences
(targets; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT 180530-17-0 206128-28-1 211860-88-7 211860-89-8
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RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT 56-12-2, Gaba, biological studies 109-55-7 305-62-4
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT 107-95-9, .beta.-Alanine
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PROC (Process); USES (Uses)
(substitution by; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Cho, J; PROC NATL ACAD SCI U S A 1995, V92(22), P10389 HCAPLUS
(2) Genelabs Tech Inc; WO 9414980 A 1994 HCAPLUS
(3) Gottesfeld, J; NATURE (LONDON) 1997, V387(6629), P202 HCAPLUS
(4) Microprobe Corp; WO 9632496 A 1996 HCAPLUS
(5) Neely, L; J MOL BIOL 1997, V274(4), P439 HCAPLUS
(6) Swalley, S; CHEM--EUR J 1997, V3(10), P1600 HCAPLUS
(7) Trauger, J; CHEM BIOL 1996, V3(5), P369 HCAPLUS
(8) Trauger, J; NATURE (LONDON) 1996, V382(6591), P559 HCAPLUS
(9) Turner, J; J AM CHEM SOC 1997, V119(33), P7636 HCAPLUS
(10) White, S; NATURE (LONDON) 1998, V391(6666), P468 HCAPLUS

IT 180530-17-0 206128-28-1
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
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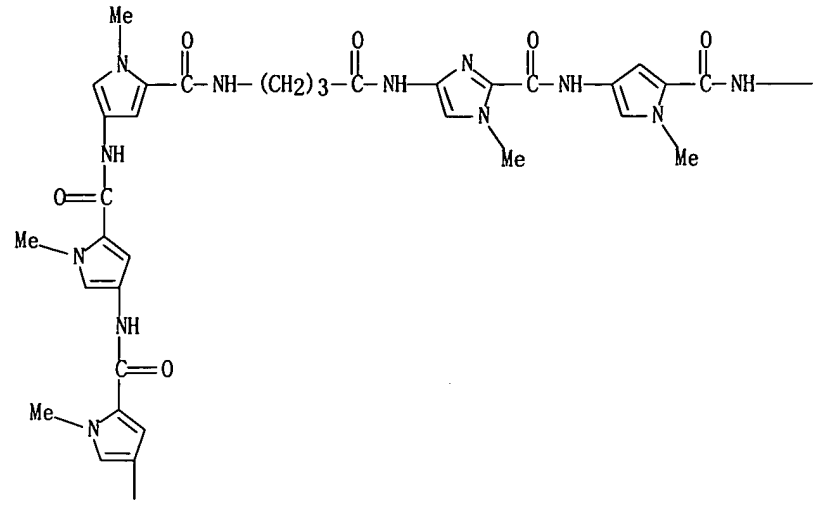

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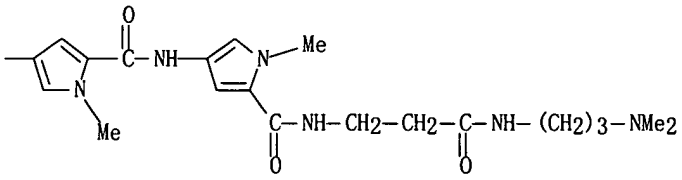

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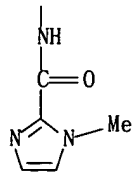

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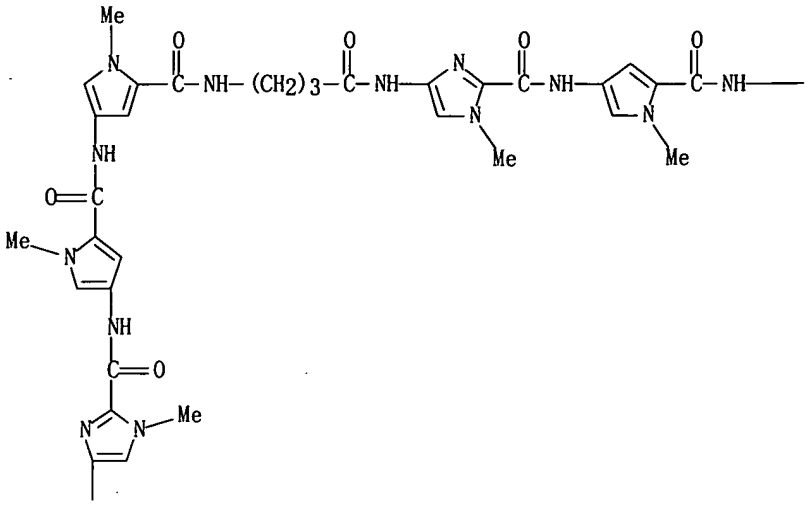

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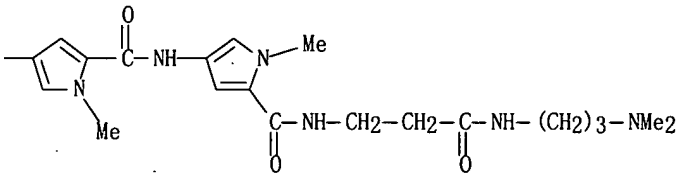

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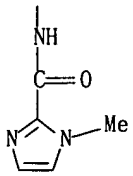

L42 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:579701 HCAPLUS
DN 127:263060
ED Entered STN: 11 Sep 1997
TI Preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides
IN Dervan, Peter B.; Baird, Eldon E.
PA California Institute of Technology, USA
SO PCT Int. Appl., 166 pp.
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DT Patent
LA English
IC ICM C07D207-34
ICS C07D233-90
CC 34-3 (Amino Acids, Peptides, and Proteins)

Search done by Noble Jarrell

Section cross-reference(s): 6, 27, 28, 33

FAN. CNT 11

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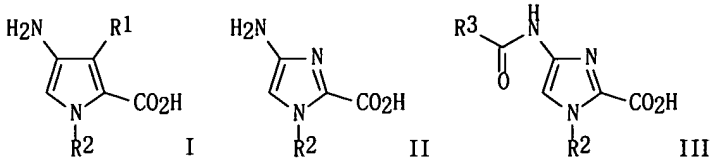

AB The present invention describes a novel method for the solid phase synthesis of polyamides containing imidazole- and pyrrolecarboxamides. The polyamides are prepared on a solid support from aromatic aminocarboxylic acids I and II (R1 = H, Me, OH, NH2, Cl, CF3; R2 = C1-10 alkyl, C1-10 alkenyl, C1-10 alkynyl) and dimers III (R3 = pyrrole amino acid, imidazole amino acid, aromatic amino acid, aliphatic amino acid, or any modification thereof) with high stepwise coupling yields (>99%), providing milligram quantities of highly pure polyamides. The present invention also describes the synthesis of analogs of the natural products netropsin and distamycin A, two antiviral antibiotics. The present invention also describes a novel method for the solid phase synthesis of imidazole- and pyrrolecarboxamide polyamide-oligonucleotide conjugates. This methodol. will greatly increase both the complexity and quantity of minor-groove binding polyamides and minor-groove binding polyamide-oligonucleotide conjugates which can be synthesized and tested. Thus, polyamides such as Ac-Im-Im-Py-NH(CH2)3CO-Py-Py-Py-Gly-NH(CH2)3NMe2 (Im = 4-amino-1-methylimidazole-2-carboxylic acid, Py = 4-amino-1-methylpyrrole-2-carboxylic acid) were prepared by standard solid-phase methods on a 4-(oxymethyl)phenylacetamidomethyl (PAM) resin using N-protected building blocks I-III and resin cleavage with 3-(dimethylamino)propylamine. Oligonucleotide-polyamide conjugates were prepared similarly.

ST polypyrrole building block prepn; polyimidazole building block prepn; aminopyrrolecarboxylic acid protected prepn coupling; aminoimidazolecarboxylic acid protected prepn coupling; imidazole pyrrole polyamide solid phase prepn; oligonucleotide polyamide conjugate solid phase prepn; DNA polyamide conjugate solid phase prepn

IT Oligonucleotides
RL: SPN (Synthetic preparation); PREP (Preparation)
(conjugates, polyamide; preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT DNA
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(conjugates; preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT Solid phase synthesis
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT Polyamides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT 196003-78-8P 196003-79-9P 196003-80-2P
196003-81-3P 196003-82-4P 196003-83-5P
196003-84-6P 196003-85-7P 196003-86-8P
196003-87-9P 196003-88-0P 196003-89-1P
196003-90-4P 196003-91-5P 196003-92-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of polypyrrole and polyimidazole carboxamide building blocks

for solid-phase synthesis of polyamides as DNA minor groove binding agents)

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RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT 13138-74-4P, Methyl 4-nitropyrrole-2-carboxylate 13138-76-6P 30148-21-1P, Ethyl 1-methylimidazole-2-carboxylate 34461-00-2P, Sodium nitromalondialdehyde 35302-72-8P, 2-Trichloroacetylpyrrole 65171-90-6P 65171-98-4P 77716-11-1P 77716-13-3P 77716-16-6P 109012-23-9P 113100-79-1P 120122-47-6P 128293-64-1P 155815-95-5P 177937-13-2P 180258-45-1P 180258-46-2P 180258-47-3P 180258-48-4P 195387-21-4P 195387-25-8P 195387-27-0P 195387-29-2P 195387-31-6P 195387-33-8P 195387-36-1P 195387-38-3P 195387-40-7P 195387-42-9P 195387-45-2P 195387-46-3P 195387-47-4P 195387-51-0P 195387-54-3P 195387-55-4P 195387-56-5P 195387-57-6P 195387-59-8P 195387-60-1P 195387-61-2P 195387-62-3P 195387-63-4P 195387-64-5P 195631-53-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT 636-47-5DP, Distamycin A, analogs 1438-30-8DP, Netropsin, analogs 178397-40-5P 195387-65-6P 195387-67-8P 195387-68-9P 195387-69-0P 195387-71-4P 195387-73-6P 195387-75-8P 195387-77-0P 195387-78-1P 195387-80-5P 195387-83-8P 195387-85-0P 195387-87-2P 195387-89-4P 195387-91-8P 195387-92-9P 195387-93-0P 195387-94-1P 195387-95-2P 195387-96-3P 195387-97-4P 195387-98-5P 195631-51-7P 195631-52-8P 195631-55-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

IT 196003-78-8P 196003-79-9P 196003-80-2P 196003-81-3P 196003-82-4P 196003-83-5P 196003-84-6P 196003-85-7P 196003-86-8P 196003-87-9P 196003-88-0P 196003-89-1P 196003-90-4P 196003-91-5P 196003-92-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

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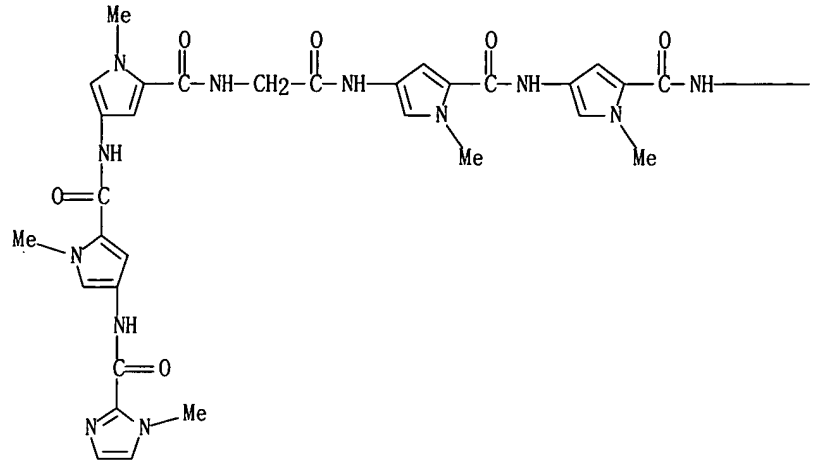

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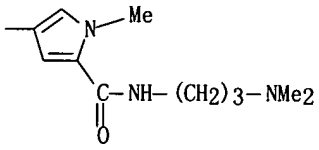

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CRN 195538-75-1
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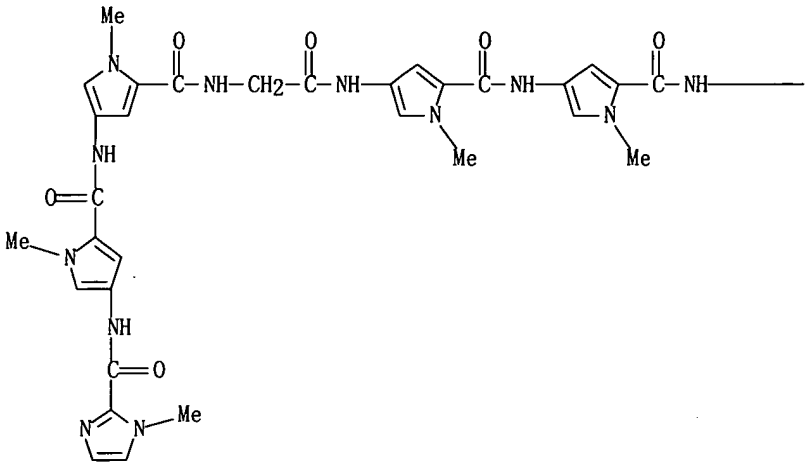

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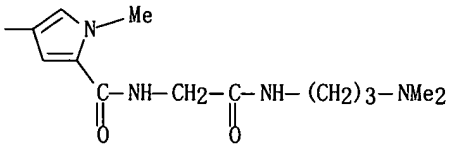

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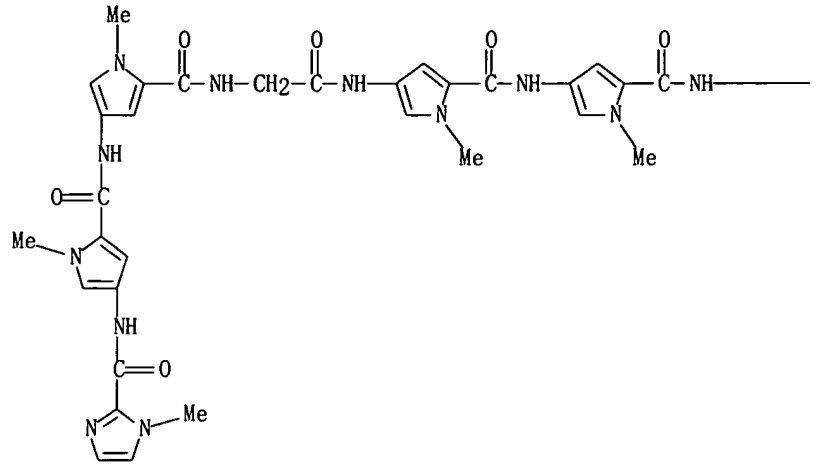

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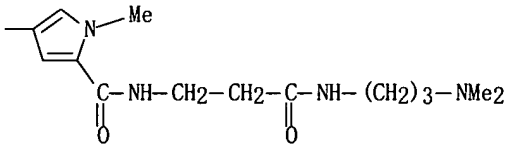

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CRN 195538-76-2
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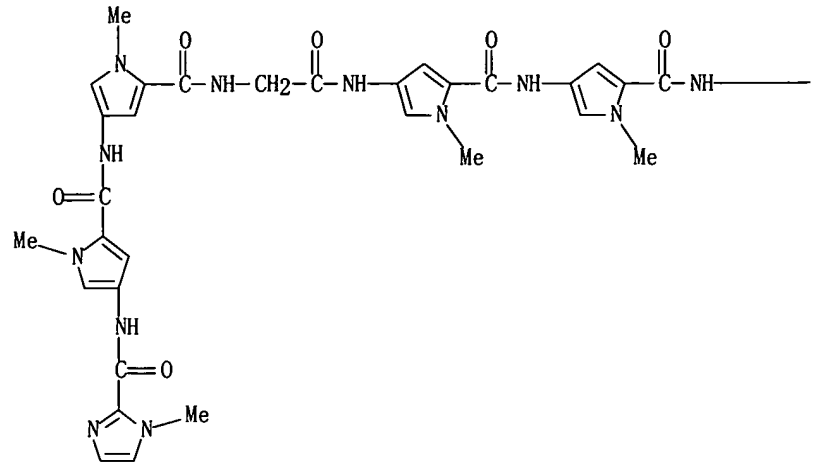

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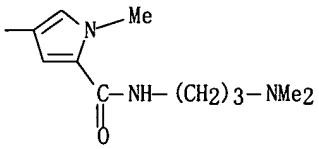

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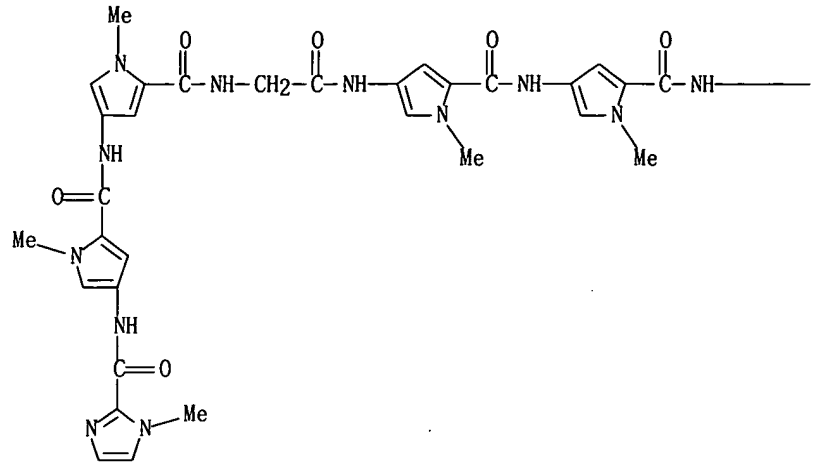

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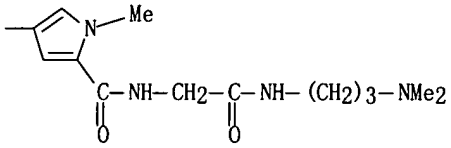

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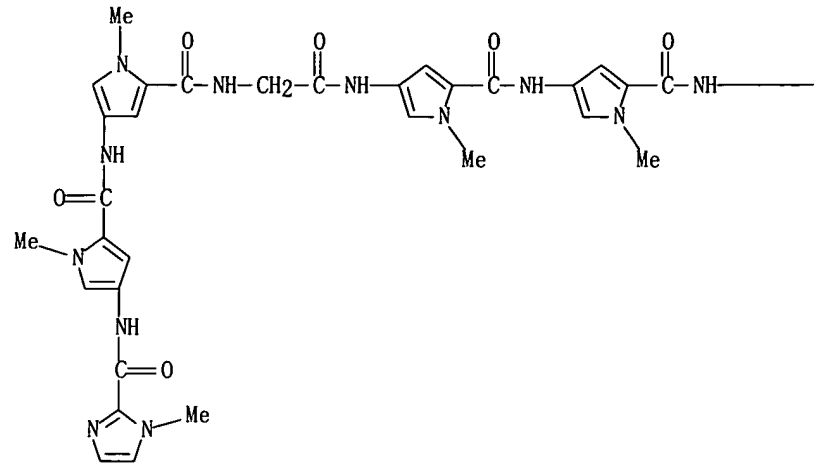
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

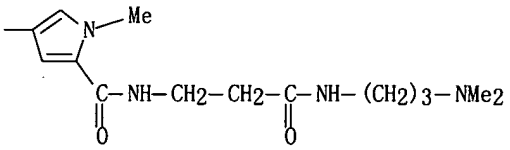
CM 2

CRN 195387-96-3
CMF C45 H56 N16 O8

PAGE 1-A



PAGE 1-B



RN 196003-84-6 HCAPLUS
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CM 1

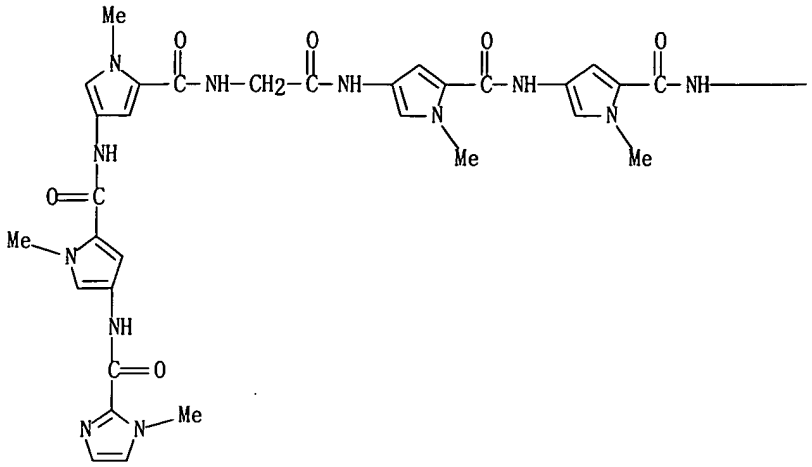
CRN 195538-77-3
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

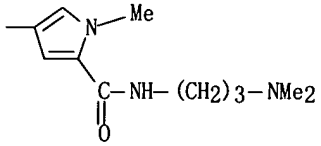
CM 2

CRN 160030-20-6
CMF C42 H51 N15 O7

PAGE 1-A



PAGE 1-B



RN 196003-85-7 HCAPLUS
CN DNA, d(T-G-T-T-A-A-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

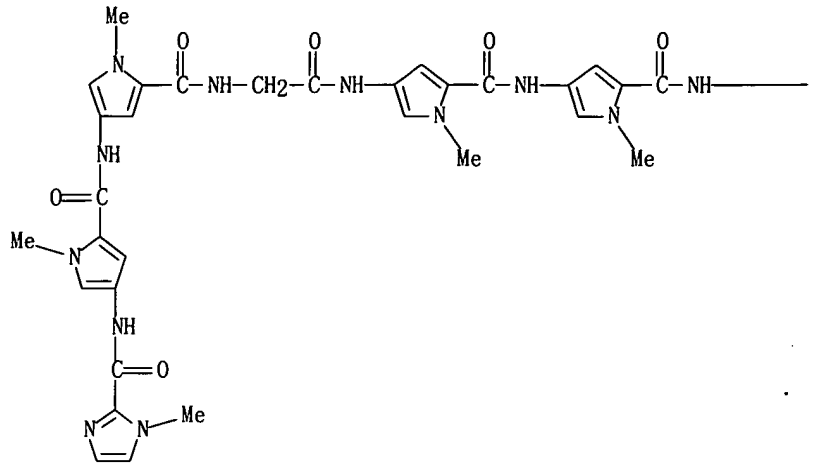
CRN 195538-77-3
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

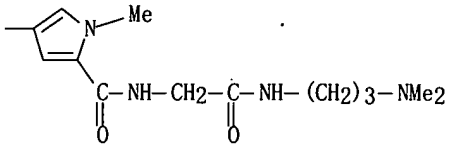
CM 2

CRN 195387-75-8
CMF C44 H54 N16 O8

PAGE 1-A



PAGE 1-B



RN 196003-86-8 HCAPLUS
CN DNA, d(T-G-T-T-A-A-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

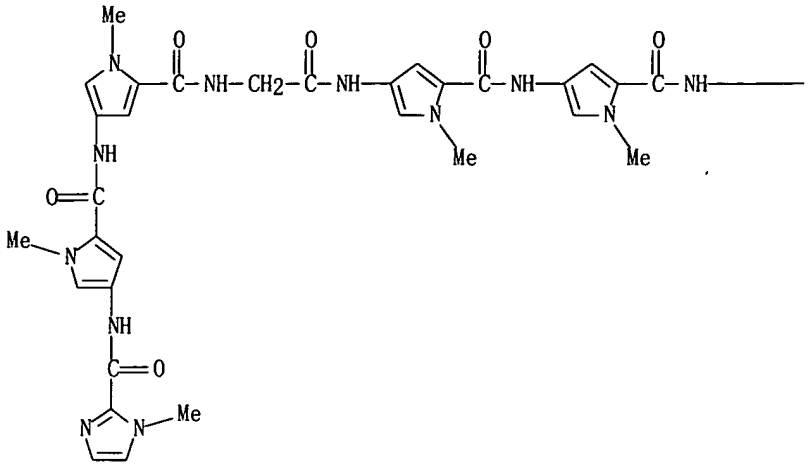
CRN 195538-77-3
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

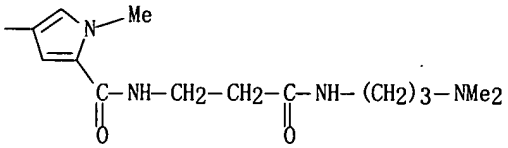
CM 2

CRN 195387-96-3
CMF C45 H56 N16 O8

PAGE 1-A



PAGE 1-B



RN 196003-87-9 HCAPLUS
CN DNA, d(C-G-T-T-T-T-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

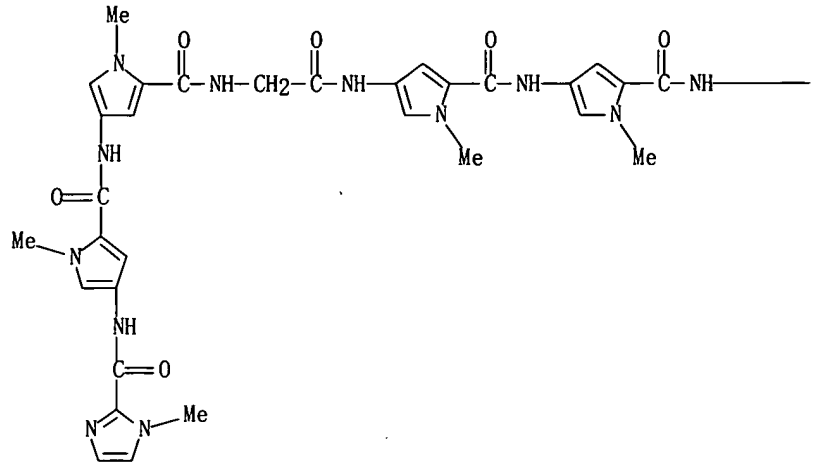
CRN 195538-78-4
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

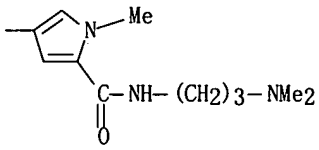
CM 2

CRN 160030-20-6
CMF C42 H51 N15 O7

PAGE 1-A



PAGE 1-B



RN 196003-88-0 HCAPLUS
CN DNA, d(C-G-T-T-T-T-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

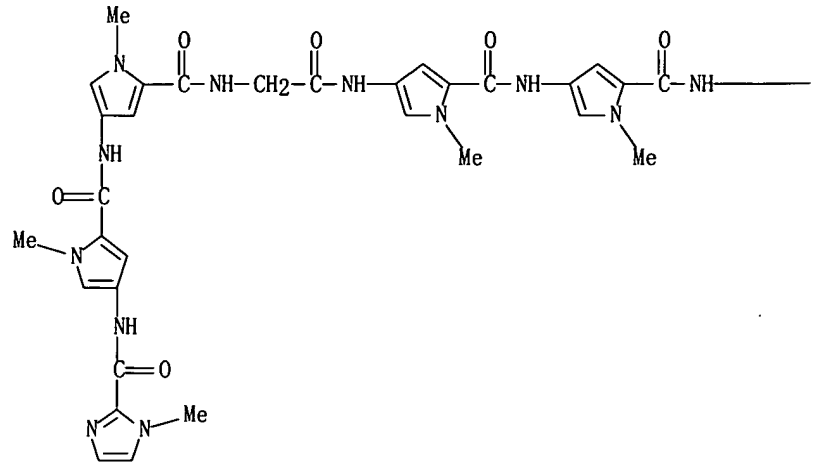
CRN 195538-78-4
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

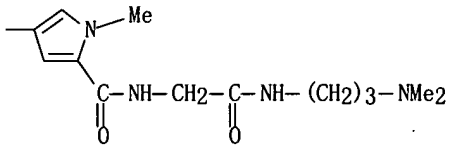
CM 2

CRN 195387-75-8
CMF C44 H54 N16 O8

PAGE 1-A



PAGE 1-B



RN 196003-89-1 HCAPLUS
CN DNA, d(C-G-T-T-T-T-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

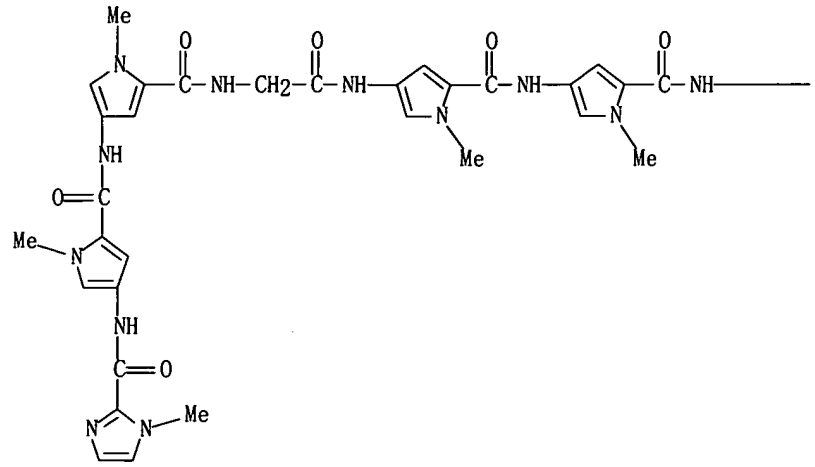
CRN 195538-78-4
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

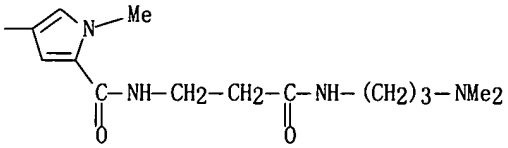
CM 2

CRN 195387-96-3
CMF C45 H56 N16 O8

PAGE 1-A



PAGE 1-B



RN 196003-90-4 HCAPLUS
CN DNA, d(C-T-T-G-C-A-G-C-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

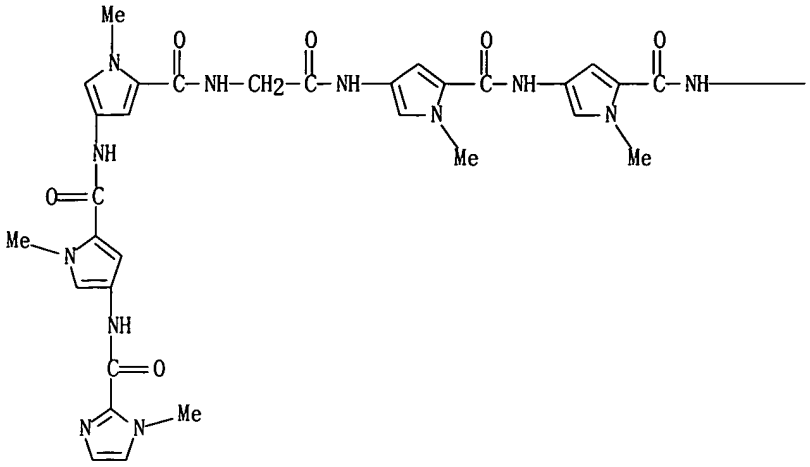
CRN 195538-79-5
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

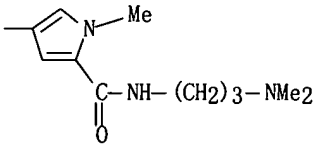
CM 2

CRN 160030-20-6
CMF C42 H51 N15 O7

PAGE 1-A



PAGE 1-B



RN 196003-91-5 HCAPLUS
CN DNA, d(C-T-T-G-C-A-G-C-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

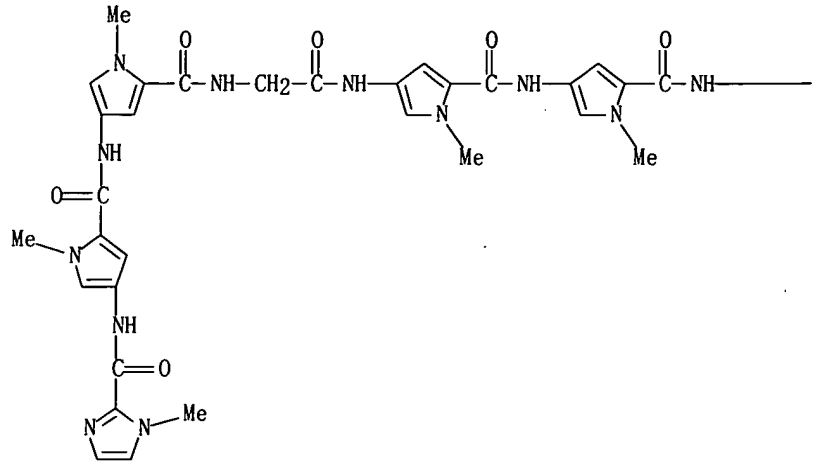
CRN 195538-79-5
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

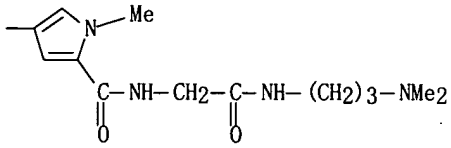
CM 2

CRN 195387-75-8
CMF C44 H54 N16 O8

PAGE 1-A



PAGE 1-B



RN 196003-92-6 HCAPLUS
CN DNA, d(C-T-T-G-C-A-G-C-A-C-A), double-stranded complementary, compd. with N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-1H-imidazole-2-carboxamide (1:2) (9CI) (CA INDEX NAME)

CM 1

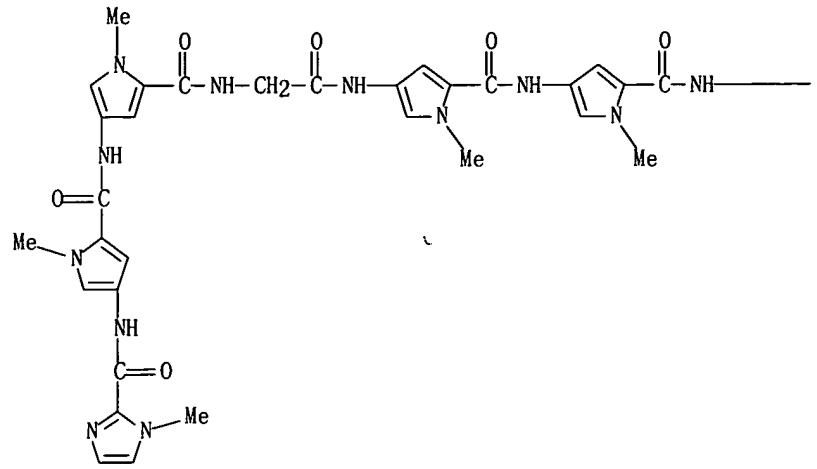
CRN 195538-79-5
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

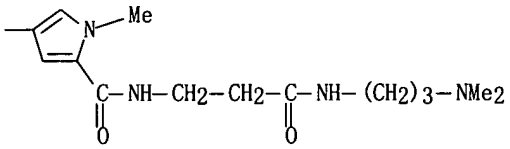
CM 2

CRN 195387-96-3
CMF C45 H56 N16 O8

PAGE 1-A



PAGE 1-B

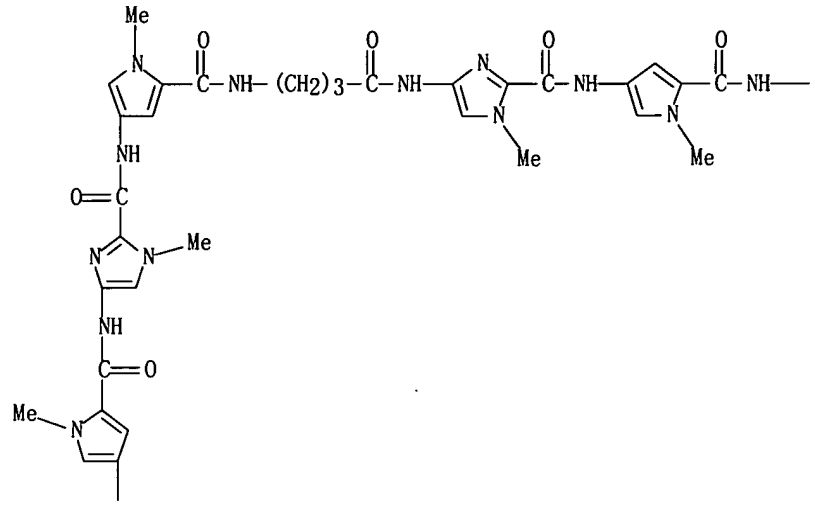


IT 195387-64-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

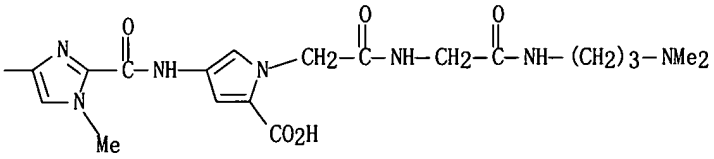
RN 195387-64-5 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[4-[[[4-[[[4-[[4-[[[4-[[[4-[[[4-[[[4-[(4-amino-1-oxobutyl)amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-[2-[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



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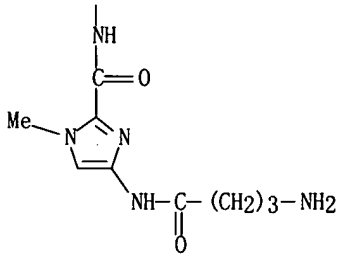


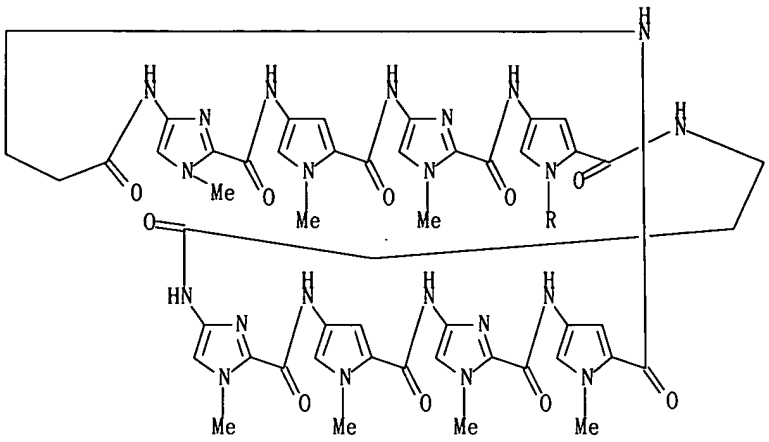
IT 195387-65-6P 195387-68-9P 195387-69-0P
195387-71-4P 195387-75-8P 195387-77-0P
195387-78-1P 195387-80-5P 195387-83-8P
195387-85-0P 195387-87-2P 195387-89-4P
195387-91-8P 195387-92-9P 195387-93-0P
195387-94-1P 195387-95-2P 195387-96-3P
195387-98-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polypyrrole and polyimidazole carboxamide building blocks for solid-phase synthesis of polyamides as DNA minor groove binding agents)

RN 195387-65-6 HCAPLUS

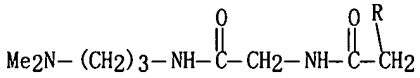
CN 2,5,8,11,14,17,20,25,28,31,34,37,40,43,46,49,54,57,60,62,64,66-Docosaazanonacyclo[54.2.1.14,7.110,13.116,19.127,30.133,36.139,42.145,48]h

exahexaconta-4(66),6,10(65),12,16(64),18,27(63),29,33(62),35,39(61),41,45(60),47,56(59),58-hexadecaene-57-acetamide, N-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]-5,11,17,28,34,40,46-heptamethyl-3,9,15,21,26,32,38,44,50,55-decaoxo- (9CI) (CA INDEX NAME)

PAGE 1-A



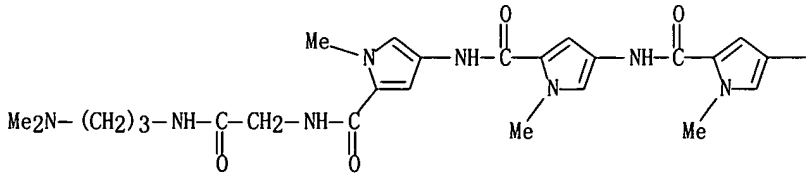
PAGE 2-A



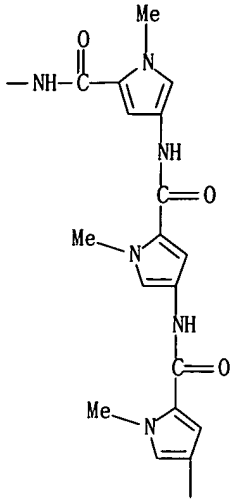
RN 195387-68-9 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

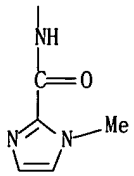
PAGE 1-A



PAGE 1-B

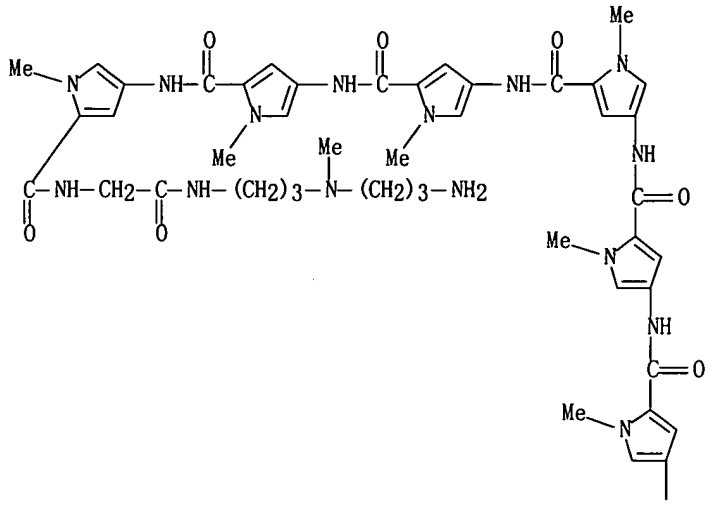


PAGE 2-B

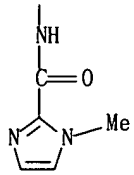


RN 195387-69-0 HCAPLUS
CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[5-[[[5-[[[5-[[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



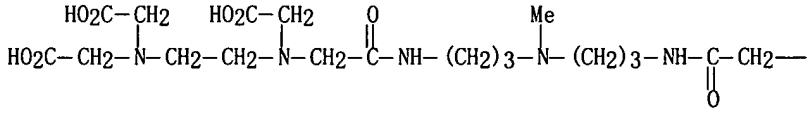
PAGE 2-A



RN 195387-71-4 HCAPLUS

CN 2,5,9,13,16,19-Hexaazaheneicosan-21-oic acid, 16,19-bis(carboxymethyl)-9-methyl-1-[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]-1,4,14-trioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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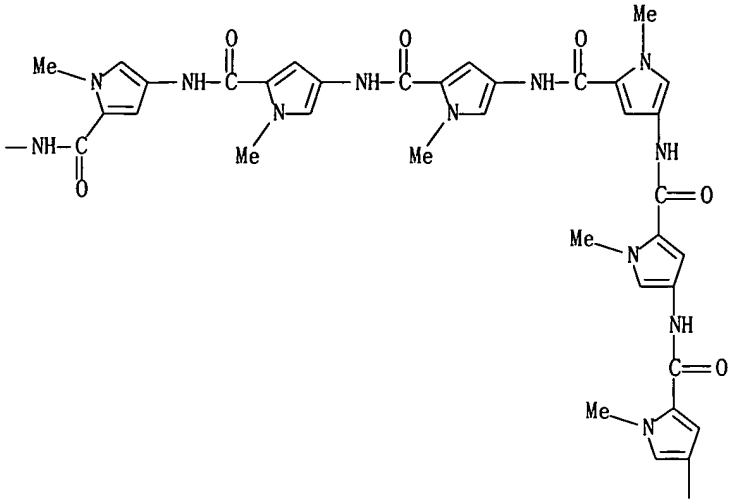

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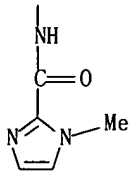
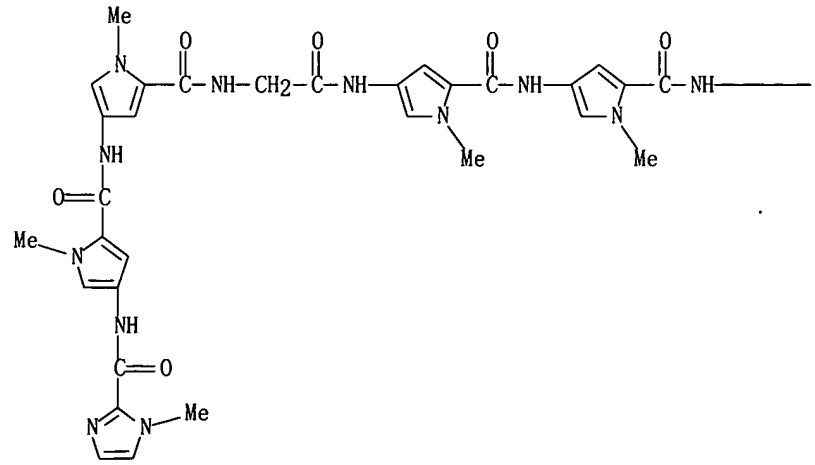


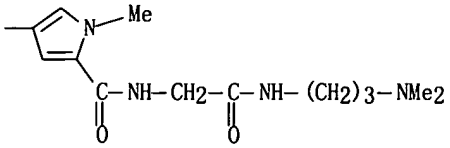
RN 195387-75-8 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



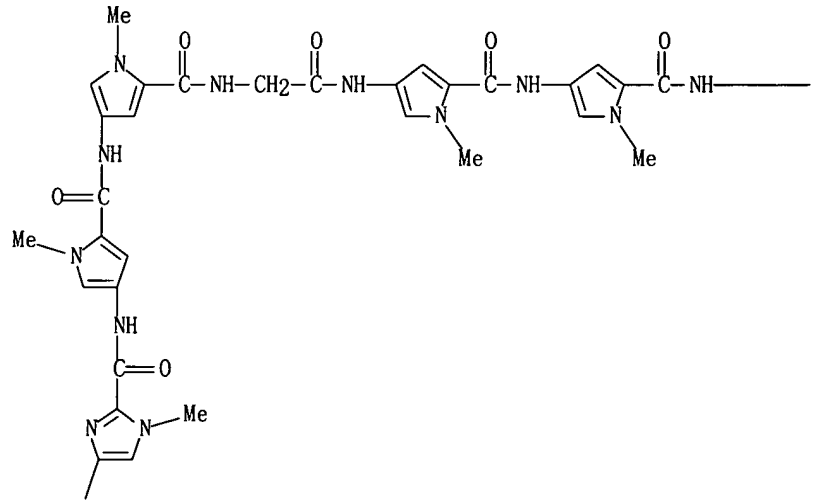
PAGE 1-B



RN 195387-77-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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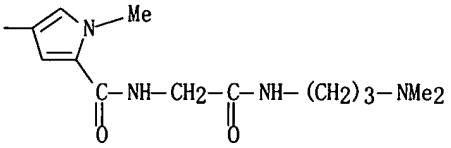
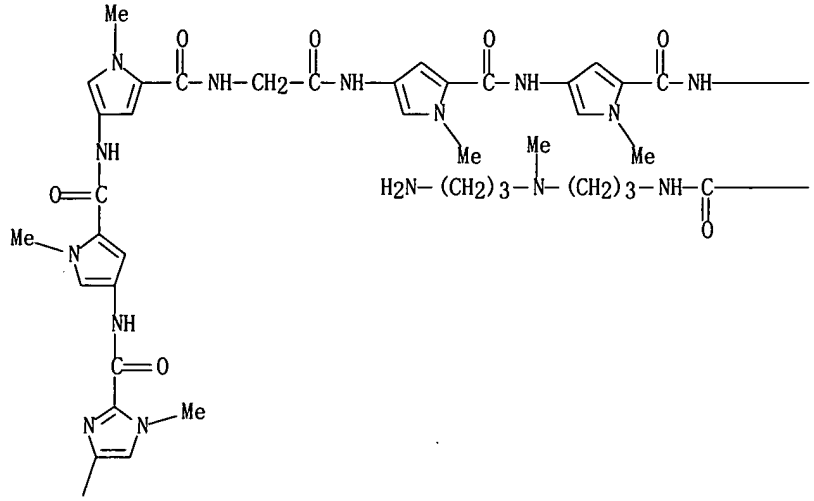


PAGE 2-A

RN 195387-78-1 HCAPLUS
CN 1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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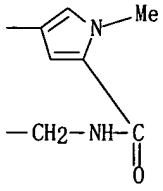
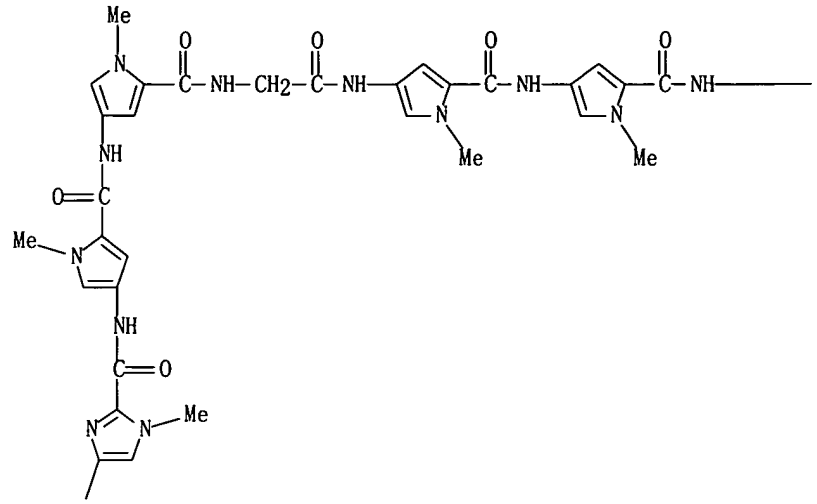


PAGE 2-A

RN 195387-80-5 HCAPLUS
CN Glycinamide, 4-[[[4-[[[4-[[[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]acetyl]amino]-1-methyl-1H-pyrrol-2-

yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-2,3,4,5-tetradehydro-1-methylprolyl-N-[15-carboxy-11,14-bis(carboxymethyl)-4-methyl-9-oxo-4,8,11,14-tetraazapentadec-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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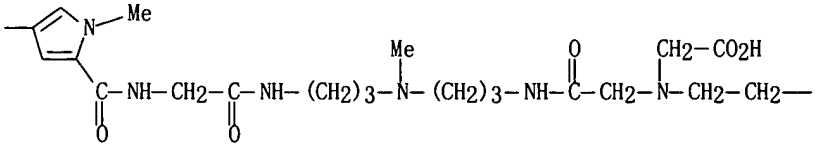
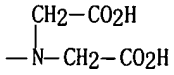


PAGE 1-C



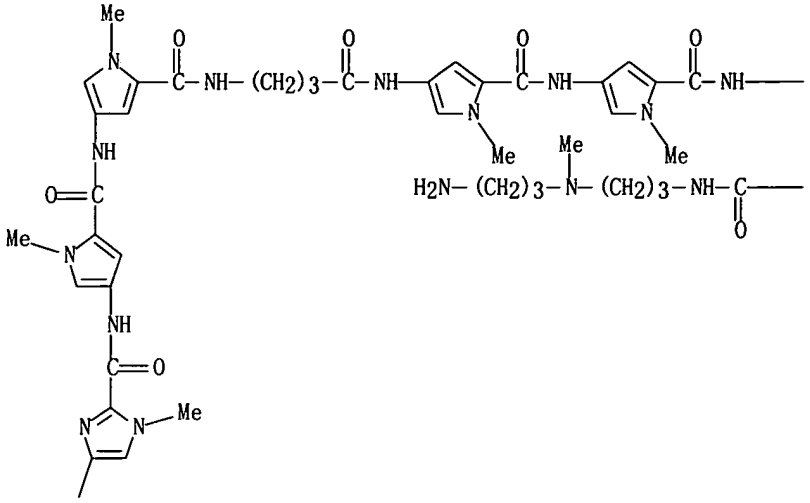
PAGE 2-A

RN 195387-83-8 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[5-[[[5-[[[4-[[5-[[[5-[[[5-[[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-4-

oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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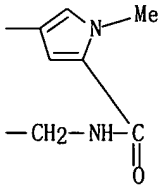
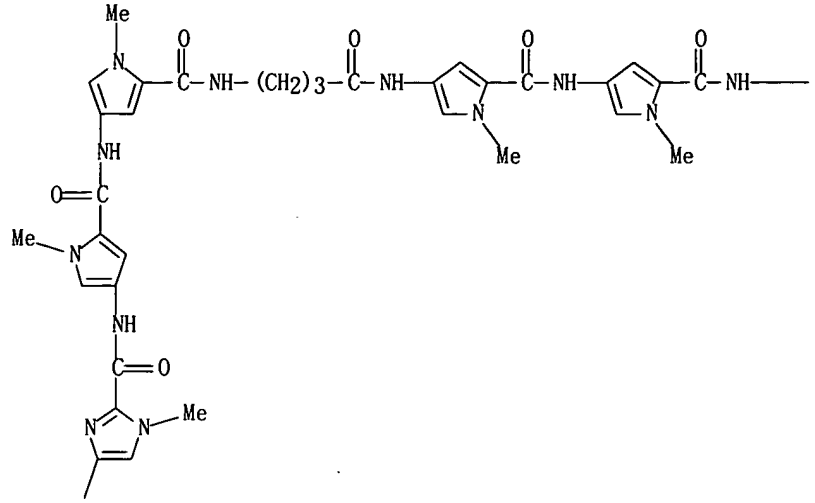


PAGE 2-A

RN 195387-85-0 HCAPLUS

CN Glycinamide, 4-[[[4-[[[4-[[4-[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-2,3,4,5-tetradehydro-1-methylprolyl-N-[15-carboxy-11,14-bis(carboxymethyl)-4-methyl-9-oxo-4,8,11,14-tetraazapentadec-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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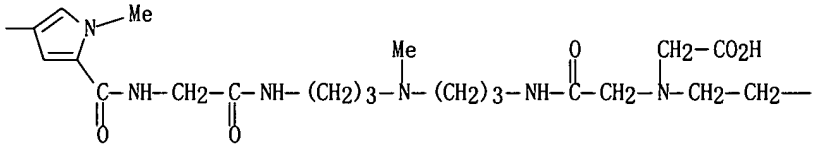
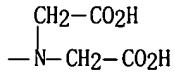


PAGE 1-C

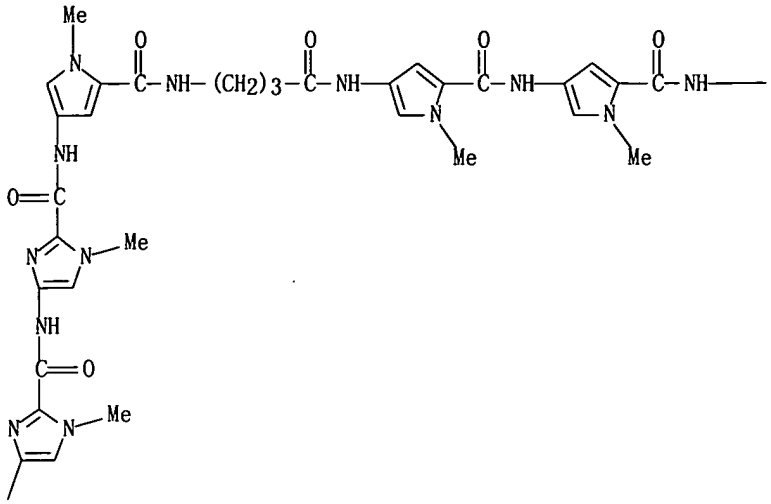


PAGE 2-A

RN 195387-87-2 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[2-[[[5-[[[4-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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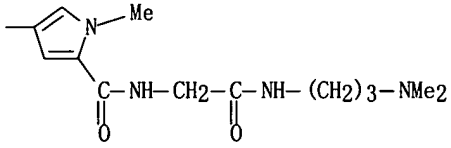
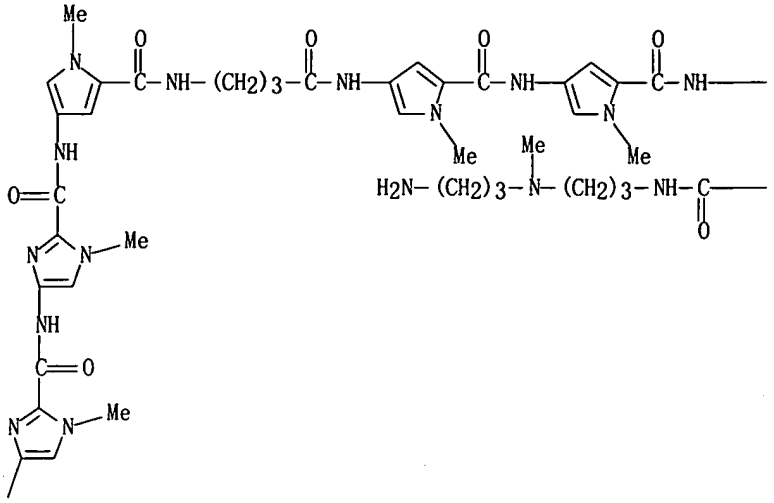


PAGE 2-A

RN 195387-89-4 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-(acetylamino)-N-[2-[[[5-[[[4-[[5-[[[5-[[[5-[[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-4-oxobutyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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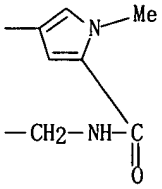

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RN 195387-91-8 HCAPLUS

CN Glycinamide, 4-[[[4-[[[4-[[[4-[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-2,3,4,5-tetradehydro-1-methylprolyl-N-[15-carboxy-11,14-bis(carboxymethyl)-4-methyl-9-oxo-4,8,11,14-tetraazapentadec-1-yl]- (9CI) (CA INDEX NAME)

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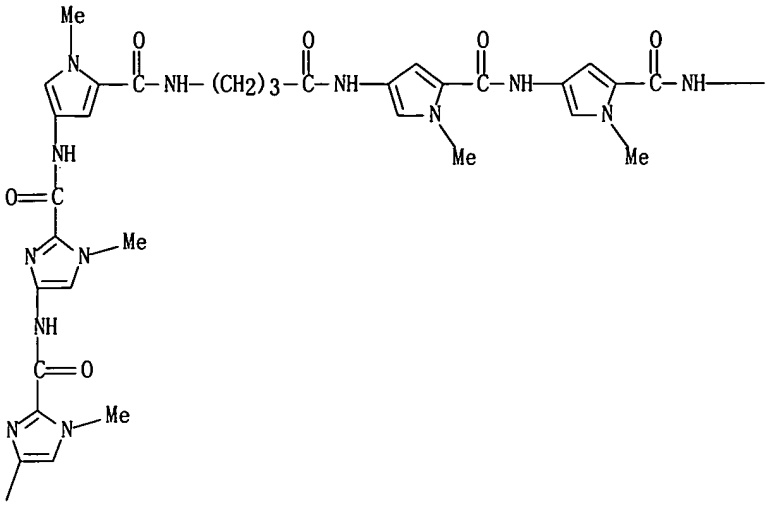

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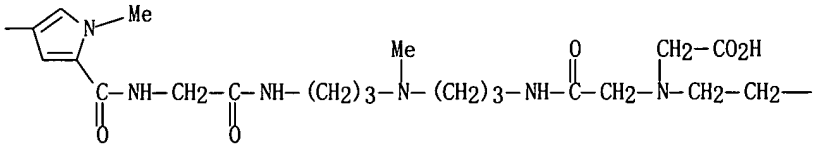

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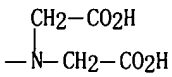

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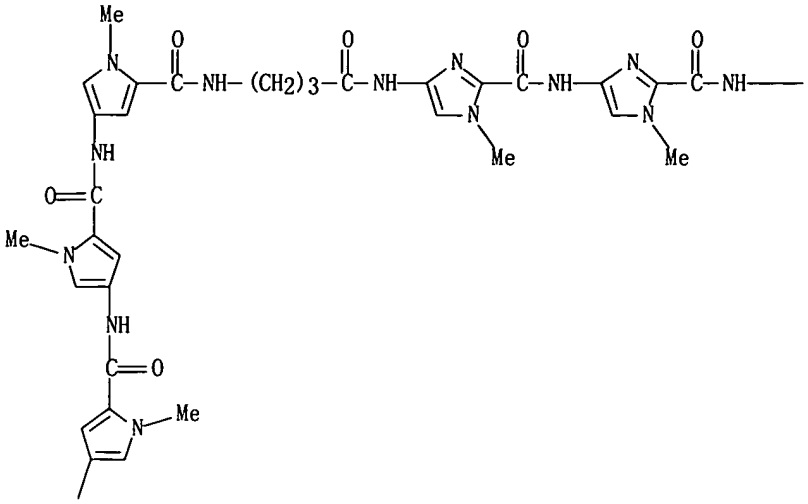
RN 195387-92-9 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-[[4-[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-N-[2-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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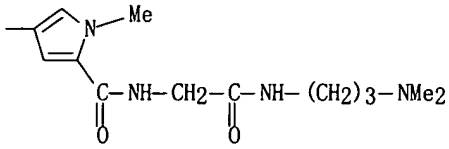

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RN 195387-93-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, 4-[[4-[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-N-[2-[[[5-[[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

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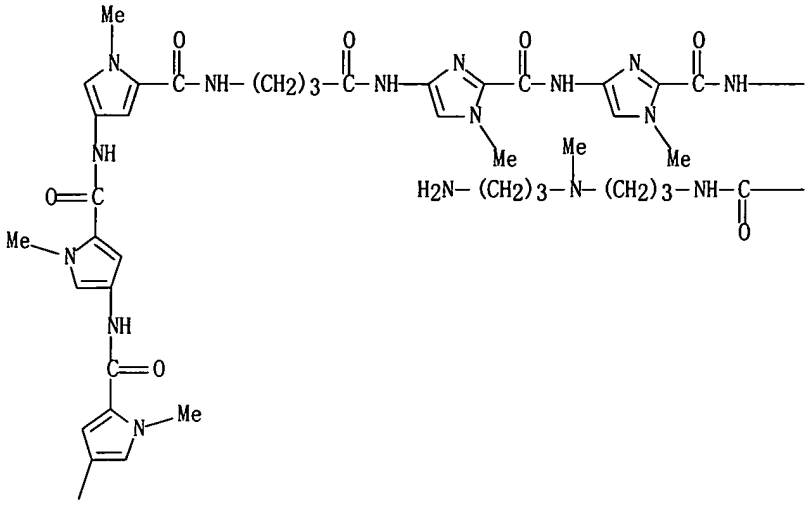

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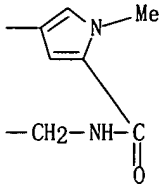

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RN 195387-94-1 HCAPLUS

CN Glycinamide, 4-[[[4-[[[4-[[4-[[[4-[[[4-[[[4-(acetylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1-methyl-1H-imidazol-2-yl]carbonyl]amino]-2,3,4,5-tetradehydro-1-methylprolyl-N-[15-carboxy-11,14-bis(carboxymethyl)-4-methyl-9-oxo-4,8,11,14-tetraazapentadec-1-yl]- (9CI) (CA INDEX NAME)

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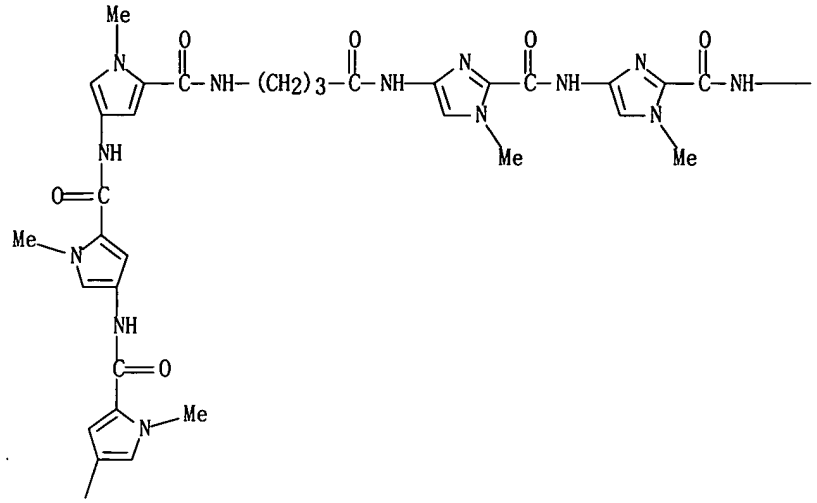

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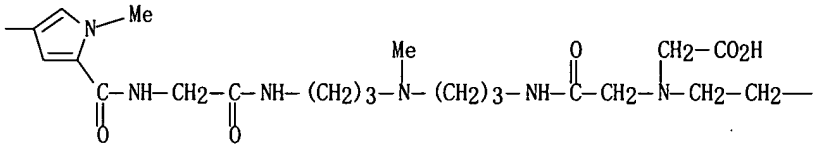

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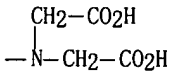

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RN 195387-95-2 HCAPLUS

CN Glycine, N-[2-[bis(carboxymethyl)amino]ethyl]-N-[2-[[4-[[2-[[[5-[[[5-[[[3-[[5-[[[5-[[[5-[[[2-[[3-(dimethylamino)propyl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-imidazol-4-yl]amino]-4-oxobutyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

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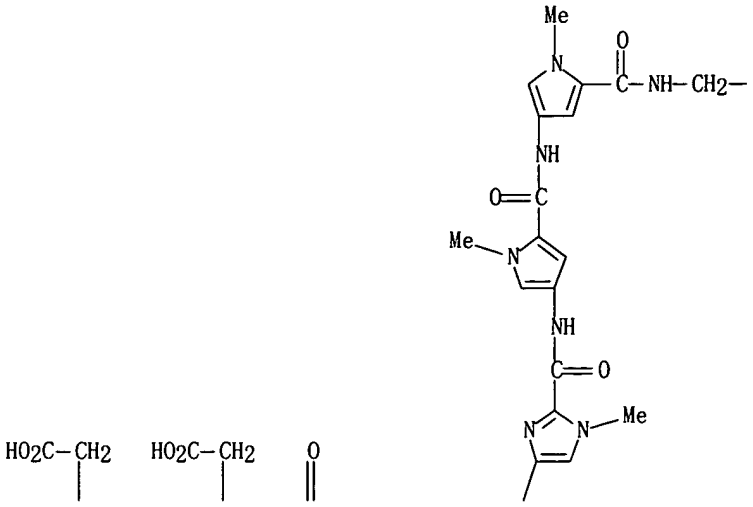

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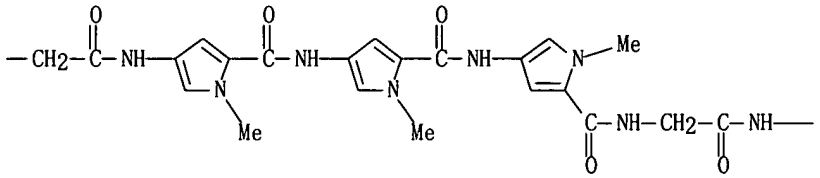

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— $(CH_2)_3$—NMe_2

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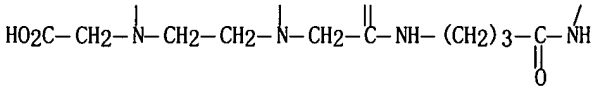
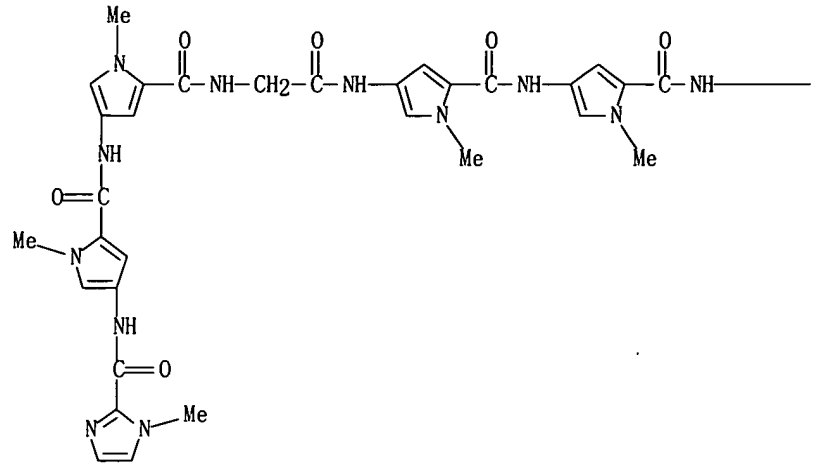


RN 195387-96-3 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[2-[[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-2-oxoethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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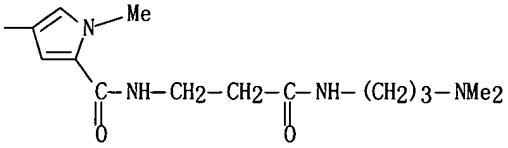

RN 195387-98-5 HCAPLUS

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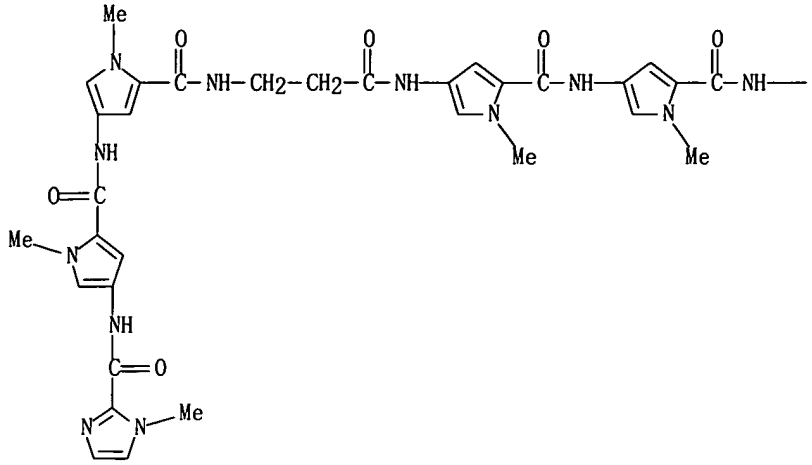

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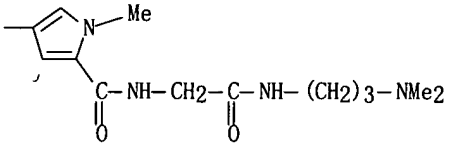

L42 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:151532 HCAPLUS
DN 126:157822
ED Entered STN: 08 Mar 1997
TI Synthesis of N-substituted oligomers as therapeutic agents
IN Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei, Yazhong; Richter, Lutz; Simon, Reyna
PA Chiron Corporation, USA
SO PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K038-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 27
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640202	A1	19961219	WO 1996-US8832	19960604 <--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML

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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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US 5877278	ECLA	C07B061/00L; C07K001/04; C07K001/04C; C07K007/06A; C07K007/08A; C07K014/00B; C08G069/10 <--

AB The title process comprises a solid-phase method for synthesis of N-substituted oligomers, e.g., poly(N-substituted glycines) having a wide variety of side-chain substituents, to obtain compds. of potential therapeutic interest. Each N-substituted glycine monomer is assembled from two sub-monomers directly on the solid support. Each cycle of monomer addition consists of two steps: (1) acylation of a support-bound amine with an acylating agent containing a group capable of nucleophilic displacement by -NH2, such as a haloacetic acid, and (2) introduction of the side-chain by nucleophilic displacement of the leaving group with a second submonomer such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. Combinatorial libraries are disclosed.

ST polyglycine N substituted prepn therapeutic agent

IT Combinatorial library
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of N-substituted oligomers as therapeutic agents)

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145251-26-9P 145251-27-0P 145251-28-1P 145251-29-2P
145251-31-6P 160832-95-1P 160832-97-3P 160832-98-4P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of N-substituted oligomers as therapeutic agents)

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RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of N-substituted oligomers as therapeutic agents)

IT 145251-31-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of N-substituted oligomers as therapeutic agents)

RN 145251-31-6 HCAPLUS

CN Glycinamide, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N2-(3-aminopropyl)- (9CI) (CA INDEX NAME)

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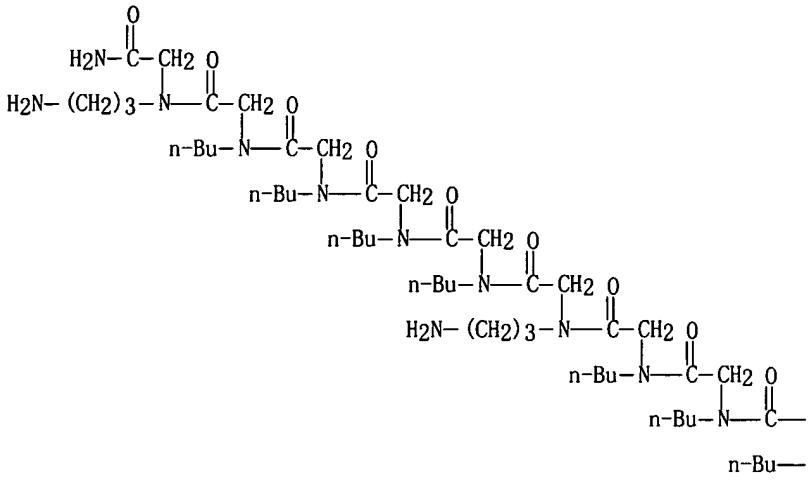

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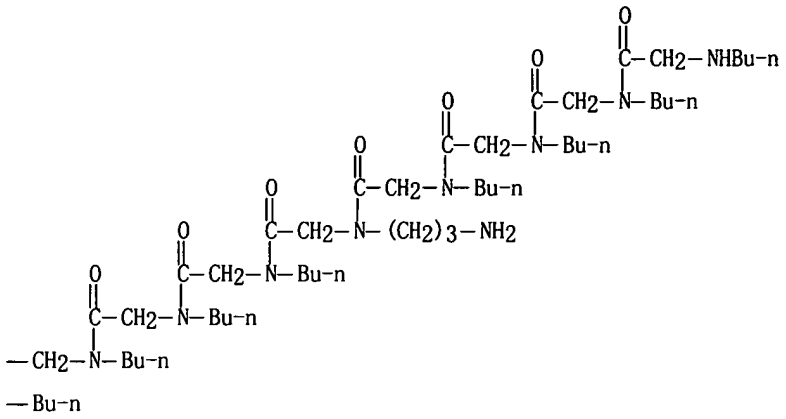
PAGE 1-C



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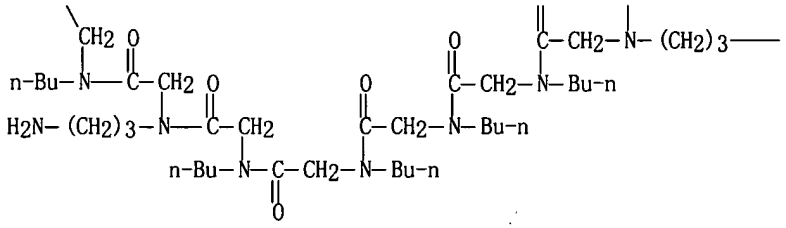

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—NH_2

L42 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:346685 HCAPLUS
DN 122:133845
ED Entered STN: 11 Feb 1995
TI Synthesis of N-substituted oligomers (polyglycines).
IN Zuckermann, Ronald N.; Kerr, Janice M.; Kent, Stephen Brian Henry; Moos, Walter H.; Simon, Reyna J.; Goff, Dane A.
PA Chiron Corp., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K037-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 63
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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            SD, SE, SK, UA, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
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                         C08G069/10                                      <--
OS   MARPAT 122:133845
AB   (N-substituted polyamide) monomers were prepared by (1) acylating an amine
     bound to a substrate with a sub-monomer acylating agent containing a leaving
     group to obtain a substrate-bound acylated amine having a leaving group,
     and (2) reaction of the latter with a second sub-monomer displacing agent
     containing an amino group to carry out nucleophilic displacement of the
     leaving group added during acylation.  Repetition of the process affords
     e.g. oligomeric N-substituted glycines (NSGs) having significant biol.
     activity and proteolytic stability.  Automated synthesis technol. makes
     the oligomers attractive for the generation and rapid screening of diverse
     peptidomimetic libraries.  Thus, penta(N-phenylglycine)amide was prepared
     using an automated synthesizer in 83% yield using Rink amide polystyrene
     resin, PhNH2, and ICH2CO2H.  Acylation reactions were carried out using
     diisopropylcarbodiimide in DMF; displacement reactions were carried out in
     Me2SO.  Title compds. are claimed for use in diagnosis and therapy,
     specifically in antisense treatment.
ST   polyglycine substituted automated prepn; peptide mimetic substituted
     polyglycine; glycine poly substituted automated prepn; haloacetate amine
     reaction solid phase; oligomer substituted solid phase prepn
IT   Pharmaceuticals
        (antisense, substituted polyglycines, preparation of, by sub-monomer method)
IT   Peptides, preparation
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (substituted polyglycines, preparation of, by sub-monomer method)
IT   Polymers, preparation
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (oligomers, polyglycines and related compds., solid phase synthesis of,
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by sub-monomer method)

IT 25718-94-9DP, Polyglycine, N-substituted 25734-27-4DP, Polyglycine, N-substituted 145251-23-6P 145251-24-7P 145251-25-8P 145251-26-9P 145251-27-0P 145251-28-1P 145251-29-2P 145251-31-6P 160832-95-1P 160832-96-2P 160832-97-3P 160832-98-4P 160832-99-5P 160833-00-1P 160833-01-2P 160833-02-3P 160833-03-4P 160833-04-5P 160833-05-6P 160833-06-7P 160833-07-8P 160833-08-9P 160833-09-0P 160833-10-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
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RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of peptoids by sub-monomer method)

IT 145251-31-6P 160832-96-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by sub-monomer method)

RN 145251-31-6 HCAPLUS

CN Glycinamide, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N2-(3-aminopropyl)- (9CI) (CA INDEX NAME)

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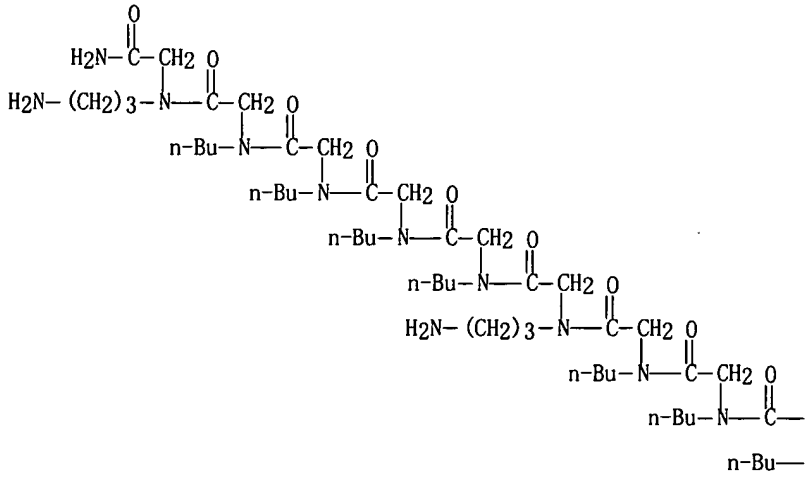

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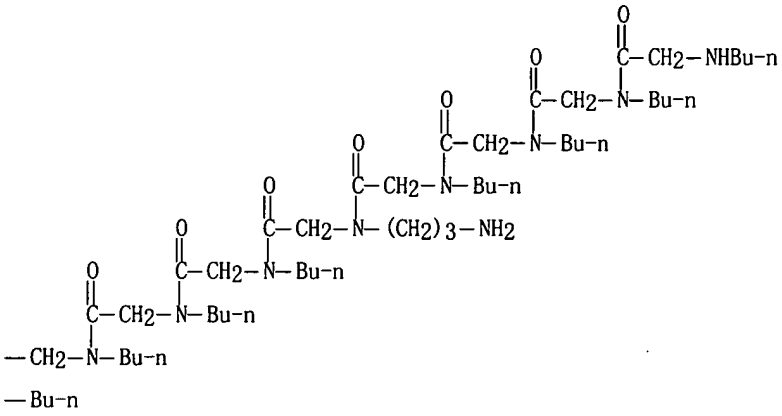
PAGE 1-C



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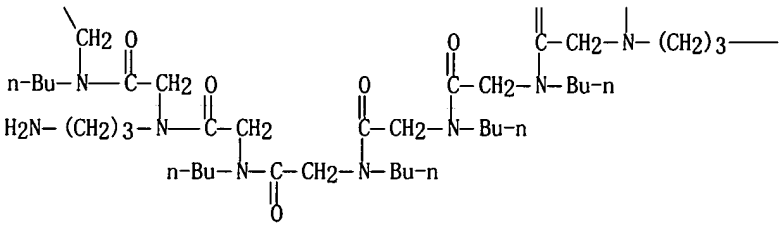

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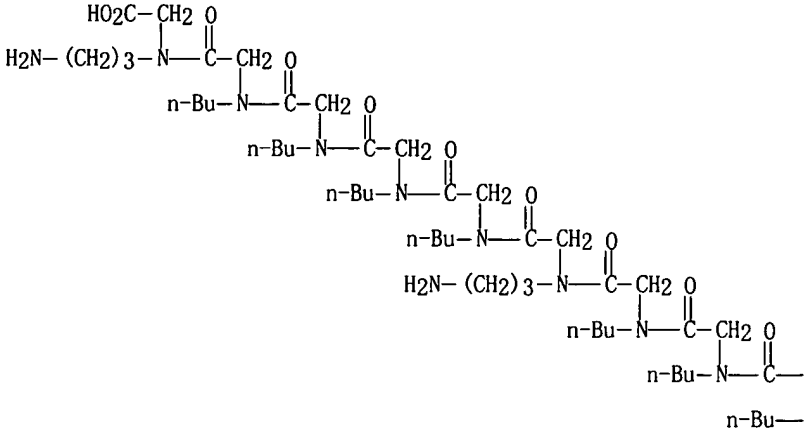
—NH_2

RN 160832-96-2 HCAPLUS
CN Glycine, N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)glycyl-N-butylglycyl-N-butylglycyl-N-butylglycyl-N-(3-aminopropyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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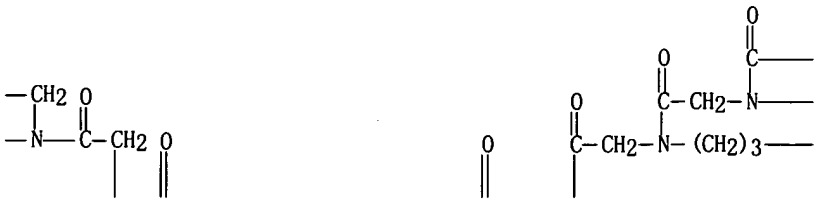

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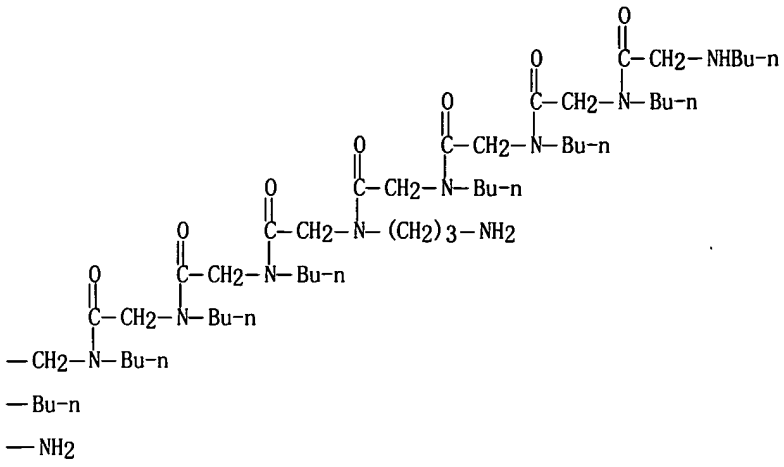

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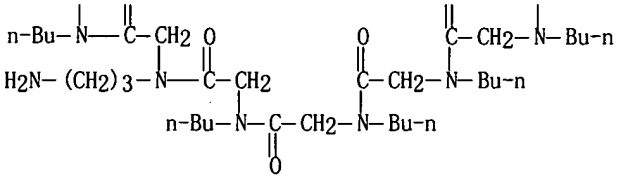

L42 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:587848 HCAPLUS
DN 117:187848
ED Entered STN: 15 Nov 1992
TI Propenamide derivatives, polymers, copolymers, and use thereof in inhibiting adhesion of and culturing animal cells
IN Komazawa, Hiroyuki; Kojima, Masayoshi; Orikasa, Atsushi
PA Fuji Photo Film Co., Ltd., Japan
SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07K005-08
ICS C12N005-00; A61K037-02; A61K047-48; A61L027-00; C08F289-00; C07K017-06
CC 9-11 (Biochemical Methods)
Section cross-reference(s): 1, 34, 35, 38
FAN.CNT 1

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PI	EP 488258	A2	19920603	EP 1991-120332	19911127	<--
	EP 488258	A3	19930505			
	EP 488258	B1	19960417			
	R: CH, DE, GB, LI					
	JP 04213310	A2	19920804	JP 1991-66157	19910329	<--
	JP 2745342	B2	19980428			
	JP 04213308	A2	19920804	JP 1991-66158	19910329	<--
	JP 04213312	A2	19920804	JP 1991-66160	19910329	<--
	JP 2745343	B2	19980428			

Search done by Noble Jarrell

	US 6046289	A	20000404	US 1994-278251	19940720 <--
PRAI	JP 1990-324611	A	19901127 <--		
	JP 1990-334792	A	19901130 <--		
	JP 1990-334793	A	19901130 <--		
	JP 1991-66157	A	19910329 <--		
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	JP 1991-66160	A	19910329 <--		
	US 1991-798624	B1	19911126 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 488258	ICM	C07K005-08
	ICS	C12N005-00; A61K037-02; A61K047-48; A61L027-00; C08F289-00; C07K017-06
EP 488258	ECLA	A61K047/48R; C07K005/08B1; C07K014/75; C07K014/78; C12N005/00S <--
US 6046289	ECLA	A61K047/48R; C07K005/08B1; C07K014/75; C07K014/78; C12N005/00S <--

AB Propenamide derivs. R1R2C:CR3CO[NH]Q [Q = R4COX-Arg-Gly-Asp-YnZR5; R1, R2 = H, CO2H; R3 = H, halo, Me, Et, CH2CO2H; X, Y = amino acid, peptide; Z = O, NH; 1 of R4, R5 = H, and the other = (substituted) alkylene or arylene; n = 1-5; brackets indicate group may be present or absent], their (crosslinked) polymers, and their copolymers with H2C:CR6[CO][W]R7 [R6 = H, C1-3 (substituted) alkyl; W = O, NH; R7 = (substituted) alkyl or aryl], where the peptide portion of Q is an adhesive peptide, are useful for inhibiting adhesion of animal cells, for inhibiting coagulation and/or adhesion of blood platelets, and as a substrate (e.g. a hydrogel) for cultivating animal cells. They may be used in modulating immune function, wound healing, and intravascular platelet coagulation and in healing nervous disorders. Examples of preparation of monomers, polymers, and copolymers are presented. Thus, adhesion of blood vessel endothelium cells to fibronectin-coated wells in plastic plates was strongly inhibited by radical-polymerized H2C:CMeC(O)NHC2H4(CO)-Arg-Gly-Asp-Ser at 0.5 mg/mL.

ST cell adhesion propenamide deriv polymer; polypropenamide blood platelet adhesion; culture cell propenamide peptide deriv

IT Animal cell
Blood platelet
(adhesion of, peptide-containing polymers inhibition of)

IT Fibronectins
RL: BIOL (Biological study)
(blood vessel endothelium cell adhesion to, peptide-containing polymers inhibition of)

IT Blood platelet aggregation inhibitors
(peptide-containing polymers)

IT Animal tissue culture
(peptide-containing polymers in media for)

IT Adhesion
(bio-, of animal cells and blood platelets, peptide-containing polymers inhibition of)

IT Blood vessel
(endothelium, adhesion of cells of, peptide-containing polymers inhibition of)

IT Gels
(hydro-, of peptide-containing polymers, in animal cell culture media)

IT Animal growth regulators
RL: BIOL (Biological study)
(vitronectins, blood vessel endothelium cell adhesion to, peptide-containing polymers inhibition of)

IT 56-12-2, 4-Aminobutyric acid, reactions 56-85-9, Glutamine, reactions 60-32-2, 6-Aminocaproic acid 61-90-5, Leucine, reactions 150-13-0, p-Aminobenzoic acid 660-88-8, 5-Aminovaleric acid 693-57-2,

12-Aminolauric acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(Schotten-Baumann reaction of, with acrylic acid derivs.)
IT 107-15-3, Ethylenediamine, reactions 107-95-9, .beta.-Alanine
RL: RCT (Reactant); RACT (Reactant or reagent)
(Schotten-Baumann reaction of, with methacrylic chloride)
IT 79-10-7, 2-Propenoic acid, reactions 920-46-7, Methacrylic chloride
4390-96-9, Ethacrylic chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(Schotten-Baumann reaction of, with .omega.-amino acids)
IT 23680-31-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylation of)
IT 1668-10-6 4530-20-5 7536-58-5 13798-75-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling reaction of)
IT 143783-30-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
IT 63024-02-2P 69871-79-0P 79113-14-7P 139113-04-5P 143130-70-5P
143130-71-6P 143130-72-7P 143130-73-8P 143783-29-3P 143783-34-0P
143783-35-1P 143783-36-2P 143783-37-3P 144030-87-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling reaction of)
IT 15286-98-3P 23578-45-2P 34373-07-4P 39033-99-3P 45235-77-6P
53370-87-9P 59178-90-4P 59178-92-6P 63298-57-7P 69174-85-2P
143783-17-9P 143783-18-0P 143783-19-1P 143783-20-4P 143783-21-5P
143783-22-6P 143783-23-7P 143783-24-8P 143783-25-9P 143783-26-0P
143783-27-1P 143783-28-2P 143783-32-8P 143783-33-9P 143795-44-2P
143795-45-3P 143795-46-4P 143795-47-5P 143795-48-6P 143795-49-7P
144094-99-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and polymerization of, in cell adhesion inhibitor preparation)
IT 143821-01-6P 143821-02-7P 143821-03-8P 143821-04-9P
143821-05-0P 143821-06-1P 143821-07-2P 143847-74-9P
143847-75-0P 143847-76-1P 143847-78-3P 143847-79-4P 143847-80-7P
143847-81-8P 143847-82-9P 143847-83-0P 143847-84-1P 143847-85-2P
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143865-60-5P 143865-61-6P 143865-62-7P 143865-63-8P 143865-64-9P
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143893-38-3P 143893-39-4P 143893-40-7P 143893-41-8P 143893-42-9P
143901-08-0P 143955-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cell adhesion inhibitor)
IT 69174-86-3P 131618-71-8P 143783-31-7P 143865-49-0P 143865-50-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in cell adhesion inhibitor preparation)
IT 68262-71-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminoethyl methacrylamide)
IT 100-11-8, p-Nitrobenzyl bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with glycine derivative)
IT 108-00-9
RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with methacryloyl chloride)

IT 100-39-0, Benzyl bromide
RL: BIOL (Biological study)
(serine derivative benzylation with)

IT 143821-04-9P 143821-05-0P 143865-69-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cell adhesion inhibitor)

RN 143821-04-9 HCAPLUS
CN L-Proline, 1-[N-[N-[N-[N2-[N-(2-methyl-1-oxo-2-propenyl)glycyl]-L-arginyl]glycyl]-L-.alpha.-aspartyl]-L-seryl]-, polymer with N,N,N-trimethyl-3-[(2-methyl-1-oxo-2-propenyl)amino]-1-propanaminium chloride (9CI) (CA INDEX NAME)

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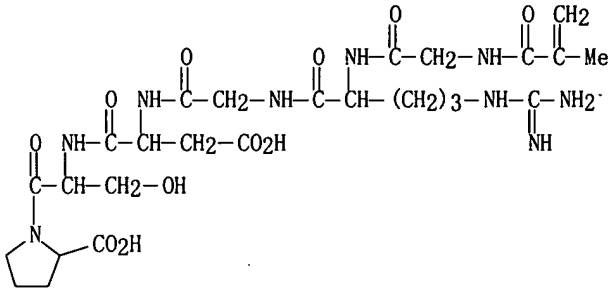
CRN 143795-48-6
CMF C26 H41 N9 O11



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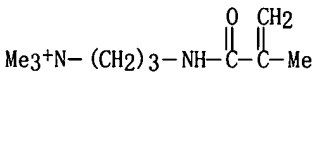
CRN 51410-72-1
CMF C10 H21 N2 O . Cl



RN 143821-05-0 HCAPLUS
CN L-Serine, N-[N-[N-[N2-[N-[N-[N-(1-oxo-2-propenyl)glycyl]glycyl]glycyl]-L-arginyl]glycyl]-L-.alpha.-aspartyl]-, polymer with N,N,N-trimethyl-3-[(2-methyl-1-oxo-2-propenyl)amino]-1-propanaminium chloride (9CI) (CA INDEX NAME)

CM 1

CRN 143783-27-1
CMF C24 H38 N10 O12

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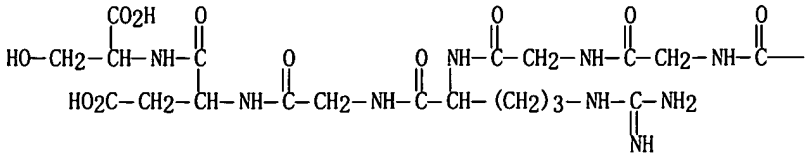

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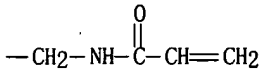

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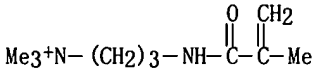
CRN 51410-72-1
CMF C10 H21 N2 O . Cl



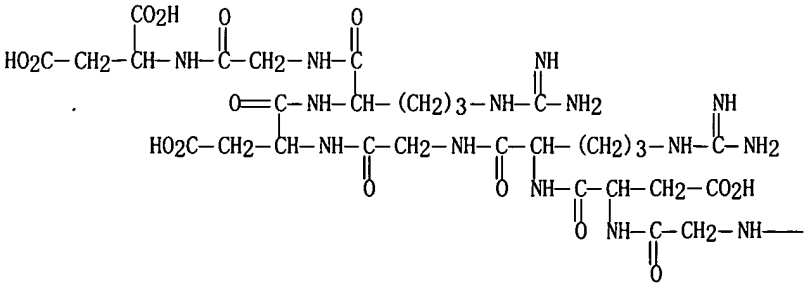
● Cl^-

RN 143865-69-4 HCAPLUS
CN L-Aspartic acid, N-[N-[N2-[N-[N-[N2-[N-[N-[N2-[N-(2-methyl-1-oxo-2-propenyl)-.beta.-alanyl]-L-arginyl]glycyl]-L-.alpha.-aspartyl]-L-arginyl]glycyl]-L-.alpha.-aspartyl]-L-arginyl]glycyl]-, polymer with N,N,N-trimethyl-3-[(2-methyl-1-oxo-2-propenyl)amino]-1-propanaminium chloride (9CI) (CA INDEX NAME)

CM 1

CRN 143795-46-4
CMF C43 H71 N19 O18

PAGE 1-A



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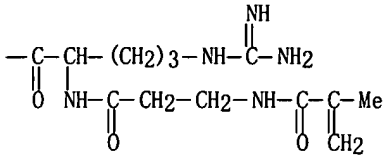
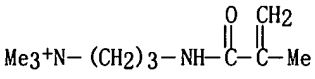
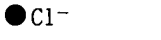


CM 2

CRN 51410-72-1
CMF C10 H21 N2 O . Cl





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